

09 / 076,575

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* * * * * * * * * * * * * Welcome to STN International * * * * * * * * * * *

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NEWS 4 Feb 24 TEMA now available on STN
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NEWS 6 Feb 26 PCTFULL now contains images
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NEWS 10 Apr 11 Display formats in DGENE enhanced
NEWS 11 Apr 14 MEDLINE Reload
NEWS 12 Apr 17 Polymer searching in REGISTRY enhanced
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NEWS 16 May 05 Pharmacokinetic information and systematic chemical names added to PHAR
NEWS 17 May 15 MEDLINE file segment of TOXCENTER reloaded
NEWS 18 May 15 Supporter information for ENCOMPPAT and ENCOMPLIT updated
NEWS 19 May 19 Simultaneous left and right truncation added to WSCA
NEWS 20 May 19 RAPRA enhanced with new search field, simultaneous left and right truncation
NEWS 21 Jun 06 Simultaneous left and right truncation added to CBNB
NEWS 22 Jun 06 PASCAL enhanced with additional data
NEWS 23 Jun 20 2003 edition of the FSTA Thesaurus is now available
NEWS 24 Jun 25 HSDB has been reloaded
NEWS 25 Jul 16 Data from 1960-1976 added to RDISCLOSURE
NEWS 26 Jul 21 Identification of STN records implemented
NEWS 27 Jul 21 Polymer class term count added to REGISTRY
NEWS 28 Jul 22 INPADOC: Basic index (/BI) enhanced; Simultaneous Left and Right Truncation available
NEWS 29 AUG 05 New pricing for EUROPATFULL and PCTFULL effective August 1, 2003
NEWS 30 AUG 13 Field Availability (/FA) field enhanced in BEILSTEIN
NEWS 31 AUG 15 PATDPAFULL: one FREE connect hour, per account, in September 2003
NEWS 32 AUG 15 PCTGEN: one FREE connect hour, per account, in September 2003
NEWS 33 AUG 15 RDISCLOSURE: one FREE connect hour, per account, in September 2003
NEWS 34 AUG 15 TEMA: one FREE connect hour, per account, in September 2003
NEWS 35 AUG 18 Data available for download as a PDF in RDISCLOSURE
NEWS 36 AUG 18 Simultaneous left and right truncation added to PASCAL
NEWS 37 AUG 18 FROSTI and KOSMET enhanced with Simultaneous Left and Right Truncation
NEWS 38 AUG 18 Simultaneous left and right truncation added to ANABSTR

09 / 076,575

NEWS EXPRESS April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP), AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 1 SEP 2003 HIGHEST RN 577691-42-0
DICTIONARY FILE UPDATES: 1 SEP 2003 HIGHEST RN 577691-42-0

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

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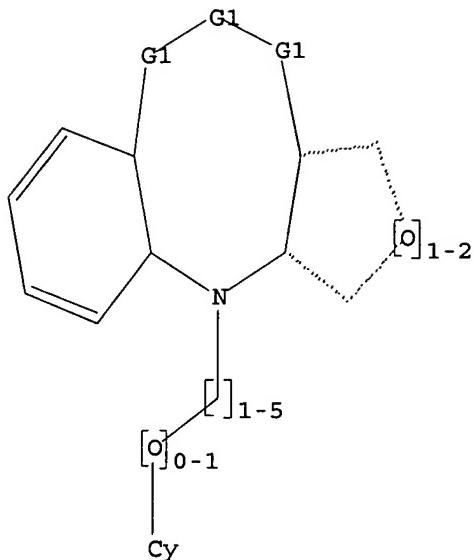
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L2 STRUCTURE UPLOADED

=> d 11
L1 HAS NO ANSWERS
L1 STR

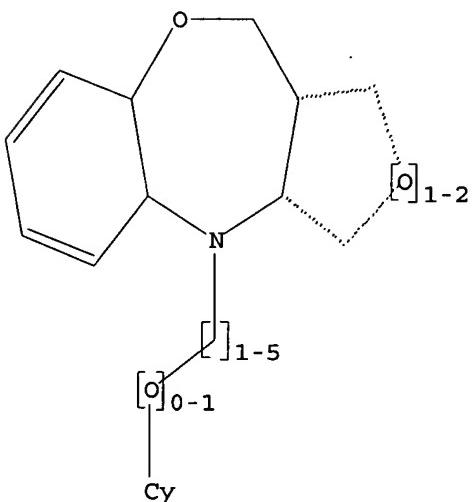
09/ 076,575



G1 C,O

Structure attributes must be viewed using STN Express query preparation.

=> d 12
L2 HAS NO ANSWERS
L2 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 11 ful
FULL SEARCH INITIATED 14:35:50 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 10803 TO ITERATE

100.0% PROCESSED 10803 ITERATIONS
SEARCH TIME: 00.00.01

0 ANSWERS

L3

0 SEA SSS FUL L1

09/ 076,575

```
=> s 12 ful
FULL SEARCH INITIATED 14:36:01 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      2 TO ITERATE

100.0% PROCESSED      2 ITERATIONS          0 ANSWERS
SEARCH TIME: 00.00.01

L4          0 SEA SSS FUL L2

=> s 'dibenz[b,g]azocin
MISMATCHED QUOTE ''DIBENZ[B,G'
Quotation marks (or apostrophes) must be used in pairs,
one before and one after the expression you are setting
off or masking.

=> s 'dibenz[b,g]azocin'
    44984 'DIBENZ'
    2088 'B,G'
    11027 'AZOCIN'
L5      45 'DIBENZ[B,G]AZOCIN'
        ('DIBENZ'(W)'B,G'(W)'AZOCIN')

=> s 'dibenz'b,e][1,4]oxazepin'
MISMATCHED QUOTE '4]OXAZEPIN'
Quotation marks (or apostrophes) must be used in pairs,
one before and one after the expression you are setting
off or masking.

=> s 'dibenz[b,e][1,4]oxazepin'
    44984 'DIBENZ'
    18496 'B,E'
    926873 '1,4'
    8726 'OXAZEPIN'
L6      203 'DIBENZ[B,E][1,4]OXAZEPIN'
        ('DIBENZ'(W)'B,E'(W)'1,4'(W)'OXAZEPIN')

=> s 'dibenz[d,g]dioxaazocin'
    44984 'DIBENZ'
    2755 'D,G'
    111 'DIOXAZOCIN'
L7      0 'DIBENZ[D,G]DIOXAZOCIN'
        ('DIBENZ'(W)'D,G'(W)'DIOXAZOCIN')

=> file caplus
COST IN U.S. DOLLARS          SINCE FILE          TOTAL
                                ENTRY          SESSION
FULL ESTIMATED COST          341.70          341.91
```

FILE 'CAPLUS' ENTERED AT 14:41:00 ON 03 SEP 2003
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FILE COVERS 1907 - 3 Sep 2003 VOL 139 ISS 10
FILE LAST UPDATED: 1 Sep 2003 (20030901/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d his

(FILE 'HOME' ENTERED AT 14:34:21 ON 03 SEP 2003)

FILE 'REGISTRY' ENTERED AT 14:34:52 ON 03 SEP 2003

L1 STRUCTURE UPLOADED
L2 STRUCTURE UPLOADED
L3 0 S L1 FUL
L4 0 S L2 FUL
L5 45 S 'DIBENZ [B,G]AZOCIN'
L6 203 S 'DIBENZ [B,E] [1,4]OXAZEPIN'
L7 0 S 'DIBENZ [D,G]DIOXAZOCIN'

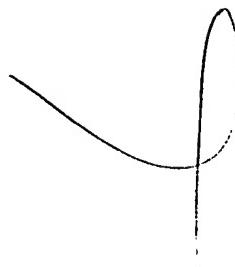
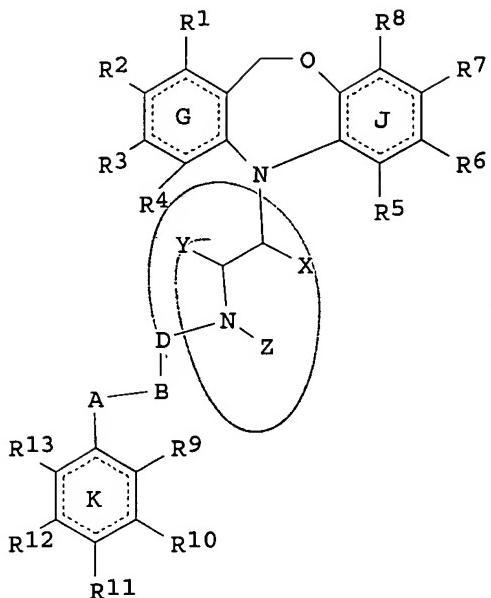
FILE 'CAPLUS' ENTERED AT 14:41:00 ON 03 SEP 2003

=> s 15 or 16
 18 L5
 54 L6
L8 68 L5 OR L6

=> d 18 1- ibib abs fhitstr
YOU HAVE REQUESTED DATA FROM 68 ANSWERS - CONTINUE? Y/(N):Y

L8 ANSWER 1 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:927415 CAPLUS
DOCUMENT NUMBER: 138:14080
TITLE: Preparation of dihydrodiaryloxazepine derivatives for treatment of functional digestive tract diseases
INVENTOR(S): Sakata, Katsutoshi; Tsuji, Takashi; Tokumasu, Munetaka; Takahashi, Kazuyoshi; Hirasawa, Shigeo; Ezaki, Junko
PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan
SOURCE: PCT Int. Appl., 116 pp.
CODEN: PIXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|------------------|-----------------|------------|
| WO 2002096891 | A1 | 20021205 | WO 2002-JP5193 | 20020529 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| PRIORITY APPLN. INFO.: | | | JP 2001-161988 | A 20010530 |
| OTHER SOURCE(S): | | MARPAT 138:14080 | | |



AB The title compds. I [ring G, J, K = benzene ring or N-contg. arom. ring; R₁ - R₈ = halo, H; R₉ - R₁₃ = H, halo, cyano, etc.; A = CH₂, etc.; B = CO, etc.; or AB = CH:CH; D = CH₂, etc.; or BD = CH₂; XZ = CH₂CH₂, CH₂CH₂CH₂, and Y = H; or YZ = CH₂CH₂CH₂, CH₂CH₂CH₂CH₂, and X = H; further detail on X, Y, Z is given; a proviso is given] are prep'd. Compds. of this invention are calcium channel antagonists with selectivity for the intestinal tract (IC₅₀ values of 5.6 nM to 82.5 nM) and are useful in the treatment of functional digestive tract diseases. Formulations are given.

IT 477778-61-3P

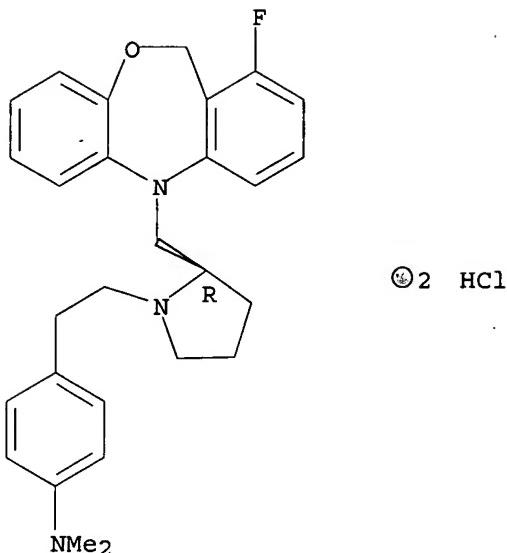
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of dihydriodihydro-1,4-oxazepine derivs. for treatment of functional digestive tract diseases)

RN 477778-61-3 CAPLUS

CN Benzenamine, 4-[2-[(2R)-2-[(1-fluorodibenz[b,e][1,4]oxazepin-5(11H)-yl)methyl]-1-pyrrolidinyl]ethyl]-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

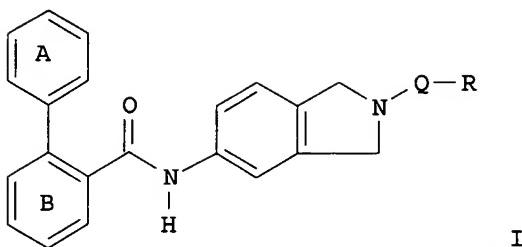


REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2002:142672 CAPLUS
 DOCUMENT NUMBER: 136:200094
 TITLE: Preparation of biphenylcarboxamidoisoindoline derivatives as apolipoprotein B secretion inhibitors
 INVENTOR(S): Yamada, Harutami; Ando, Akira; Kawanishi, Hiroyuki; Nagata, Koichi; Yasuhara, Mikiko
 PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 149 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|------------------|----------|
| WO 2002014277 | A1 | 20020221 | WO 2001-JP6844 | 20010809 |
| W: AB, AG, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CO, CR, CU, CZ, DM,
DZ, EC, EE, GD, GE, HR, HU, ID, IL, IN, IS, KR, LC, LK, LR, LT,
LV, MA, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TT, UA, US,
UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2001077728 | A5 | 20020225 | AU 2001-77728 | 20010809 |
| JP 2003055345 | A2 | 20030226 | JP 2001-241482 | 20010809 |
| PRIORITY APPLN. INFO.: | | | JP 2000-243004 A | 20000810 |
| | | | JP 2001-172918 A | 20010607 |
| | | | WO 2001-JP6844 W | 20010809 |

OTHER SOURCE(S) : MARPAT 136:200094
 GI



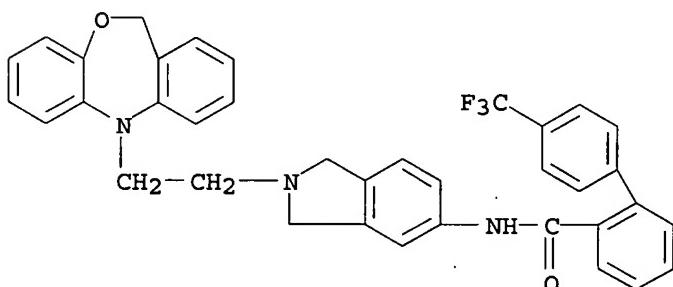
AB The title compds. I [ring A is a substituted or unsubstituted benzene ring; ring B is a substituted or unsubstituted benzene ring; Q is CO or CH₂; and R is substituted or unsubstituted lower alkyl, substituted or unsubstituted lower alkenyl, substituted or unsubstituted carbamoyl, a substituted or unsubstituted heterocyclic group, substituted or unsubstituted aryl, or the like], useful as apolipoprotein B secretion inhibitors (no data), are prep'd. Processes for the prepn. of I are claimed. For example, 2-(2-pyridyl)acetyl-5-[2-(4-trifluoromethylphenyl)benzoylamino]isoindoline was prep'd.

IT 400726-74-1P

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of biphenylcarboxamidoisoindoline derivs. as apolipoprotein B secretion inhibitors)

RN 400726-74-1 CAPLUS

CN [1,1'-Biphenyl]-2-carboxamide, N-[2-(2-dibenz[b,e][1,4]oxazepin-5(11H)-ylethyl)-2,3-dihydro-1H-isoindol-5-yl]-4'-(trifluoromethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 77 THERE ARE 77 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:368136 CAPLUS

DOCUMENT NUMBER: 135:131732

TITLE: Synthesis of Novel .gamma.-Aminobutyric Acid (GABA) Uptake Inhibitors. 5.Preparation and Structure-Activity Studies of Tricyclic Analogues of Known GABA Uptake Inhibitors

AUTHOR(S): Andersen, Knud Erik; Sorensen, Jan L.; Lau, Jesper; Lundt, Behrend F.; Petersen, Hans; Huusfeldt, Per O.; Suzdak, Peter D.; Swedberg, Michael D. B.

CORPORATE SOURCE: Health Care Discovery, Novo Nordisk A/S, Malov, DK 2760, Den.

SOURCE: Journal of Medicinal Chemistry (2001), 44(13), 2152-2163

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB On the basis of the SAR of a series of known .gamma.-aminobutyric acid (GABA) uptake inhibitors, including SKF 89976, new tricyclic analogs have been prep'd. These novel compds. are derivs. of nipecotic acid, guvacine, and homo-.beta.-proline, substituted at the nitrogen of these amino acids by various lipophilic moieties such as (10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)alkoxyalkyl or (10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-ylidene)alkoxyalkyl. The in vitro values for inhibition of [3H]-GABA uptake in rat synaptosomes was detd. for each compd. in this new series, and it was found that several of the novel compds. showed a high potency comparable with that of several ref. compds. Several of the novel compds. were also evaluated for their ability in vivo to inhibit clonic seizures induced by a 15 mg/kg (i.p.) dose of Me 6,7-dimethoxy-4-ethyl-.beta.-carboline-3-carboxylate (DMCM). One compd., (R)-1-(2-(10,11-dihydro-5H-dibenz[b,f]azepin-5-yl)ethoxyethyl)-3-piperidinecarboxylic acid, was selected for further biol. investigations and showed a protective index comparable to or slightly better than that of the recently launched anticonvulsant tiagabine ((R)-1-(4,4-bis(3-methyl-2-thienyl)-3-but enyl)-3-piperidinecarboxylic acid).

IT 146844-18-0P

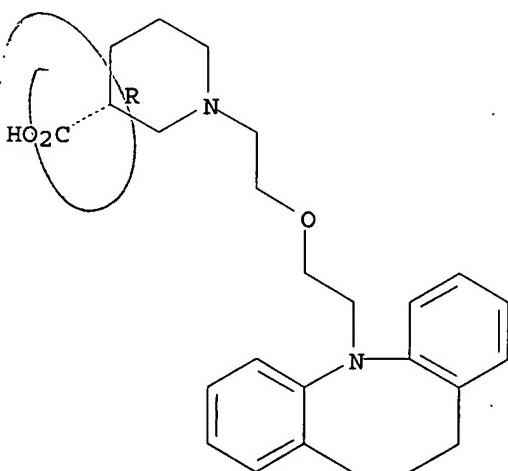
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and structure-activity studies on tricyclic analogs of known GABA uptake inhibitors)

RN 146844-18-0 CAPLUS

CN 3-Piperidinecarboxylic acid, 1-[2-[2-(6,7-dihydrodibenz[b,g]azocin-12(5H)-yl)ethoxyethyl]-, monohydrochloride, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

REFERENCE COUNT: 81 THERE ARE 81 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2000:475653 CAPLUS
 DOCUMENT NUMBER: 133:89556

09/ 076,575

TITLE: Preparation of oxazepine derivatives and drugs containing the same
INVENTOR(S): Sakata, Katsutoshi; Tsuji, Takashi; Sasaki, Noriko;
Takahashi, Kazuyoshi
PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan
SOURCE: PCT Int. Appl., 81 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|------------|
| WO 2000040570 | A1 | 20000713 | WO 2000-JP71 | 20000111 |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,
CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL,
IN, IS, JP, KE, KG, KP, KR, LZ, LC, LR, LS, LT, LU, LV, MA,
MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,
SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1142884 | A1 | 20011010 | EP 2000-900167 | 20000111 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO | | | | |
| US 2002099047 | A1 | 20020725 | US 2001-899928 | 20010709 |
| US 6528504 | B2 | 20030304 | | |
| PRIORITY APPLN. INFO.: | | | JP 1999-3268 | A 19990108 |
| | | | JP 1999-3269 | A 19990108 |
| | | | JP 1999-3270 | A 19990108 |
| | | | WO 2000-JP71 | W 20000111 |

OTHER SOURCE(S) : MARPAT 133:89556

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. [I; A = Q, Q₁, Q₂; R = H, C1, (CH₃)₂N, CH₃O; R₁ = CH₃O, N(CH₃)₂, H; R-R₁ = OCH₂O; n = 2, 3;], salts, stereoisomers, and drug compns. contg. I are prep'd. and are useful in the treatment or prevention of motor function disorder of digestive tract, particularly intestinal diseases including irritable bowel syndrome. Thus, the title compds. (R)-5,11-Dihydro-5-[1-(4-methoxyphenethyl)-piperidin-2-ylmethyl]dibenzo[b,e][1,4] oxazepine and (R)-5,11-dihydro-5-[1-(4-dimethylaminophenethyl)-piperidin-2-ylmethyl]dibenzo[b, e][1,4]oxazepin were prep'd. and tested.

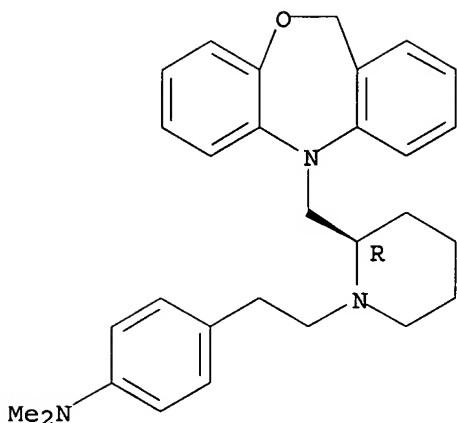
IT 281677-38-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prep'n. of oxazepine derivs. and drugs contg. the same)

RN 281677-38-1 CAPLUS

CN Benzenamine, 4-[2-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-piperidinyl]ethyl]-N,N-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●2 HCl

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 5 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2000:383927 CAPLUS
 DOCUMENT NUMBER: 133:34425
 TITLE: Pharmaceutical compositions containing N-substituted azaheterocyclic compounds for the treatment of indications related to angiogenesis
 INVENTOR(S): Hansen, Anker Jon; Jorgensen, Tine Krogh; Olsen, Uffe Bang
 PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
 SOURCE: PCT Int. Appl., 120 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|-----------------|------------|
| WO 2000032193 | A1 | 20000608 | WO 1999-DK671 | 19991201 |
| W: | AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| RW: | GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | |
| EP 1135129 | A1 | 20010926 | EP 1999-957964 | 19991201 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | |
| JP 2003524611 | T2 | 20030819 | JP 2000-584888 | 19991201 |
| US 2002045610 | A1 | 20020418 | US 2001-872127 | 20010601 |
| PRIORITY APPLN. INFO.: | | | DK 1998-1586 | A 19981202 |
| | | | US 1998-111445P | P 19981208 |
| | | | WO 1999-DK671 | W 19991201 |

OTHER SOURCE(S): MARPAT 133:34425

AB The present invention relates to the use of N-substituted azaheterocyclic

compds. or salts thereof, for the treatment of conditions related to angiogenesis. N-substituted azaheterocyclic compds. decreased the vessel area of neovascularization of mouse cornea by 30-50%. A tablet contained a N-substituted azaheterocyclic compd. 100, silicone dioxide 1.5, microcryst. cellulose 70, modified cellulose gum 7.5, in the core, and hydroxypropyl Me cellulose 9, and Mywacett 9-40T 0.9 mg in the coating.

IT 170150-16-0

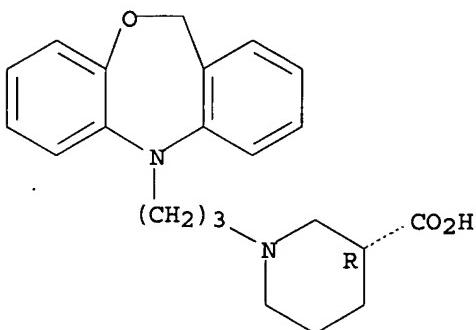
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pharmaceutical compns. contg. N-substituted azaheterocyclic compds. for treatment of indications related to angiogenesis)

RN 170150-16-0 CAPLUS

CN 3-Piperidinecarboxylic acid, 1-(3-dibenz[b,e][1,4]oxazepin-5(11H)-ylpropyl)-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 6 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2000:277964 CAPLUS

DOCUMENT NUMBER: 132:308362

TITLE: Preparation of tricyclic compounds for the treatment and/or prevention of conditions mediated by nuclear receptors, in particular the Peroxisome Proliferator-Activated Receptors (PPAR)

INVENTOR(S): Jeppesen, Lone; Bury, Paul Stanley; Sauerberg, Per
PATENT ASSIGNEE(S): Novo Nordisk A/s, Den.; Reddy's Research Foundation
SOURCE: PCT Int. Appl., 73 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|--|----------|-----------------|----------|
| WO 2000023425 | A1 | 20000427 | WO 1999-DK570 | 19991019 |
| W: | AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| RW: | GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | |

| | | | |
|--|-------------|-----------------|-------------|
| AU 9961902 | A1 20000508 | AU 1999-61902 | 19991019 |
| EP 1123279 | A1 20010816 | EP 1999-948738 | 19991019 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO | | | |
| JP 2002527507 | T2 20020827 | JP 2000-577153 | 19991019 |
| US 6468996 | B1 20021022 | US 1999-419761 | 19991019 |
| US 2002103188 | A1 20020801 | US 2002-76574 | 20020208 |
| US 2002111344 | A1 20020815 | US 2002-76573 | 20020208 |
| US 2002115657 | A1 20020822 | US 2002-76575 | 20020208 |
| PRIORITY APPLN. INFO.: | | | |
| | | DK 1998-1352 | A 19981021 |
| | | US 1998-105912P | P 19981028 |
| | | US 1999-419761 | A3 19991019 |
| | | WO 1999-DK570 | W 19991019 |

OTHER SOURCE(S) : MARPAT 132:308362
 GI

parent

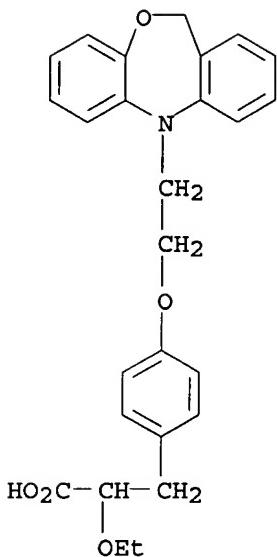
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; R1-R4 = H, halo, perhalomethyl, etc.; R1 and R2, R2 and R3, R3 and R4 may form (un)substituted cyclic ring contg. 5-7 carbon atoms; A = (un)substituted 5-6 membered cyclic ring; X = a bond, CH:CH, OCH₂O, etc.; Ar = (un)substituted arylene, heteroarylene, divalent heterocyclic group; R5 = H, OH, halo, etc.; R6 = H, OH, halo, etc.; R7 = H, alkyl, alkenyl, etc.; R8 = H, alkyl, alkenyl, etc.; Y = O, S, NH, etc.; n = 1-4; m = 0-1], useful in the treatment and/or prevention of conditions mediated by nuclear receptors, in particular the Peroxisome Proliferator-Activated Receptors (PPAR) (e.g., in the treatment of diabetes and/or obesity), were prep'd. and formulated. Thus, reacting 2-(10,11-dihydrodibenzo[b,f]azepin-5-yl)ethanol with Et 2-ethoxy-3-(4-hydroxyphenyl)propionate in the presence of triphenylphosphine and di-Et azodicarboxylate afforded 90% II. Compds. I are effective at 0.1-70 mg/day in the treatment of adult humans.

IT 265301-43-7P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of tricyclic compds. for the treatment and/or prevention of conditions mediated by nuclear receptors, in particular the Peroxisome Proliferator-Activated Receptors (PPAR))

RN 265301-43-7 CAPLUS

CN Benzenepropanoic acid, 4-(2-dibenz[b,e][1,4]oxazepin-5(11H)-ylethoxy)-.alpha.-ethoxy- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 7 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1999:811383 CAPLUS
 DOCUMENT NUMBER: 132:20799
 TITLE: Media and system for comparative phenotype analysis of microorganism
 INVENTOR(S): Bochner, Barry; Panomitros, Eugenia
 PATENT ASSIGNEE(S): Biolog, Inc., USA
 SOURCE: PCT Int. Appl., 87 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 5
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|-------------|
| WO 9966066 | A1 | 19991223 | WO 1999-US13495 | 19990616 |
| RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
PT, SE | | | | |
| US 6046021 | A | 20000404 | US 1998-98066 | 19980616 |
| EP 1088097 | A1 | 20010404 | EP 1999-928683 | 19990616 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, FI | | | | |
| PRIORITY APPLN. INFO.: | | | US 1998-98066 | A 19980616 |
| | | | US 1995-421377 | A2 19950412 |
| | | | US 1996-762656 | A2 19961209 |
| | | | WO 1999-US13495 | W 19990616 |

AB The present invention relates to growing and testing microorganisms in a multitest format. In particularly preferred embodiments, the multitest format utilizes a gel-forming matrix for the rapid screening of clin. and environmental cultures. The present invention is suited for the characterization of commonly encountered microorganisms (e.g., E. coli, S. aureus, etc.), as well as com. and industrially important organisms from various and diverse environments (e.g., the present invention is particularly suited for the growth and characterization of the actinomycetes and fungi). The present invention is also particularly suited for comparative anal. of phenotypic differences between cell types,

including strains of microorganisms that have been designated as the same genus and species, as well as other cell types (e.g., mammalian, insect, and plant cells).

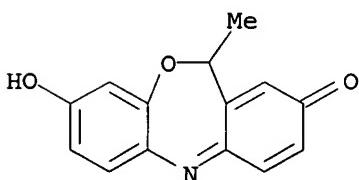
IT 50354-32-0P, Redox purple

RL: ARG (Analytical reagent use); ARU (Analytical role, unclassified); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); ANST (Analytical study); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)

(media and system for comparative phenotype anal. of microorganism)

RN 50354-32-0 CAPLUS

CN Dibenz[b,e][1,4]oxazepin-2(11H)-one, 8-hydroxy-11-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 8 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1999:404950 CAPLUS

DOCUMENT NUMBER: 131:58843

TITLE: preparation of 3-piperidyl-4-oxoquinazoline derivatives as medicinal compositions

INVENTOR(S): Sato, Motohide; Katsushima, Takeo; Kinoshita, Hajime

PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan

SOURCE: PCT Int. Appl., 142 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 9931085 | A1 | 19990624 | WO 1998-JP5628 | 19981211 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| JP 11228569 | A2 | 19990824 | JP 1998-288979 | 19981012 |
| JP 2959765 | B2 | 19991006 | | |
| ZA 9811315 | A | 19990630 | ZA 1998-11315 | 19981210 |
| AU 9915068 | A1 | 19990705 | AU 1999-15068 | 19981211 |
| AU 717963 | B2 | 20000406 | | |
| EP 970954 | A1 | 20000112 | EP 1998-959187 | 19981211 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, RO | | | | |
| BR 9807339 | A | 20000321 | BR 1998-7339 | 19981211 |
| NZ 337118 | A | 20000327 | NZ 1998-337118 | 19981211 |
| NO 9903868 | A | 19991012 | NO 1999-3868 | 19990811 |
| US 6235730 | B1 | 20010522 | US 1999-367242 | 19991026 |

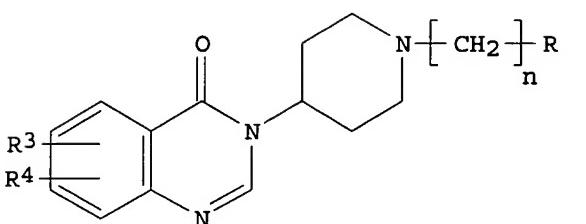
09/ 076,575

PRIORITY APPLN. INFO.:

JP 1997-362819 A 19971212
JP 1998-288979 A 19981012
WO 1998-JP5628 W 19981211

OTHER SOURCE(S):
GI

MARPAT 131:58843



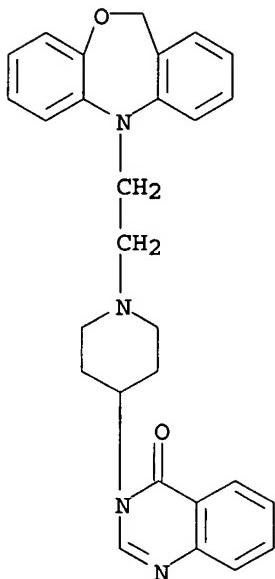
AB 3-Piperidyl-4-oxoquinazoline derivs. or pharmaceutically acceptable salts [I; R = amino substituted by optionally substituted aryl, heteroaryl, or cyclic amino such as dibenzazepine; n = integer from 1 to 4; R3, R4 = H, lower alkyl, etc.], having an excellent MTP-inhibitory activity, thus useful in inhibiting the formation of LDL causative of arteriosclerotic diseases and enabling the regulation of TG, cholesterol and lipoproteins such as LDL in the blood and cellular lipids via the regulation of the MTP activity, were prepd. I are expected also as a novel type of remedies or preventives for hyperlipemia or arteriosclerotic diseases and, moreover, as remedies or preventives for pancreatitis, obesity, hypercholesterolemia, hypertriglyceridemia, etc. Refluxing a mixt. of BrCH₂CH₂NPh₂ and 3-(piperidin-4-yl)-3H-quinazolin-4-one contg. K₂CO₃ in MeCN gave 55% I (R = Ph₂N, R₃ = R₄ = H, n = 2) (II). II.2HCl showed IC₅₀ of 0.1 .mu.M against apolipoprotein B secretion and 0.6 .mu.M against triglyceride transport in vitro.

IT 227806-80-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 3-piperidyl-4-oxoquinazoline derivs. as medicinal compns.)

RN 227806-80-6 CAPLUS

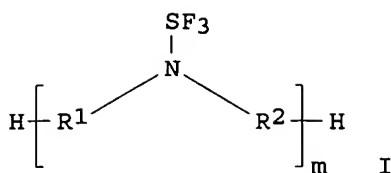
CN 4(3H)-Quinazolinone, 3-[1-(2-dibenz[b,e][1,4]oxazepin-5(11H)-ylethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 9 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1999:246872 CAPLUS
 DOCUMENT NUMBER: 130:281580
 TITLE: Preparation of thermally stable aminosulfur trifluorides as deoxofluorination agents
 INVENTOR(S): Lal, Gauri Sankar; Pez, Guido Peter; Pesaresi, Reno Joseph, Jr.; Syvret, Robert George
 PATENT ASSIGNEE(S): Air Products and Chemicals, Inc., USA
 SOURCE: Eur. Pat. Appl., 32 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|-------------------|-----------------|------------|
| EP 908448 | A1 | 19990414 | EP 1998-118306 | 19980925 |
| EP 908448 | B1 | 20011114 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO | | | | |
| US 6207860 | B1 | 20010327 | US 1997-939635 | 19970929 |
| CA 2248407 | AA | 19990329 | CA 1998-2248407 | 19980922 |
| JP 11158141 | A2 | 19990615 | JP 1998-275235 | 19980929 |
| JP 3357609 | B2 | 20021216 | | |
| US 6242645 | B1 | 20010605 | US 2000-535682 | 20000323 |
| PRIORITY APPLN. INFO.: | | | US 1997-939635 | A 19970929 |
| OTHER SOURCE(S): | | MARPAT 130:281580 | | |
| GI | | | | |

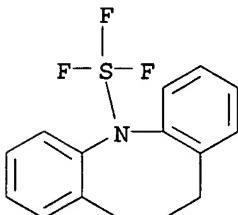


AB Aminosulfur trifluorides I [$m = 1-5$; when $m = 1$ R1 = aryl radicals, heterocyclyl, alkoxyalkyl and when $m = 2-5$ R1 = Ph and R2 = aryl], deoxofluorinating agents, were prep'd. E.g., reaction of Ph₂NH with SF₄ gave Ph₂NSF₃ quant. Deoxofluorination of 4-tert-butylcyclohexanone by Ph₂NSF₃ gave 1,1-difluoro-4-tert-butylcyclohexane and 1-fluoro-4-tert-butyl-1-cyclohexene (96:4). The thermal stability of I was studied.

IT 222844-41-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of thermally stable aminosulfur trifluorides as
deoxofluorination agents)

RN 222844-41-9 CAPLUS

CN Sulfur, (6,7-dihydrodibenz[b,g]azocin-12(5H)-yl)trifluoro-, (T-4) - (9CI)
(CA INDEX NAME)

REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 10 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1999:194140 CAPLUS

DOCUMENT NUMBER: 130:223305

TITLE: Preparation and formulation of 5,11-dihydrodibenz[b,e][1,4]oxazepine derivatives as calcium antagonists

INVENTOR(S): Sakata, Katsutoshi; Tsuji, Takashi; Sasaki, Noriko; Takahashi, Kazuyoshi

PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan

SOURCE: PCT Int. Appl., 53 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|--|----------|-----------------|----------|
| WO 9912925 | A1 | 19990318 | WO 1998-JP4071 | 19980910 |
| W: | AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| RW: | GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, | | | |

FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2304262 AA 19990318 CA 1998-2304262 19980910

AU 9890014 A1 19990329 AU 1998-90014 19980910

AU 740878 B2 20011115

EP 1020466 A1 20000719

EP 1998-941803 19980910

EP 1020466 B1 20030219

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI

AT 232861 E 20030315 AT 1998-941803 19980910

US 6562808 B1 20030513 US 2000-522946 20000310

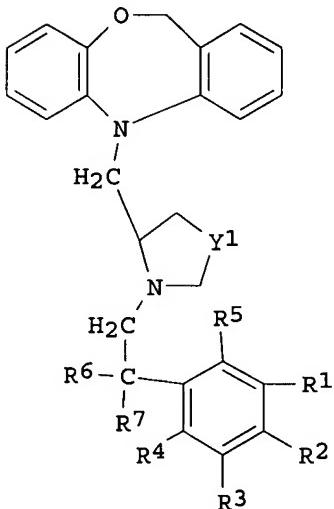
PRIORITY APPLN. INFO.: JP 1997-245669 A 19970910

JP 1997-245670 A 19970910

WO 1998-JP4071 W 19980910

OTHER SOURCE(S): MARPAT 130:223305

GI



AB The title compds. I [R1 - R5 = H, alkoxy, etc.; R6, R7 = H, hydroxy; Y1 = methylene, etc.] are prep'd. I are useful in the treatment or prevention of intestinal diseases such as gastrointestinal tract dyskinesia, in particular, irritable bowel syndrome. In an in vitro test for calcium antagonism using ileum, (R)-5,11-Dihydro-5-[1-[2-(4-dimethylaminophenyl)ethyl]-2-pyrrolidinylmethyl]dibenzo[b,e][1,4]oxazepine dihydrochloride (II) in vitro showed IC₅₀ of 35 nM; in an in vitro test for calcium antagonism using artery, II showed IC₅₀ of 255 nM. I also showed high water solv.

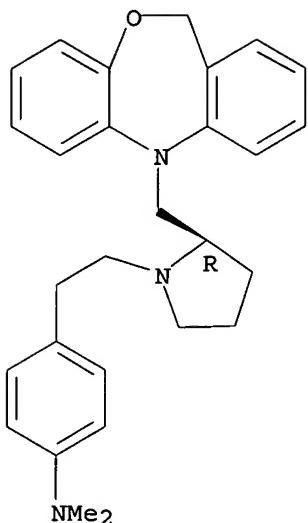
IT 221159-49-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of dihydridobenzoxazepine derivs. as calcium antagonists)

RN 221159-49-5 CAPLUS

CN Benzenamine, 4-[2-[(2R)-2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinyl]ethyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 11 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1999:191357 CAPLUS
 DOCUMENT NUMBER: 130:220169
 TITLE: Gel matrix with redox purple for testing and characterizing microorganisms
 INVENTOR(S): Bochner, Barry R.; Naleway, John J.
 PATENT ASSIGNEE(S): Biolog, Inc., USA
 SOURCE: U.S., 21 pp., Cont.-in-part of U.S. 5,627,045.
 CODEN: USXXAM

DOCUMENT TYPE: Patent
 LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------------|-----------------|----------|
| US 5882882 | A | 19990316 | US 1996-762656 | 19961209 |
| US 5627045 | A | 19970506 | US 1995-421377 | 19950412 |
| WO 9826270 | A2 | 19980618 | WO 1997-US22601 | 19971209 |
| WO 9826270 | A3 | 19980903 | | |
| W: JP | | | | |
| RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| US 6046021 | A | 20000404 | US 1998-98066 | 19980616 |
| US 5989853 | A | 19991123 | US 1998-116078 | 19980715 |
| US 6387651 | B1 | 20020514 | US 2000-574087 | 20000518 |
| US 6472201 | B1 | 20021029 | US 2000-752168 | 20001229 |
| US 2002110848 | A1 | 20020815 | US 2002-47048 | 20020114 |
| US 2003148413 | A1 | 20030807 | US 2002-226436 | 20020823 |
| PRIORITY APPLN. INFO.: | | | | |
| | | US 1995-421377 | A2 | 19950412 |
| | | US 1996-762656 | A | 19961209 |
| | | US 1998-98066 | A2 | 19980616 |
| | | US 1999-333802 | B1 | 19990615 |
| | | US 2000-574087 | A1 | 20000518 |
| | | US 2000-752168 | A3 | 20001229 |

AB The present invention is directed to methods and compns. for the characterization of various microorganisms. In particular, the present invention is suited for the characterization of commonly encountered microorganisms (e.g., E. coli, S. aureus, etc.), as well as com. and

industrially important organisms from various and diverse environments. For example, the present invention is particularly suited for the growth and characterization of the actinomycetes and fungi. The methods employ a testing system wherein an aq. suspension of microorganisms is introduced to one or more test substrates comprising redox purple (8-hydroxy-11-methyldibenz-[b,e][1,4]oxazepin-2-(11H)-one) and a gelling agent. The methods detect the response of the microorganisms to the test substrates. A testing device comprising a plurality of testing wells is well suited for the present invention. *E. coli* was tested on various carbon sources using redox purple sodium salt (prepn. given), resazurin sodium salt, or tetrazolium violet as the indicator. The gel matrix was carrageenan.

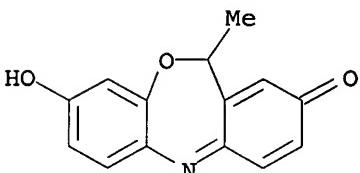
IT 50354-32-0P, Redox purple

RL: ARG (Analytical reagent use); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); ANST (Analytical study); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)

(gel matrix with redox purple for testing and characterizing microorganisms)

RN 50354-32-0 CAPLUS

CN Dibenz[b,e][1,4]oxazepin-2(11H)-one, 8-hydroxy-11-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 12 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1998:406127 CAPLUS

DOCUMENT NUMBER: 129:78824

TITLE: Gel matrix with redox purple for growing and testing microorganisms

INVENTOR(S): Bochner, Barry R.; Naleway, John J.

PATENT ASSIGNEE(S): Biolog, Inc., USA

SOURCE: PCT Int. Appl., 56 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|-------------|
| WO 9826270 | A2 | 19980618 | WO 1997-US22601 | 19971209 |
| WO 9826270 | A3 | 19980903 | | |
| W: JP | | | | |
| RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| US 5882882 | A | 19990316 | US 1996-762656 | 19961209 |
| PRIORITY APPLN. INFO.: | | | US 1996-762656 | A 19961209 |
| | | | US 1995-421377 | A2 19950412 |

AB Methods and kits for the characterization of various microorganisms in a multitest format use a gel-forming matrix with redox purple and test substrates. In particular, the present invention is suited for the characterization of commonly encountered microorganisms (e.g., *E. coli*, *S.*

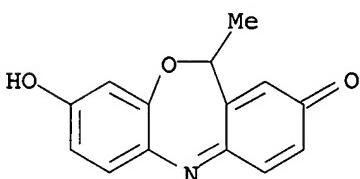
aureus, etc.), as well as com. and industrially important organisms from various and diverse environments. For example, the present invention is particularly suited for the growth and characterization of the actinomycetes and fungi. Growth of Aspergillus niger, Penicillium chrysogenum, and Trichoderma harzianum fungi on various carbon sources was tested using redox purple (prepn. given) in Gelrite in wells of a Biolog SF-N Microplate. For each carbon source utilized by the organism, the content of the well was colorless. The wells of unused carbon sources were blue.

IT 209187-17-7

RL: ARG (Analytical reagent use); BPR (Biological process); BSU (Biological study, unclassified); ANST (Analytical study); BIOL (Biological study); PROC (Process); USES (Uses)
(gel matrix with redox purple for growing and testing microorganisms)

RN 209187-17-7 CAPLUS

CN Dibenz[b,e] [1,4]oxazepin-2(11H)-one, 8-hydroxy-11-methyl-, sodium salt (9CI) (CA INDEX NAME)



Na

L8 ANSWER 13 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1997:623166 CAPLUS

DOCUMENT NUMBER: 127:293256

TITLE: Preparation and formulation of 5,11-

dihydrodibenz[b,e] [1,4]oxazepine derivatives for improving the motor function of the digestive tract
Tanaka, Yuji; Misumi, Keiji; Kawakami, Yoshinari;
Moriguchi, Masahiko; Takahashi, Kazuyoshi; Okamoto,
Hiroki; Kamisaki, Toshiaki; Inoue, Kimihiro; Sato,
Makoto

PATENT ASSIGNEE(S): Ajinomoto, Inc., Japan; Tanaka, Yuji; Misumi, Keiji;
Kawakami, Yoshinari; Moriguchi, Masahiko; Takahashi,
Kazuyoshi; Okamoto, Hiroki; Kamisaki, Toshiaki; Inoue,
Kimihiro; Sato, Makoto

SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|--|----------|-----------------|----------|
| WO 9733885 | A1 | 19970918 | WO 1997-JP754 | 19970311 |
| W: | AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT,
RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN,
YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| RW: | GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, | | | |

GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN,
ML, MR, NE, SN, TD, TG

| | | | | | | | |
|---|---------|----|----------|----|---------------|----------|----------|
| ZA | 9702038 | A | 19970917 | ZA | 1997-2038 | 19970310 | |
| TW | 479057 | B | 20020311 | TW | 1997-86102931 | 19970310 | |
| AU | 9722335 | A1 | 19971001 | AU | 1997-22335 | 19970311 | |
| AU | 704521 | B2 | 19990422 | | | | |
| EP | 889043 | A1 | 19990107 | EP | 1997-905478 | 19970311 | |
| EP | 889043 | B1 | 20010829 | | | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI | | | | | | | |
| CN | 1213371 | A | 19990407 | CN | 1997-193005 | 19970311 | |
| CN | 1085209 | B | 20020522 | | | | |
| BR | 9707962 | A | 19990727 | BR | 1997-7962 | 19970311 | |
| JP | 3127469 | B2 | 20010122 | JP | 1997-532434 | 19970311 | |
| AT | 204871 | E | 20010915 | AT | 1997-905478 | 19970311 | |
| ES | 2159843 | T3 | 20011016 | ES | 1997-905478 | 19970311 | |
| NO | 9804162 | A | 19981105 | NO | 1998-4162 | 19980910 | |
| US | 6127361 | A | 20001003 | US | 1998-147012 | 19980911 | |
| US | 6436922 | B1 | 20020820 | US | 2000-597409 | 20000619 | |
| RITY APPLN. INFO.: | | | | JP | 1996-83104 | A | 19960311 |
| | | | | WO | 1997-JP754 | W | 19970311 |
| | | | | US | 1998-147012 | A1 | 19980911 |

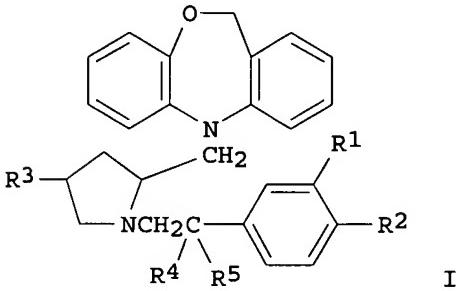
PRIORITY APPN. INFO.:

JP 1996-63104 A 19960311
WO 1997-JP754 W 19970311
US 1998-147012 A1 19980911

OTHER SOURCE(S) :

MARPAT 127:293256

GI



AB The title compds. I [R1, R2 = H, halo, etc.; or R1R2 = O(CH₂)nO; n = 1 - 3; R3 = H, OH; R4, R5 = H, OH; or R4R5 = O] are prep'd. I are calcium antagonists improving the motor function of the digestive tract. In an in vitro test for calcium antagonism using guinea pig ileum fragment, (R)-(+)-5,11-dihydro-5-[1-(4-methoxyphenethyl)-2-pyrrolidinylmethyl]dibenz[b,e][1,4]oxazepine hydrochloride (II) showed IC₅₀ of 85 nM; in the test for calcium antagonism using rat artery fragment, II showed IC₅₀ of 200 nM. II showed no anticholinergic activity. II gave better improvement of the motor function of the digestive tract than nicardipine. In the test for hypotensive activity, II showed ED₅₀ of > 1000 mg/kg p.o., vs. ED₅₀ of 4 mg/kg p.o. for nicardipine.

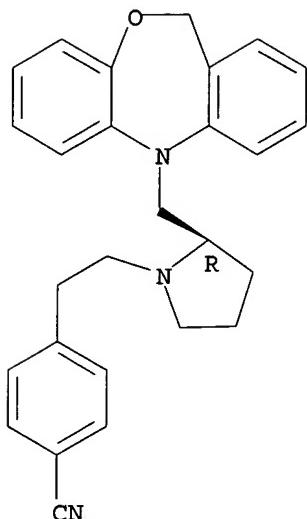
IT 195991-57-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of dihydronitrobenzodiazepine derivs. for improving the motor function of the digestive tract)

RN 195991-57-2 CAPLUS

CN Benzonitrile, 4-[2-[2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)-1-pyrrolidinyl]ethyl]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L8 ANSWER 14 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1997:501445 CAPLUS
 DOCUMENT NUMBER: 127:121640
 TITLE: Piperidinecarboxylic acid derivatives for treatment of non-insulin-dependent diabetes mellitus
 INVENTOR(S): Olsen, Uffe Bang
 PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.; Olsen, Uffe Bang
 SOURCE: PCT Int. Appl., 60 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|--------|------------|-----------------|----------|
| WO 9722342 | A1 | 19970626 | WO 1996-DK520 | 19961210 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT,
RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN,
AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,
IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML,
MR, NE, SN, TD, TG | | | | |
| AU 9711383 | A1 | 19970714 | AU 1997-11383 | 19961210 |
| PRIORITY APPLN. INFO.: | | | DK 1995-1425 | 19951215 |
| | | | WO 1996-DK520 | 19961210 |
| OTHER SOURCE(S): GI | MARPAT | 127:121640 | | |

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1, R2 = H, halogen, CF₃, alkyl, alkoxy; R3 = OH, alkoxy;
 R₄, R₅ = H; R₄R₅ = bond; X = (CH₂)_s; X₁ = (CH₂)_r; Y = NCH₂, CHCH₂, C:CH,
 CHCH:N, C:N; Z = O, S, CH₂, CH₂CH₂, CH:CHCH₂, CH₂CH:CH, (CH₂)₃, CH:CH,
 OCH₂; m = 1, n = 1; m = 2, n = 0; p, q = 0, 1; r = 2-4; s = 0-2] were

09/ 076,575

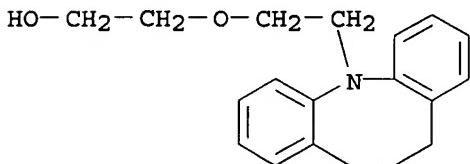
prepd. for use in the treatment of insulin resistance related to NIDDM (non-insulin-dependent diabetes mellitus) or aging (no data). Thus, 10,11-dihydro-5H-dibenz[b,f]azepine was treated with (ClCH₂CH₂)₂O and Et (R)-3-piperidinecarboxylate, followed by ester hydrolysis to give the acid II.

IT 146844-43-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of piperidinecarboxylic acid derivs. for treatment of non-insulin-dependent diabetes mellitus)

RN 146844-43-1 CAPLUS

CN Ethanol, 2-[2-(11,12-dihydrodibenz[b,g]azocin-5(10H)-yl)ethoxy]- (9CI)
(CA INDEX NAME)



L8 ANSWER 15 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1997:501427 CAPLUS

DOCUMENT NUMBER: 127:121639

TITLE: Piperidinecarboxylic acid derivatives for reducing blood glucose levels

INVENTOR(S): Olsen, Uffe Bang

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.

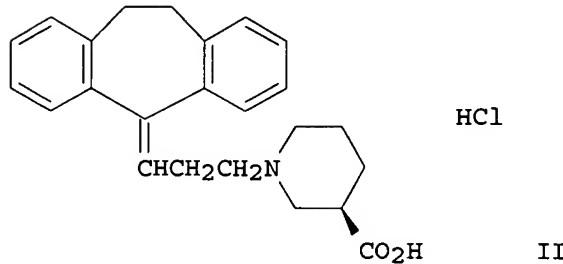
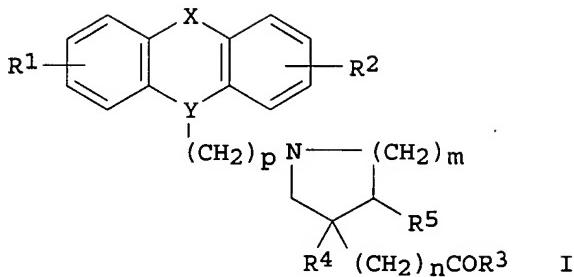
SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|---------------|-----------------|----------|
| WO 9722338 | A1 | 19970626 | WO 1996-DK524 | 19961212 |
| W: | AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| RW: | KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | |
| CA 2239487 | AA | 19970626 | CA 1996-2239487 | 19961212 |
| AU 9711384 | A1 | 19970714 | AU 1997-11384 | 19961212 |
| AU 704825 | B2 | 19990506 | | |
| EP 869777 | A1 | 19981014 | EP 1996-942264 | 19961212 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | |
| CN 1204258 | A | 19990106 | CN 1996-199019 | 19961212 |
| BR 9612005 | A | 19990209 | BR 1996-12005 | 19961212 |
| JP 3048067 | B2 | 20000605 | JP 1997-522429 | 19961212 |
| US 5741791 | A | 19980421 | US 1996-766839 | 19961213 |
| NO 9802732 | A | 19980814 | NO 1998-2732 | 19980612 |
| PRIORITY APPLN. INFO.: | | DK 1995-1426 | A 19951215 | |
| | | WO 1996-DK524 | W 19961212 | |
| OTHER SOURCE(S): | MARPAT | 127:121639 | | |



AB Title compds. I [R1, R2 = H, halogen, CF₃, alkyl, alkoxy; R3 = OH, alkoxy; R4, R5 = H, R4R5 = bond; X = O, S, (un)substituted CH₂, CH₂CH₂, CH:CHCH₂, CH₂CH:CH, (CH₂)₃, CH:CH, (un)substituted NHCO, OCH₂, CO, CS; Y = NCH₂, CHCH₂, C:CH; m = n = 1; m = 2, n = 0; p = 1-3] were prepd. for use in reducing blood glucose and/or inhibiting the secretion, circulation or effect of insulin antagonizing peptides like CGRP or amylin. Thus, acid II was prepd. from 10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-one in 4 steps. II at 100 mg/L in drinking water lowered CGRP levels in mice from 260 to 152 pg/mL.

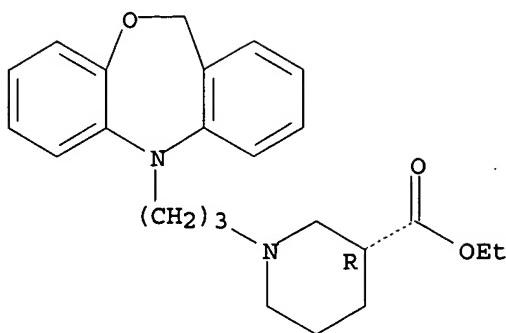
IT 170150-38-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of piperidinecarboxylic acid derivs. for reducing blood glucose levels)

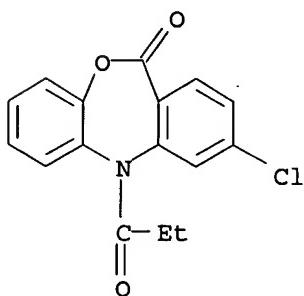
RN 170150-38-6 CAPLUS

CN 3-Piperidinecarboxylic acid, 1-(3-dibenz[b,e][1,4]oxazepin-5(11H)-ylpropyl)-, ethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



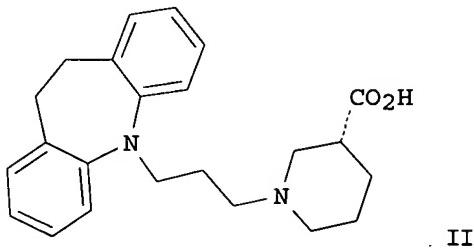
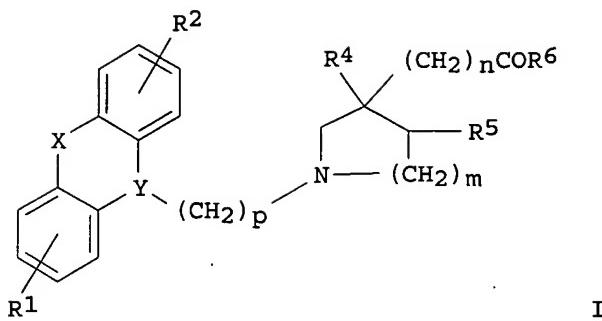
L8 ANSWER 16 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1997:324924 CAPLUS
 DOCUMENT NUMBER: 127:65747
 TITLE: Convenient synthesis of 6-substituted-2-chloro-5,12-dihydro-5-oxobenzoxazolo[3,2-a]quinolines and N-acylated-3-chlorodibenz[b,e][1,4]oxazepin-11(5H)-ones
 AUTHOR(S): Chung, Sang J.; Joo, Keum Chan; Kim, Dong H.
 CORPORATE SOURCE: Department of Chemistry and Center for Biofunctional Molecules, Pohang University of Science and Technology, Hyojadong Pohang, 790-784, S. Korea
 SOURCE: Journal of Heterocyclic Chemistry (1997), 34(2), 485-488
 CODEN: JHTCAD; ISSN: 0022-152X
 PUBLISHER: HeteroCorporation
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 127:65747
 AB Convenient synthesis of variously substituted 2-chloro-5,12-dihydro-5-oxobenzoxazolo[3,2-a]quinolines at the 6-position and N-acylated-3-chlorodibenz[b,e][1,4]oxazepin-11(5H)-ones are reported. The former compds. were obtained in 65-93% yield by simply heating N-acyl-4-chloro-N-(2-hydroxyphenyl)anthranilic acids in acetic anhydride for 4 h, and the latter by heating the sodium salt of N-acyl-4-chloro-N-(2-hydroxyphenyl)anthranilic acids with acetic anhydride.
 IT 191337-64-1P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prep. of)
 RN 191337-64-1 CAPLUS
 CN Dibenz[b,e][1,4]oxazepin-11(5H)-one, 3-chloro-5-(1-oxopropyl)- (9CI) (CA INDEX NAME)



L8 ANSWER 17 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1995:913379 CAPLUS
 DOCUMENT NUMBER: 123:313776
 TITLE: Novel azaheterocyclic acids useful as analgesics and antiinflammatories.
 INVENTOR(S): Andersen, Knud Erik; Olsen, Uffe Bang; Petersen, Hans;
 Groenvald, Frederik Christian; Sonnewald, Ursula;
 Joergensen, Tine Krogh; Andersen, Henrik Sune
 PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
 SOURCE: PCT Int. Appl., 54 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 5
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|--------------|-----------------|----------|
| WO 9518793 | A1 | 19950713 | WO 1995-DK2 | 19950103 |
| W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KE, KG,
KP, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MW, MX, NO, NZ, PL, RO,
RU, SD, SI, SK, TJ, TT, UA, UZ, VN | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, IE, IT, LU, MC, NL, PT, SE | | | | |
| IL 112222 | A1 | 19991231 | IL 1995-112222 | 19950102 |
| CA 2180238 | AA | 19950713 | CA 1995-2180238 | 19950103 |
| AU 9513110 | A1 | 19950801 | AU 1995-13110 | 19950103 |
| AU 691858 | B2 | 19980528 | | |
| EP 738262 | A1 | 19961023 | EP 1995-904409 | 19950103 |
| EP 738262 | B1 | 20000419 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, IE, IT, LI, LU, MC, NL, PT, SE | | | | |
| CN 1142226 | A | 19970205 | CN 1995-191845 | 19950103 |
| CN 1083431 | B | 20020424 | | |
| HU 75878 | A2 | 19970528 | HU 1996-1842 | 19950103 |
| JP 09507239 | T2 | 19970722 | JP 1995-518275 | 19950103 |
| JP 2944221 | B2 | 19990830 | | |
| BR 9506452 | A | 19970902 | BR 1995-6452 | 19950103 |
| CZ 286109 | B6 | 20000112 | CZ 1996-1921 | 19950103 |
| AT 191909 | E | 20000515 | AT 1995-904409 | 19950103 |
| ES 2147837 | T3 | 20001001 | ES 1995-904409 | 19950103 |
| PL 180209 | B1 | 20010131 | PL 1995-315294 | 19950103 |
| RU 2167152 | C2 | 20010520 | RU 1996-116134 | 19950103 |
| NZ 277763 | A | 20011130 | NZ 1995-277763 | 19950103 |
| ZA 9500031 | A | 19960704 | ZA 1995-31 | 19950104 |
| NO 9602811 | A | 19960904 | NO 1996-2811 | 19960703 |
| FI 9602749 | A | 19960904 | FI 1996-2749 | 19960704 |
| PRIORITY APPLN. INFO.: | | DK 1994-19 | A 19940104 | |
| | | DK 1994-1290 | A 19941109 | |
| | | WO 1995-DK2 | W 19950103 | |

OTHER SOURCE(S): CASREACT 123:313776; MARPAT 123:313776
 GI



AB The invention relates to novel N-substituted azaheterocyclic carboxylic acids and esters I [R1, R2 = H, halo, CF₃, alkyl, alkoxy; Y = NCH₂, CHCH₂, or C:CH, where only the 1st atom is within the ring; X = O, S, CR₇R₈, CH₂CH₂, CH:CHCH₂, CH₂CH:CH, CH₂CH₂CH₂, CH:CH, NR₉CO, OCH₂, CO, SO; R₇, R₈, R₉ = H, alkyl; p = 1, 2, 3; m = 1, 2; n = 1 when m = 1; or n = 0 when m = 2; R₄ = R₅ = H, or R₄R₅ = bond when m = 2; R₆ = OH, alkoxy]. Also disclosed are prepn. of I, compns. contg. I, and use of I for treatment of painful, hyperalgesic and/or inflammatory conditions in which C-fibers play a pathophysiol. role by eliciting neurogenic pain or inflammation. For example, 10,11-dihydro-5H-dibenz[b,f]azepine was alkylated in the 5-position by NaH and 3-bromopropyl tetrahydro-2-pyranyl ether, followed by deprotection with HCl in refluxing aq. MeOH, to give the 5-(3-hydroxypropyl) deriv. This underwent mesylation with MeSO₂Cl and Et₃N, and the mesylate was treated with (R)-3-piperidinecarboxylic acid Et ester (tartrate salt) and then hydrolyzed to give title compd. II, isolated as the HCl salt (III). In the formalin-induced pain response test in mice, III at 0.1 mg/kg gave 50% inhibition.

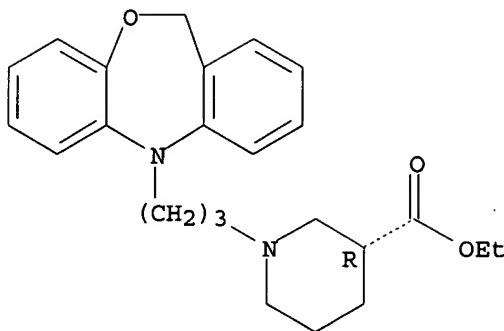
IT 170150-38-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of azaheterocyclic acids as analgesics and antiinflammatories)

RN 170150-38-6 CAPLUS

CN 3-Piperidinecarboxylic acid, 1-(3-dibenz[b,e][1,4]oxazepin-5(11H)-ylpropyl)-, ethyl ester, (R)- (9CI) (CA INDEX NAME)

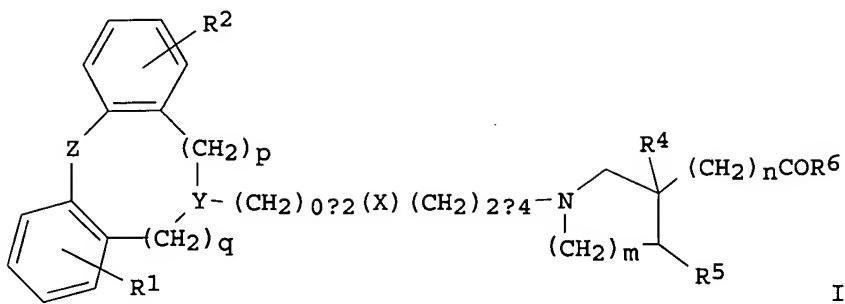
Absolute stereochemistry.



L8 ANSWER 18 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1995:808091 CAPLUS
 DOCUMENT NUMBER: 123:188590
 TITLE: A method of treating neurogenic inflammation
 INVENTOR(S): Andersen, Knud Erik; Olsen, Uffe Bang
 PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
 SOURCE: PCT Int. Appl., 55 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|-------------------|-------------|-----------------|----------|
| WO 9518615 | A1 | 19950713 | WO 1995-DK3 | 19950103 |
| W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KE, KG,
KP, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MW, MX, NO, NZ, PL, RO,
RU, SD, SI, SK, TJ, TT, UA, UZ, VN | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| CA 2180239 | AA | 19950713 | CA 1995-2180239 | 19950103 |
| AU 9513111 | A1 | 19950801 | AU 1995-13111 | 19950103 |
| EP 735872 | A1 | 19961009 | EP 1995-904410 | 19950103 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE | | | | |
| CN 1142183 | A | 19970205 | CN 1995-191801 | 19950103 |
| HU 76281 | A2 | 19970728 | HU 1996-1826 | 19950103 |
| JP 09507849 | T2 | 19970812 | JP 1995-518276 | 19950103 |
| BR 9506453 | A | 19970902 | BR 1995-6453 | 19950103 |
| ZA 9500030 | A | 19960704 | ZA 1995-30 | 19950104 |
| NO 9602812 | A | 19960904 | NO 1996-2812 | 19960703 |
| FI 9602750 | A | 19960904 | FI 1996-2750 | 19960704 |
| PRIORITY APPLN. INFO.: | | DK 1994-20 | 19940104 | |
| | | WO 1995-DK3 | | 19950103 |
| OTHER SOURCE(S): | MARPAT 123:188590 | | | |
| GI | | | | |



AB A method of treating neurogenic inflammation comprises administering an effective amt. of a compd: I [R1,R2 = H, halogen, trifluoromethyl, C1-6 alkyl or alkoxy; Y = NCH₂, CHCH₂; C:CH, CHCH:N, C:N; X = O; Z = O, S, CH₂, (CH₂)₂, CH:CHCH₂, CH₂CH:CH, (CH₂)₃, CH:CH, OCH₂; R₄, R₅ = H or a bond; R₆ = OH, C1-8 alkoxy; p, q = 0, 1; a = 0-2; b = 2-4; m = 1, 2; n = 0, 1] or a pharmaceutically acceptable salt thereof.

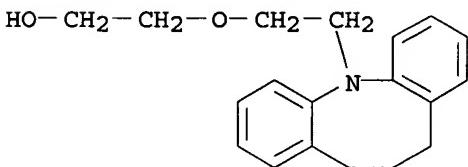
IT 146844-43-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(piperidine carboxylate derivs. as neurogenic inflammation inhibitors)

RN 146844-43-1 CAPLUS

CN Ethanol, 2-[2-(11,12-dihydrodibenz[b,g]azocin-5(10H)-yl)ethoxy]- (9CI)
(CA INDEX NAME)



L8 ANSWER 19 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1995:570871 CAPLUS

DOCUMENT NUMBER: 122:314588

TITLE: Preparation of sulfonamide and sulfonic ester derivatives each having tricyclic heterocyclic ring as antitumor agents

INVENTOR(S): Yoshino, Hiroshi; Ueda, Norihiro; Niijima, Jun; Haneda, Toru; Kotake, Yoshihiko; Yoshimatsu, Kentaro; Watanabe, Tatsuo; Nagasu, Takeshi; Tsukahara, Naoko; et al.

PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan

SOURCE: PCT Int. Appl., 84 pp.

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------|
| WO 9503279 | A1 | 19950202 | WO 1994-JP1231 | 19940726 |
| W: CA, FI, NO, RU, US | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| CA 2144854 | AA | 19950202 | CA 1994-2144854 | 19940726 |

| | | | | |
|---|----|----------------|----------------|----------|
| EP 679641 | A1 | 19951102 | EP 1994-921819 | 19940726 |
| EP 679641 | B1 | 20021002 | | |
| R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL, SE | | | | |
| JP 08081441 | A2 | 19960326 | JP 1994-174643 | 19940726 |
| AT 225334 | E | 20021015 | AT 1994-921819 | 19940726 |
| NO 9501108 | A | 19950523 | NO 1995-1108 | 19950323 |
| US 5834462 | A | 19981110 | US 1995-397254 | 19950323 |
| FI 9501416 | A | 19950517 | FI 1995-1416 | 19950324 |
| US 5854274 | A | 19981229 | US 1996-760738 | 19961205 |
| US 5846969 | A | 19981208 | US 1997-873033 | 19970611 |
| PRIORITY APPLN. INFO.: | | | | |
| | | JP 1993-202466 | A | 19930726 |
| | | JP 1994-158870 | A | 19940711 |
| | | WO 1994-JP1231 | W | 19940726 |
| | | US 1995-397254 | A3 | 19950323 |
| | | US 1996-760738 | A3 | 19961205 |

OTHER SOURCE(S): MARPAT 122:314588

GI For diagram(s), see printed CA Issue.

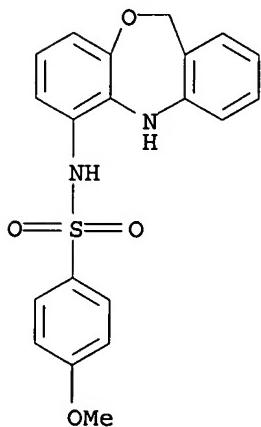
AB N-heterocyclylarylsulfonamide and heterocyclyl arylsulfonate derivs. each having a tricyclic hetero ring, represented by general formula G-SO₂-L-M [G = a 5- or 6-membered arom. ring; L = O or NR₁, wherein R₁ = H or lower alkyl; M = a tricyclic structure selected from the members Q - Q₅, wherein rings A and B represent each a 5 or 6-membered unsatd. ring; X = NR₂ (wherein R₂ = H or lower alkyl) or NHCO; Y = O, S(O)_n, CR₃R₄, CO, NR₅, CHR₆CHR₇, CR₈:R₉, NR₁₀CO, N:CR₁₁, OCHR₁₂, S(O)_nCH₁₃, or NR₁₄CHR₁₅; Z = N or CR₁₆, wherein n represents 0, 1 or 2; R₃ - R₁₃, R₁₅, R₁₆ = H or lower alkyl; R₁₄ = H, lower alkyl, or lower acyl] are prep'd. Thus, 107 mg 1-amino-10H-phenothiazine was dissolved in pyridine and a soln. of 115 mg 4-methoxybenzenesulfonyl chloride in THF was added followed by stirring the mixt. overnight at room temp. to give, after silica gel chromatog., a title compd. (I) (115 mg). I and phenothiazin-3-one deriv. (II) showed IC₅₀ of 0.11 and 0.016 .mu.g/mL against KB cells (human nasal cavity cancer). A total of 49 I were prep'd.

IT 163307-93-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prep'n. of N-heterocyclylarylsulfonamide as antitumor agent)

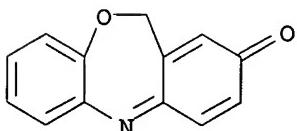
RN 163307-93-5 CAPLUS

CN Benzenesulfonamide, N-(5,11-dihydrodibenz[b,e][1,4]oxazepin-6-yl)-4-methoxy- (9CI) (CA INDEX NAME)

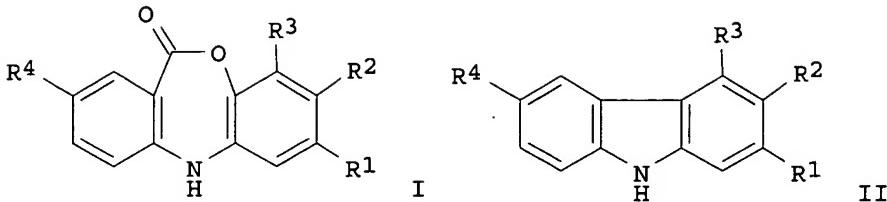


TITLE: Mediators suitable for the electrochemical regeneration of NADH, NADPH or their analogs
 INVENTOR(S): Corey, Paul F.; Musho, Matthew K.
 PATENT ASSIGNEE(S): Miles Inc., USA
 SOURCE: U.S., 8 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

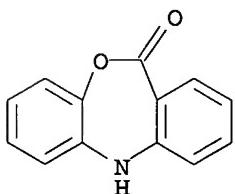
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|--|----------------|-----------------|----------|
| US 5393615 | A | 19950228 | US 1994-190855 | 19940203 |
| AU 9480280 | A1 | 19950810 | AU 1994-80280 | 19941207 |
| AU 674463 | B2 | 19961219 | | |
| EP 667397 | A1 | 19950816 | EP 1995-100849 | 19950123 |
| EP 667397 | B1 | 20011004 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE | | | | |
| AT 206466 | E | 20011015 | AT 1995-100849 | 19950123 |
| ES 2161787 | T3 | 20011216 | ES 1995-100849 | 19950123 |
| CA 2141494 | AA | 19950804 | CA 1995-2141494 | 19950131 |
| CA 2141494 | C | 20030114 | | |
| JP 07310194 | A2 | 19951128 | JP 1995-15025 | 19950201 |
| PRIORITY APPLN. INFO.: | | US 1994-190855 | A | 19940203 |
| AB | Disclosed is the use of 9H-acridin-2-one and 11H-dibenz-[b,e][1,4]oxazepin-2-one compds. as mediators suitable for the electrochem. regeneration of the coenzymes dihydronicotinamide adenine dinucleotide (NADH), dihydronicotinamide adenine dinucleotide phosphate (NADPH), or their analogs. | | | |
| IT | 162964-68-3DP, Dibenz[b,e][1,4]oxazepin-2(11H)-one, compds. | | | |
| RL: | ARU (Analytical role, unclassified); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation)
(mediators for electrochem. regeneration of NADH or NADPH or their analogs) | | | |
| RN | 162964-68-3 CAPLUS | | | |
| CN | Dibenz[b,e][1,4]oxazepin-2(11H)-one (9CI) (CA INDEX NAME) | | | |



L8 ANSWER 21 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1994:655579 CAPLUS
 DOCUMENT NUMBER: 121:255579
 TITLE: Photochemical synthesis of carbazoles from dibenzo[b,e][1,4]oxazepin-11(5H)-ones
 AUTHOR(S): Kudav, Dinesh P.; Kulkarni, Narendra N.; Hosangadi, Bhaskar D.
 CORPORATE SOURCE: Dep. Chem., Univ. Bombay, Bombay, 400 098, India
 SOURCE: Journal of Chemical Research, Synopses (1994), (7), 266-7
 DOCUMENT TYPE: CODEN: JRPSDC; ISSN: 0308-2342
 LANGUAGE: Journal
 OTHER SOURCE(S): English
 GI: CASREACT 121:255579



AB Dibenzo[b,e][1,4]oxazepin-11(5H)-ones I (R1-R4 = H, Me, OMe, nitro) were prep'd. from substituted anthranilic acid derivs. The photochem. cyclocondensation reaction of I furnished the carbazoles II (Same R1-R4).
IT 15676-55-8P, Depsazidone
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as intermediate for carbazole)
RN 15676-55-8 CAPLUS
CN Dibenz[b,e][1,4]oxazepin-11(5H)-one (8CI, 9CI) (CA INDEX NAME)

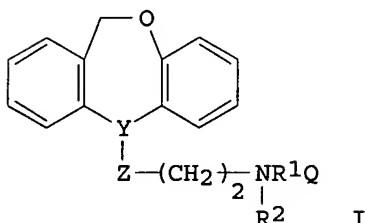


L8 ANSWER 22 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1994:595901 CAPLUS
DOCUMENT NUMBER: 121:195901
TITLE: Immunogen and tracer reagents and methods for the immunochemical quantification of total doxepins in biological fluids
INVENTOR(S): Adamczyk, Maciej; Fishbaugh, Jeffrey R.; Johnson, Donald; Hruska, Robert E.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: U.S., 20 pp. Cont.-in-part of U.S. Ser. No. 738,400, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------|
| US 5332661 | A | 19940726 | US 1992-916066 | 19920724 |
| CA 2111467 | AA | 19930218 | CA 1992-2111467 | 19920729 |
| CA 2111467 | C | 20021112 | | |
| WO 9303372 | A1 | 19930218 | WO 1992-US6318 | 19920729 |
| W: AU, CA, JP, KR | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE | | | | |
| AU 9224206 | A1 | 19930302 | AU 1992-24206 | 19920729 |
| EP 641440 | A1 | 19950308 | EP 1992-917171 | 19920729 |
| EP 641440 | B1 | 20001108 | | |
| R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL | | | | |
| JP 3071824 | B2 | 20000731 | JP 1993-503720 | 19920729 |

| | | | | |
|------------------------|----|----------|----------------|-------------|
| JP 06509797 | T2 | 19941102 | | |
| AT 197508 | E | 20001111 | AT 1992-917171 | 19920729 |
| ES 2153361 | T3 | 20010301 | ES 1992-917171 | 19920729 |
| US 5464767 | A | 19951107 | US 1994-226809 | 19940412 |
| PRIORITY APPLN. INFO.: | | | US 1991-738400 | B2 19910731 |
| | | | US 1992-916066 | A 19920724 |
| | | | WO 1992-US6318 | A 19920729 |

OTHER SOURCE(S) : MARPAT 121:195901
GI



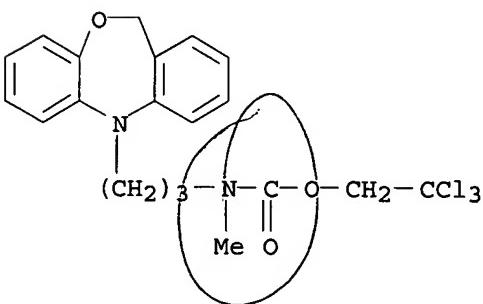
AB Immunoassay methods and reagents for the quantification of total doxepins (i.e., E-doxepin, Z-doxepin, E-desmethyldoxepin, and Z-desmethyldoxepin) in a test sample are disclosed. The methodol. uses antibodies prep'd. with immunogens I (YZ = NCH₂, CH:CH, R1 = linking group with 1-6 C and 0-2 heteroatoms; R2 = H, Me; Q = immunogenic carrier) and labeled reagents I (YZ, R1, R2 as above; Q = detectable moiety). Prepn. of immunogens and labeled compds. is included. A fluorescence polarization immunoassay for total doxepins using the compds. of the invention is described; std. curves are included. There was a good correlation of the above assay with an HPLC assay.

IT 141990-98-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in reagent prepn. for total doxepin immunoassay)

RN 141990-98-9 CAPLUS

CN Carbamic acid, (3-dibenz[b,e][1,4]oxazepin-5(11H)-ylpropyl)methyl-, 2,2,2-trichloroethyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 23 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1993:472937 CAPLUS

DOCUMENT NUMBER: 119:72937

TITLE: A new chromogenic beta-galactosidase substrate based on the redox indicator dye 'methyl purple'

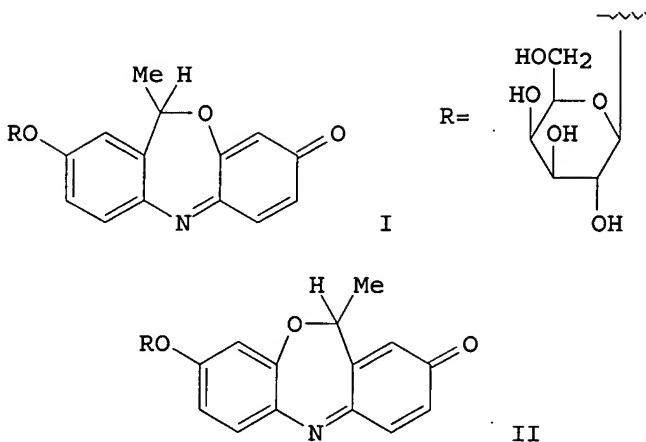
AUTHOR(S): Corey, Paul F.

CORPORATE SOURCE: Diagn. Div., Miles Inc., Elkhart, IN, 46515, USA

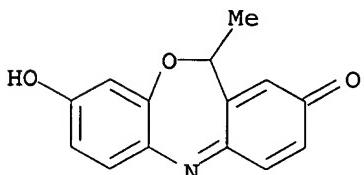
SOURCE: Bioorganic & Medicinal Chemistry Letters (1993), 3(2), 175-8

DOCUMENT TYPE:
LANGUAGE:
GI

CODEN: BMCLE8; ISSN: 0960-894X
Journal
English



- AB The .beta.-galactoside of 'methyl purple' I and II is a new chromogenic substrate that exhibits a 137 nm color shift upon hydrolysis at pH 7.4, a Km of 0.075 mM and a kcat of 1.2 .times. 104 mol min-1/mol of .beta.-galactosidase active site.
- IT 50354-32-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(glycosidation of)
- RN 50354-32-0 CAPLUS
- CN Dibenz[b,e][1,4]oxazepin-2(11H)-one, 8-hydroxy-11-methyl- (9CI) (CA INDEX NAME)



L8 ANSWER 24 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1993:205217 CAPLUS
DOCUMENT NUMBER: 118:205217
TITLE: Reagents and methods for the immunochemical quantification of total tricyclic antidepressant doxepins in biological fluids
INVENTOR(S): Adamczyk, Maciej; Fishbaugh, Jeffrey R.; Hruska, Robert E.; Johnson, Donald
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: PCT Int. Appl., 51 pp.
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 9303372 | A1 | 19930218 | WO 1992-US6318 | 19920729 |
| W: AU, CA, JP, KR
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE | | | | |
| US 5332661 | A | 19940726 | US 1992-916066 | 19920724 |
| AU 9224206 | A1 | 19930302 | AU 1992-24206 | 19920729 |
| EP 641440 | A1 | 19950308 | EP 1992-917171 | 19920729 |
| EP 641440 | B1 | 20001108 | | |
| R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL | | | | |
| JP 3071824 | B2 | 20000731 | JP 1993-503720 | 19920729 |
| JP 06509797 | T2 | 19941102 | | |
| AT 197508 | E | 20001111 | AT 1992-917171 | 19920729 |
| PRIORITY APPLN. INFO.: | | | US 1991-738400 | A 19910731 |
| | | | US 1992-916066 | A 19920724 |
| | | | WO 1992-US6318 | A 19920729 |

OTHER SOURCE(S): MARPAT 118:205217

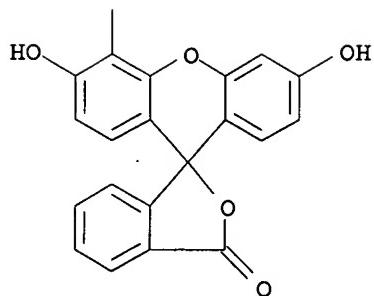
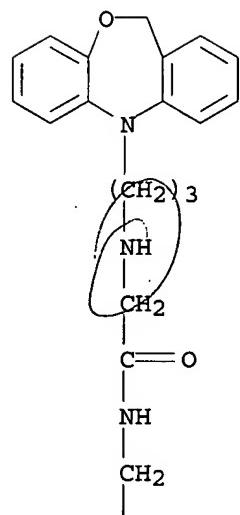
AB Immunoassay methods and reagents are disclosed for the detn. of total doxepins (i.e. E-doxepin, Z-doxepin, E-demethyldoxepin, and Z-desmethyldoxepin) in a test sample. Doxepin derivs. contg. a conjugated immunogenic protein (for antibody prodn.) or a detectable label (for a tracer) are provided (Markush included). Prepn. of doxepin derivs. and their conjugation with albumin or reaction with e.g. aminomethylfluorescein are described. Antisera raised using the prepd. immunogens, as well as the prepd. tracers, were used in a fluorescence-polarization immunoassay for total doxepins (std. curves included). Linear regression anal. showed a good correlation between the assay of the invention and an HPLC assay.

IT 147392-99-2

RL: ANST (Analytical study)
(as tracer for total doxepin immunoassay)

RN 147392-99-2 CAPLUS

CN Acetamide, 2-[(3-dibenz[b,e][1,4]oxazepin-5(11H)-ylpropyl)amino]-N-[(3',6'-dihydroxy-3-oxospiro[isobenzofuran-1(3H),9'-[9H]xanthen]-4'-yl)methyl]-(9CI) (CA INDEX NAME)



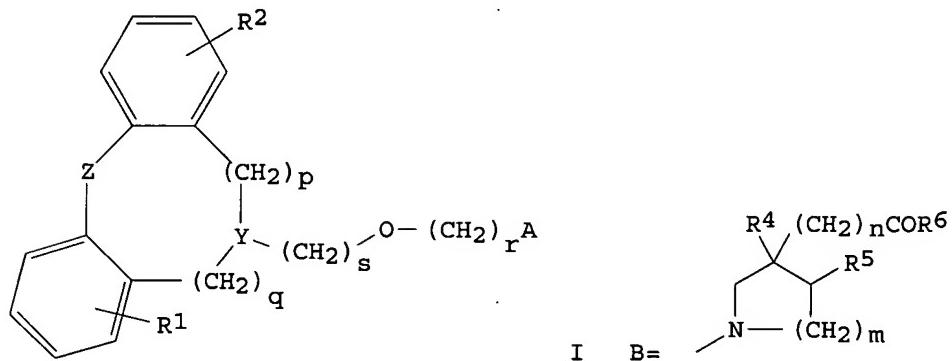
L8 ANSWER 25 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1993:168995 CAPLUS
 DOCUMENT NUMBER: 118:168995
 TITLE: Novel heterocyclic carboxylic acids
 INVENTOR(S): Andersen, Knud Erik; Knutsen, Lars Jacob Stray;
 Soerensen, Per Olav; Lundt, Behrend Friedrich; Lau,
 Jesper; Petersen, Hans
 PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
 SOURCE: PCT Int. Appl., 58 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|-------|-------|-----------------|-------|
| ----- | ----- | ----- | ----- | ----- |

| | | | | |
|---|----|---------------|-----------------|----------|
| WO 9220658 | A1 | 19921126 | WO 1992-DK155 | 19920514 |
| W: AU, BG, CA, CS, FI, HU, JP, KR, NO, PL, RO, RU | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE | | | | |
| CA 2102811 | AA | 19921118 | CA 1992-2102811 | 19920514 |
| AU 9217837 | A1 | 19921230 | AU 1992-17837 | 19920514 |
| AU 665761 | B2 | 19960118 | | |
| EP 585314 | A1 | 19940309 | EP 1992-910899 | 19920514 |
| EP 585314 | B1 | 19960918 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, SE | | | | |
| JP 06507616 | T2 | 19940901 | JP 1992-509775 | 19920514 |
| US 5348965 | A | 19940920 | US 1992-882788 | 19920514 |
| AT 143009 | E | 19961015 | AT 1992-910899 | 19920514 |
| ES 2094357 | T3 | 19970116 | ES 1992-910899 | 19920514 |
| ZA 9203556 | A | 19930127 | ZA 1992-3556 | 19920515 |
| IL 101887 | A1 | 19961016 | IL 1992-101887 | 19920515 |
| NO 9304159 | A | 19931117 | NO 1993-4159 | 19931117 |
| PRIORITY APPLN. INFO.: | | DK 1991-937 | 19910517 | |
| | | WO 1992-DK155 | 19920514 | |

OTHER SOURCE(S) : MARPAT 118:168995

GI



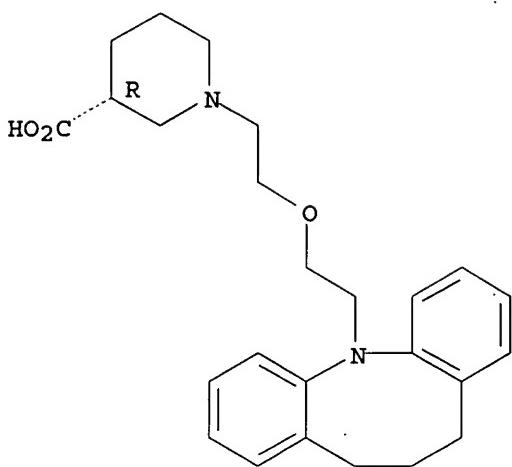
AB The title compd. I (A = B; R1, R2 = H, halo, F, C, C1-6-alkyl, -alkoxy; R4, R5 = H; R4R5 = direct bond; R6 = OH, C1-8-alkoxy; Y = >NCH2-, >CHCH2-, >C:CH-; Z = O, S, CH2, etc.; m, n, p-s = 0-4) (II) were prep'd. by treating I (A = halo, p-toluenesulfonate, mesylate) with BH in the presence of an alkali metal iodide and K2CO3. II are useful in treating a central nervous system ailment related to GABA uptake.

IT 146844-18-0P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and GABA inhibition by)

RN 146844-18-0 CAPLUS

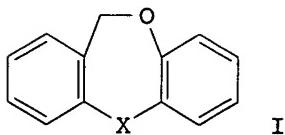
CN 3-Piperidinocarboxylic acid, 1-[2-[2-(6,7-dihydrodibenz[b,g]azocin-12(5H)-yl)ethoxy]ethyl]-, monohydrochloride, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

L8 ANSWER 26 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1992:426530 CAPLUS
 DOCUMENT NUMBER: 117:26530
 TITLE: Efficient synthesis of tricyclic antidepressant normetabolites.
 AUTHOR(S): Adamczyk, Maciek; Fishpaugh, Jeffrey R.; Johnson, Donald
 CORPORATE SOURCE: Abbott Lab., Abbott Park, IL, 60064, USA
 SOURCE: Organic Preparations and Procedures International (1992), 24(2), 168-71
 CODEN: OPPIAK; ISSN: 0030-4948
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 117:26530
 GI

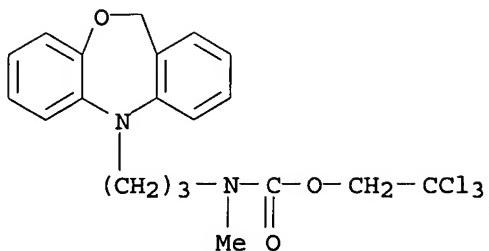


AB E- And Z-doxepins (I, X = C:CHCH₂CH₂NMe₂) and dibenz[b,e][1,4]oxazepine I (X = NCH₂CH₂CH₂NMe₂) were N-demethylated by sequential treatment with Cl₃CCH₂OOC_l/Et₃N(CHMe₂)₂/CHCl₃ and Zn/THF to give I (X = C:CHCH₂CH₂NHMe, NCH₂CH₂CH₂NHMe), resp., via carbamates I (X = C:CHCH₂CH₂NMeCO₂CH₂CCl₃, NCH₂CH₂CH₂NMeCO₂CH₂CCl₃).

IT 141990-98-9P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (prepn. and reductive deacylation of, with zinc)

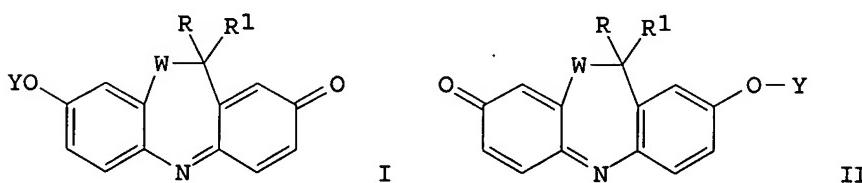
RN 141990-98-9 CAPLUS

CN Carbamic acid, (3-dibenz[b,e][1,4]oxazepin-5(11H)-ylpropyl)methyl-, 2,2,2-trichloroethyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 27 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1991:247659 CAPLUS
 DOCUMENT NUMBER: 114:247659
 TITLE: Preparation of chromogenic hydroxydibenzoxazepinones and -dibenzothiazepiones, including their glycosides, as substrates for enzyme detection
 INVENTOR(S): Corey, Paul F.
 PATENT ASSIGNEE(S): Miles, Inc., USA
 SOURCE: Eur. Pat. Appl., 18 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|-------------------|-----------------|------------|
| EP 402699 | A2 | 19901219 | EP 1990-110198 | 19900530 |
| EP 402699 | A3 | 19910130 | | |
| EP 402699 | B1 | 19950222 | | |
| R: DE, FR, GB, IT | | | | |
| US 5104980 | A | 19920414 | US 1989-364157 | 19890612 |
| CA 2013525 | AA | 19901212 | CA 1990-2013525 | 19900330 |
| CA 2013525 | C | 19970304 | | |
| AU 9053967 | A1 | 19910103 | AU 1990-53967 | 19900426 |
| AU 609008 | B2 | 19910418 | | |
| JP 03041073 | A2 | 19910221 | JP 1990-150072 | 19900611 |
| JP 3072350 | B2 | 20000731 | | |
| DD 297965 | A5 | 19920130 | DD 1990-341536 | 19900611 |
| US 5183743 | A | 19930202 | US 1991-800112 | 19911129 |
| PRIORITY APPLN. INFO.: | | | US 1989-364157 | A 19890612 |
| OTHER SOURCE(S): | | MARPAT 114:247659 | | |
| GI | | | | |



AB The title compds. [I, II; Y = enzyme-cleavable group, e.g., glycosyl, acylglycosyl, acyl, (HO)₂P(O); W = O, S; R, R₁ = H, alkyl, aryl] were prep'd. I [R = Me, R₁ = Y = H, W = O] was glycosidated with acetobromogalactose in the presence of Ag₂O in quinoline/AcOEt to give I [R = Me, R₁ = H, W = O, Y = tetra-O-acetylgalactopyranosyl], which was sensitive enough to detect .beta.-galactosidase at 0.025 IU/mL.

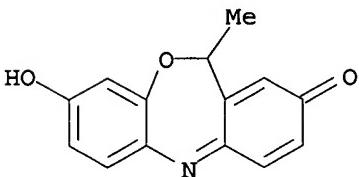
09/ 076,575

IT 50354-32-0

RL: RCT (Reactant); RACT (Reactant or reagent)
(glycosidation of)

RN 50354-32-0 CAPLUS

CN Dibenz[b,e][1,4]oxazepin-2(11H)-one, 8-hydroxy-11-methyl- (9CI) (CA INDEX
NAME)



L8 ANSWER 28 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1990:98410 CAPLUS

DOCUMENT NUMBER: 112:98410

TITLE: Dibenzoxocinamines and related compounds as
antipsychotics

INVENTOR(S): Rae, Duncan Robertson; Cairns, James

PATENT ASSIGNEE(S): AKZO N. V., Neth.

SOURCE: Eur. Pat. Appl., 7 pp.

CODEN: EPXXDW

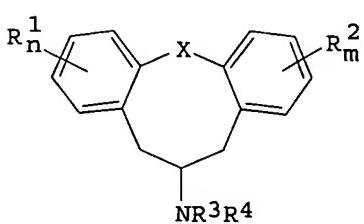
DOCUMENT TYPE: Patent

LANGUAGE: English

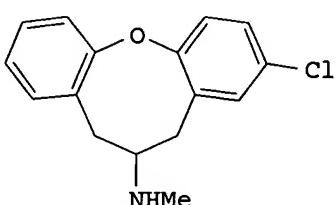
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|------------------|-----------------|----------|
| EP 332246 | A1 | 19890913 | EP 1989-200473 | 19890227 |
| R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE | | | | |
| ZA 8901625 | A | 19891129 | ZA 1989-1625 | 19890302 |
| FI 8901099 | A | 19890912 | FI 1989-1099 | 19890308 |
| US 4904688 | A | 19900227 | US 1989-320340 | 19890308 |
| DK 8901152 | A | 19890912 | DK 1989-1152 | 19890309 |
| JP 02004740 | A2 | 19900109 | JP 1989-57656 | 19890309 |
| AU 8931205 | A1 | 19890914 | AU 1989-31205 | 19890310 |
| PRIORITY APPLN. INFO.: | | EP 1988-302129 | | 19880311 |
| OTHER SOURCE(S): | | MARPAT 112:98410 | | |
| GI | | | | |



I



II

AB The title compds. (I; R1, R2 = H, OH, C1-6 alkyl, alkoxy, halo, CF3, CN; R3, R4 = H, C1-6 alkyl; R3R4N = 5- or 6-membered heterocycl; X = O, S, CH2, imino; m, n = 1-4), useful as antipsychotics devoid of extrapyramidal side effects (no data), were prepnd. Thus, 5H-dibenz[b,g]oxocin-6(7H)-one (prepn. given) was refluxed 3 h in HCO2H/methylformamide contg. MgCl2.6H2O

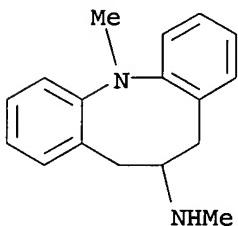
to give 6,7-dihydro-N-methyl-5H-dibenz[b,g]oxocine-6-formamide. The latter was refluxed with EtOH/50% aq. NaOH for 18 h to give 6,7-dihydro-N-methyl-5H-dibenz[b,g]oxocin-6-amine, isolated as the HCl salt. The preferred I is oxocinamine II. I are said to be very potent dopamine and serotonin antagonists.

IT 125449-17-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of, as antipsychotic)

RN 125449-17-4 CAPLUS

CN Dibenz[b,g]azocin-6-amine, 5,6,7,12-tetrahydro-N,12-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L8 ANSWER 29 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1988:640655 CAPLUS
 DOCUMENT NUMBER: 109:240655
 TITLE: Electrophotographic photoreceptor containing hydrazone charge-transporting material
 INVENTOR(S): Hirose, Hisahiro; Kinoshita, Akira; Takei, Yoshiaki; Goto, Satoshi
 PATENT ASSIGNEE(S): Konica Co., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 15 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------------------|------|----------|-----------------|----------|
| JP 63186249 | A2 | 19880801 | JP 1987-17752 | 19870128 |
| PRIORITY APPLN. INFO.: GI | | | JP 1987-17752 | 19870128 |

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title electrophotog. photoreceptor has a layer contg. I [Y = bonding chain, unsubstituted methylene, (substituted) ethylene, (substituted) vinylene, (substituted) propylene; R₁,R₂ = (substituted) alkyl, (substituted) aryl, (substituted) aralkyl; R₃-R₁₀ = H, alkyl, alkoxy, OH, halogen; Ar₁,Ar₂ = (substituted) benzene ring; (substituted) polycondensed ring, (substituted) heterocyclic ring] as a charge-transporting material. The photoreceptor shows improved sensitivity, and durability. An

electrophotog. photoreceptor having a charge-generating layer contg. II and a charge-transporting layer contg. III showed the surface potential $V_a = 1250$ V at the 1st measurement $V_a = 1190$ V at the 100th measurement, and the exposure value $E_{50500} = 7.0$ lx-s at the 1st measurement and $E_{50500} = 6.7$ lx-s at the 100th measurement.

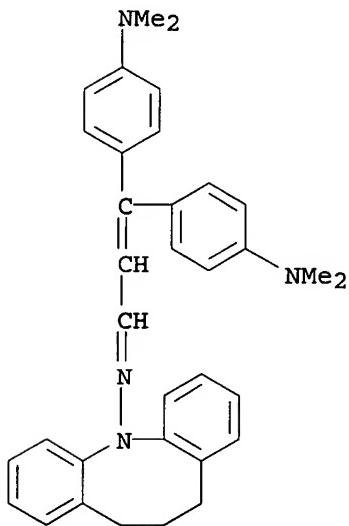
IT 117791-64-7

RL: USES (Uses)

(charge-transporting material, electrophotog. photoreceptor contg.)

RN 117791-64-7 CAPLUS

CN Dibenz[b,g]azocin-12(5H)-amine, N-[3,3-bis[4-(dimethylamino)phenyl]-2-propenylidene]-6,7-dihydro- (9CI) (CA INDEX NAME)



L8 ANSWER 30 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1987:458829 CAPLUS

DOCUMENT NUMBER: 107:58829

TITLE: The chemistry of 5,6,7,12-tetrahydro-5,7-dioxo-N-phenyldibenz[b,g]azocine: a new entry in the dibenz[b,g]azocine class

AUTHOR(S): Fox, John L.; Chen, Chin H.; Luss, Henry R.

CORPORATE SOURCE: Corp. Res. Lab., Eastman Kodak Co., Rochester, NY, 14650, USA

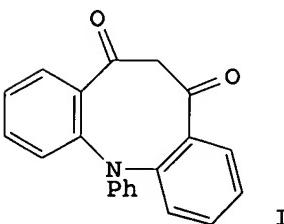
SOURCE: Journal of Organic Chemistry (1987), 52(14), 2980-3
CODEN: JOCEAH; ISSN: 0022-3263

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 107:58829

GI



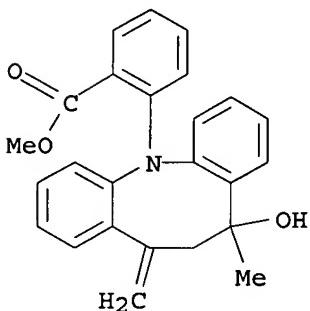
AB The title compd. I was isolated as a byproduct of methylating the sterically hindered 2,2'-dicarbomethoxytriphenylamine. The isolation, chem. and phys. characterization, and single-crystal x-ray structure of the title compd. are described. The structure and properties for several derivs. are also reported.

IT 108561-09-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and ring cleavage of)

RN 108561-09-7 CAPLUS

CN Benzoic acid, 2-(6,7-dihydro-5-hydroxy-5-methyl-7-methylenedibenz[b,g]azocin-12(5H)-yl)-, methyl ester (9CI) (CA INDEX NAME)



L8 ANSWER 31 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1987:458825 CAPLUS

DOCUMENT NUMBER: 107:58825

TITLE: Dibenzocyclooctene-, dibenzochalcocine-, and diarenochalconinediones

AUTHOR(S): Hellwinkel, Dieter; Bohnet, Siegbert

CORPORATE SOURCE: Org. Chem. Inst., Univ. Heidelberg, Heidelberg, D-6900/1, Fed. Rep. Ger.

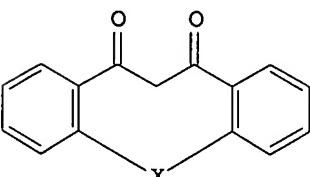
SOURCE: Chemische Berichte (1987), 120(7), 1151-73
CODEN: CHBEAM; ISSN: 0009-2940

DOCUMENT TYPE: Journal

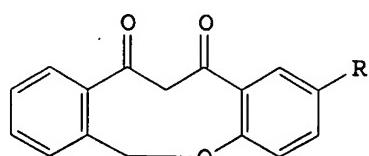
LANGUAGE: German

OTHER SOURCE(S): CASREACT 107:58825

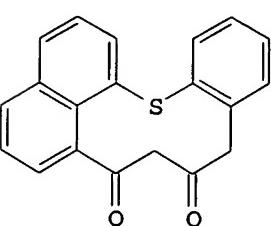
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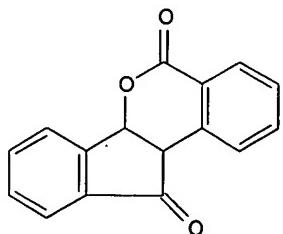
I



II



III



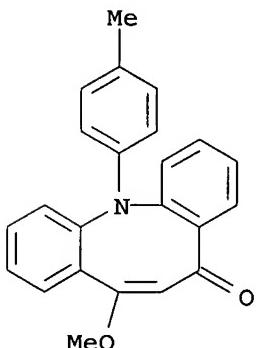
IV

AB 2,2'-Oxybis-, -thiobis-, and -methylenebisbenzoic esters react with MeLi in ether to give low yields of 5H-dibenzo[b,g]chalcocene-5,7(6H)-diones I (X = O, S) and dibenzo[a,d]cyclooctene-5,7(6H,12H)-dione (I; X = CH₂), resp. Very good yields of such heterocycles with oxygen, e.g., I (X = O), sulfur, e.g., I (X = S), and selenium I (X = Se) as key atoms are obtained when diaryl ethers, -sulfides, and -selenides that contain 2'-acetyl-(or-propionyl-) and 2-methoxycarbonyl groups are treated with NaH in boiling toluene. Analogously are prep'd. the dibenz[b,g]oxonine-11,13(6H,12H)-diones II (R = H, Me, MeO) and 7H-benzo[h]naphtho[1,8-bc]thionine-7,9(8H)-dione (III), which are expanded by one ring member. In the analogous reaction of a corresponding benzophenone deriv. spiro[1H-indene-1,1'(3'H)-isobenzofuran]-3(2H),3'-dione (IV) is formed in a tandem reaction. Under phase transfer conditions the dibenzochalcocinediones and also the corresponding nitrogen cycles react to give mixts. of C- and O-alkyl derivs. With bromine and SO₂Cl₂, resp., the methylene group is mono- or dihalogenated to give the products.

IT 104014-54-2P

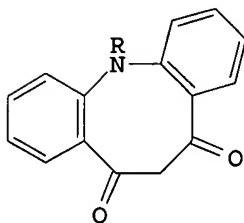
RL: SPN (Synthetic preparation); PREP (Preparation)
(prep'n. of)

RN 104014-54-2 CAPLUS

CN Dibenz[b,g]azocin-5(12H)-one, 7-methoxy-12-(4-methylphenyl)- (9CI) (CA
INDEX NAME)

L8 ANSWER 32 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1987:4845 CAPLUS
 DOCUMENT NUMBER: 106:4845
 TITLE: 12-Organydibenz[b,g]azocine-5,7-diones
 AUTHOR(S): Hellwinkel, Dieter; Ittemann, Peter
 CORPORATE SOURCE: Org.-Chem. Inst., Univ. Heidelberg, Heidelberg, 6900,
 Fed. Rep. Ger.
 SOURCE: Chemische Berichte (1986), 119(10), 3165-97
 DOCUMENT TYPE: Journal
 LANGUAGE: German
 OTHER SOURCE(S): CASREACT 106:4845
 GI



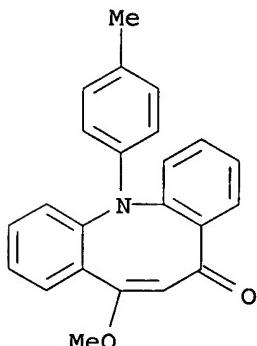
AB The title compds. I (R = Ph, substituted Ph, 1-naphthyl) and the p-phenylene dimer are formed in low yields on treatment of (2-MeO₂CC₆H₄)₂NR with MeLi, but in high yields in the intramol. ester condensation of 2-AcC₆H₄NRC₆H₄CO₂Me-2 with NaH. I exist exclusively in the .beta.-diketo form and react with excess NaH or LiH to give the enolates. These, on treatment with MeI, form mixts. of C- and O-methylated derivs. Nucleophiles, such as NH₂OH, arylhydrazines, MeLi, and also LiAlH₄, condense or add to the carbonyl groups, whereas KOH-MeOH leads to ester or acid cleavage with ring opening. Electrophiles react predominantly at the N-aryl groups, but under more severe conditions also at the fused arenes. Strong acids, however, cause formal ketene extrusion and ring contraction, leading to acridones.

IT 104014-54-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 104014-54-2 CAPLUS

CN Dibenz [b,g]azocin-5(12H)-one, 7-methoxy-12-(4-methylphenyl)- (9CI) (CA INDEX NAME)



L8 ANSWER 33 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1985:109297 CAPLUS
 DOCUMENT NUMBER: 102:109297
 TITLE: Methyl purple, an exceptionally sensitive monitor of chloroplast photosystem I turnover: physical properties and synthesis
 AUTHOR(S): Graan, Thomas; Ort, Donald R.; Prince, Roger C.
 CORPORATE SOURCE: Dep. Plant Biol., Univ. Illinois, Urbana, IL, 61801, USA
 SOURCE: Analytical Biochemistry (1985), 144(1), 193-8
 CODEN: ANBCA2; ISSN: 0003-2697
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB The specific molar absorption coeffs. of both the anionic and protonated forms of Me purple were detd. The oxidn.-redn. midpoint potential of Me

purple over the pH range 3 to 12 was also detd. by polarog. methods, and the effect of pH on the visible absorption spectrum is reported. A detailed procedure for the synthesis of Me purple is given.

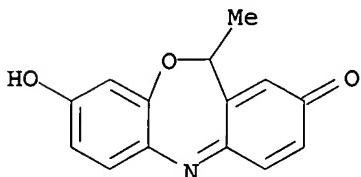
IT 50354-32-0P

RL: PREP (Preparation)

(prepn. of, as sensitive monitor of chloroplast photosystem I turnover)

RN 50354-32-0 CAPLUS

CN Dibenz[b,e] [1,4]oxazepin-2(11H)-one, 8-hydroxy-11-methyl- (9CI) (CA INDEX NAME)



L8 ANSWER 34 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1983:470780 CAPLUS

DOCUMENT NUMBER: 99:70780

TITLE: Tricyclic ethers and their use in pharmaceutical preparations

INVENTOR(S): Malen, Charles; Poignant, Jean Claude

PATENT ASSIGNEE(S): ADIR, Fr.

SOURCE: Eur. Pat. Appl., 31 pp.

CODEN: EPXXDW

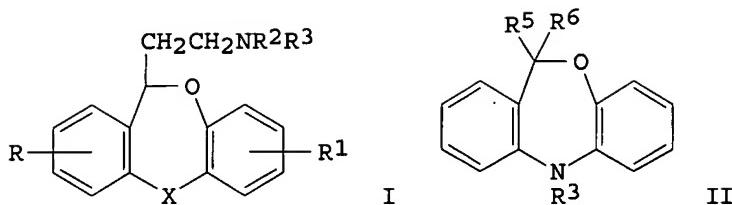
DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|----------|----------|-----------------|----------|
| EP 74304 | A1 | 19830316 | EP 1982-401567 | 19820824 |
| EP 74304 | B1 | 19850403 | | |
| R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE | | | | |
| FR 2512024 | A1 | 19830304 | FR 1981-16347 | 19810827 |
| FR 2512024 | B1 | 19840106 | | |
| US 4496557 | A | 19850129 | US 1982-408451 | 19820816 |
| CA 1227481 | A1 | 19870929 | CA 1982-409886 | 19820820 |
| AT 12497 | E | 19850415 | AT 1982-401567 | 19820824 |
| ES 515232 | A1 | 19831101 | ES 1982-515232 | 19820825 |
| AU 8287730 | A1 | 19830303 | AU 1982-87730 | 19820826 |
| JP 58074673 | A2 | 19830506 | JP 1982-148452 | 19820826 |
| JP 61029950 | B4 | 19860710 | | |
| ZA 8206252 | A | 19830727 | ZA 1982-6252 | 19820826 |
| HU 30018 | O | 19840228 | HU 1982-2756 | 19820826 |
| IL 66650 | A1 | 19850830 | IL 1982-66650 | 19820826 |
| PRIORITY APPLN. INFO.: | | | FR 1981-16347 | 19810827 |
| | | | EP 1982-401567 | 19820824 |
| OTHER SOURCE(S): | CASREACT | 99:70780 | | |
| GI | | | | |



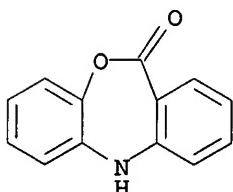
AB Psychotropic (no data) cyclic ethers I (X = bond, CH₂, NR₄; R, R₁ = H, halogen, alkyl, alkoxy, CF₃; R₂, R₃ = H, alkyl; NR₂R₃ = heterocyclic; R₄ = H, alkyl, acyl) were prep'd. Thus the dibenzoxazepinone II (R₃ = H, R₅R₆ = O) was N-acetylated and treated with MeO₂CCH:PPH₃ to give II (R₃ = Ac, R₅R₆ = CHCO₂Me) which was hydrogenated to II (R₃ = Ac, R₅ = H, R₆ = CH₂CO₂Me). LiBEt₃H redn. of the ester group gave II (R₃ = Ac, R₅ = H, R₆ = CH₂CH₂OH) which was tosylated and treated with Me₂NH to give II (R₃ = Ac, R₅ = H, R₆ = CH₂CH₂NMe₂).

IT 15676-55-8

RL: RCT (Reactant); RACT (Reactant or reagent)
(acetylation of)

RN 15676-55-8 CAPLUS

CN Dibenz[b,e][1,4]oxazepin-11(5H)-one (8CI, 9CI) (CA INDEX NAME)



L8 ANSWER 35 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1983:414345 CAPLUS

DOCUMENT NUMBER: 99:14345

TITLE: 12-Phenyl-5,12-dihydrodibenz[b,g]azocin-5-one,
C₂₁H₁₅NO

AUTHOR(S): Preut, Hans; Thimme, Michael; Eicher, Theophil;
Krueger, Carl

CORPORATE SOURCE: Abt. Chem., Univ. Dortmund, Dortmund, D-4600, Fed.
Rep. Ger.

SOURCE: Acta Crystallographica, Section C: Crystal Structure
Communications (1983), C39(6), 768-70
CODEN: ACSCEE; ISSN: 0108-2701

DOCUMENT TYPE: Journal

LANGUAGE: English

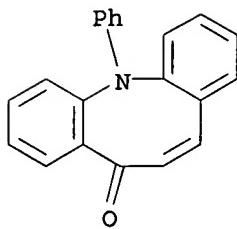
AB The title compd. is monoclinic, space group C2/c, with a 15.724(12), b 9.222(6), c 21.504(16) .ANG., and .beta. 95.91(8).degree.; Z = 8 for d = 1.274. Final R = 0.055 for 1170 data. The mol. structure has been elucidated at. coordinates are give.

IT 86156-66-3

RL: PRP (Properties)
(structure of)

RN 86156-66-3 CAPLUS

CN Dibenz[b,g]azocin-5(12H)-one, 12-phenyl- (9CI) (CA INDEX NAME)



L8 ANSWER 36 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1982:217741 CAPLUS

DOCUMENT NUMBER: 96:217741

TITLE: Further studies on the reaction of
N-(2-hydroxyphenyl)anthranilic acids with acetic
anhydride

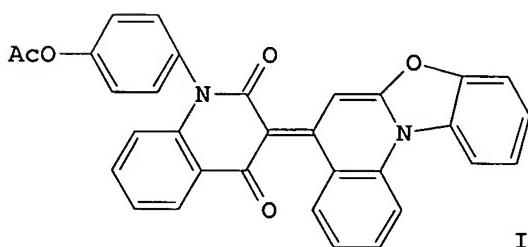
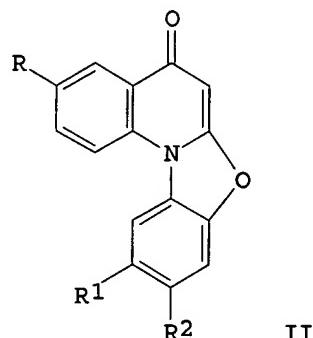
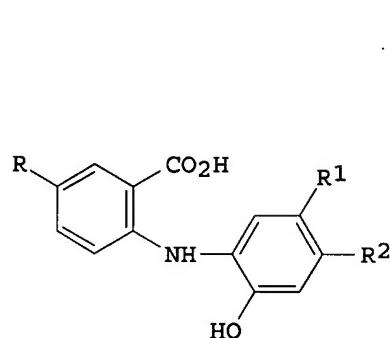
AUTHOR(S): Kim, Dong Han

CORPORATE SOURCE: Res. Div., Wyeth Lab. Inc., Philadelphia, PA, 19101,
USASOURCE: Journal of Heterocyclic Chemistry (1981), 18(7),
1389-92

DOCUMENT TYPE: CODEN: JHTCAD; ISSN: 0022-152X

LANGUAGE: English

GI



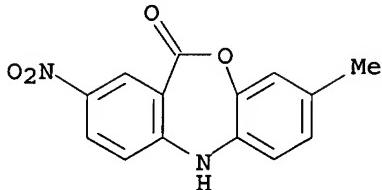
AB The anthranilic acids I ($R = H$, $R1 = H$, $R2 = Cl$; $R = NO_2$, $R1 = H$, $R2 = Me$; $R = NO_2$, $R1 = Me$, $R2 = H$) reacted with Ac₂O to give the benzoxazoloquinolinones II and various minor products, e.g. the benzoxazoloquinolinone III and dibenzoxazepinone IV.

IT 79091-34-2P

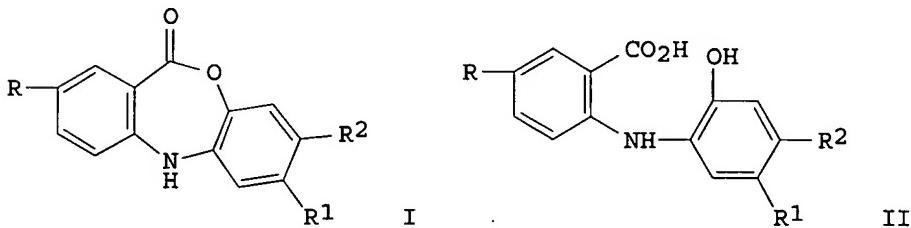
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and acetylation of)

09/ 076,575

RN 79091-34-2 CAPLUS
CN Dibenz[b,e][1,4]oxazepin-11(5H)-one, 8-methyl-2-nitro- (9CI) (CA INDEX NAME)



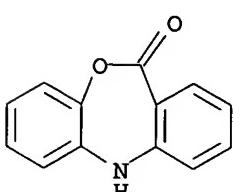
L8 ANSWER 37 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1981:532837 CAPLUS
DOCUMENT NUMBER: 95:132837
TITLE: Cyanogen bromide as a reagent for lactone formation.
Preparation of dibenz[b,e][1,4]oxazepin-11(5H)-ones
AUTHOR(S): Kim, Dong Han
CORPORATE SOURCE: Res. Div., Wyeth Lab., Inc., Philadelphia, PA, 19101,
USA
SOURCE: Journal of Heterocyclic Chemistry (1981), 18(4), 855-6
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



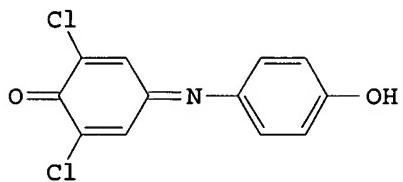
AB The title compds. I (R-R2 = H; R = R2 = H, R1 = Cl; R = NO₂, R1 = Me, R2 = H, R1 = H, R2 = Me) were prep'd. in 52.5-83% yields by cyclizing II with BrCN in the presence of Et₃N.

IT 15676-55-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

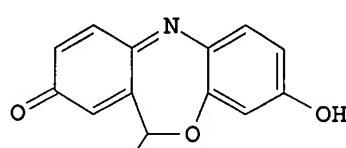
RN 15676-55-8 CAPLUS
CN Dibenz[b,e][1,4]oxazepin-11(5H)-one (8CI, 9CI) (CA INDEX NAME)



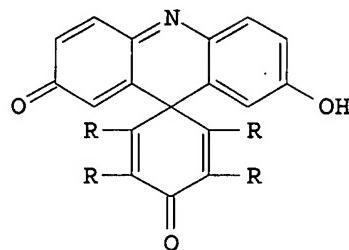
L8 ANSWER 38 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1976:490359 CAPLUS
DOCUMENT NUMBER: 85:90359
TITLE: Uncoupling of electron transport by anionic quinonoid redox indicator dyes
AUTHOR(S): Hill, R.; Crofts, A. R.; Prince, R. C.; Evans, E.
Hilary; Good, N. E.; Walker, D. A.
CORPORATE SOURCE: Dep. Biochem., Univ. Cambridge, Cambridge, UK
SOURCE: New Phytologist (1976), 77(1), 1-9
CODEN: NEPHAV; ISSN: 0028-646X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I



II



III, R=H

IV, R=Me

AB A considerable range of oxidn.-redn. dyes (i.e. I .fwdarw. II) was studied with ref. to reactions with illuminated chloroplast prepns. Exptl. methods included dye-mediated H⁺- and H-transfer across liposome membranes, comparison of increase in the uncoupling properties with increase of substituting halogen atoms and effect of halogen substitution on distribution of anion between water and octanol. In the absence of halogen substitution a relatively high concn. of a dye was needed for significant uncoupling. Introduction of the sulfonic group NaSO₃- abolished the uncoupling effect even in presence of halogen substitution.

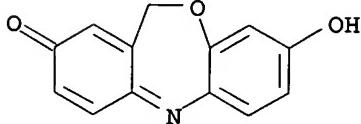
IT 50354-31-9

RL: BIOL (Biological study)

(in photosynthetic electron transport uncoupling)

RN 50354-31-9 CAPLUS

CN Dibenz[b,e] [1,4] oxazepin-2(11H)-one, 8-hydroxy- (9CI) (CA INDEX NAME)



L8 ANSWER 39 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1976:69236 CAPLUS

DOCUMENT NUMBER: 84:69236

09/ 076,575

TITLE: Basic derivatives of 6,7-dihydroindolo[1,7-ab][1]benzazepine and 6H-indolo[7,1-cd][1,5]benzoxazepine as potential antidepressant agents

AUTHOR(S): Toscano, Luciano; Grisanti, Giampiero; Fioriello, Giuseppe; Seghetti, Ennio; Bianchetti, Alberto; Bossoni, Giuseppe; Riva, Mario

CORPORATE SOURCE: Res. Lab., Pierrel S.p.A., Milan, Italy

SOURCE: Journal of Medicinal Chemistry (1976), 19(2), 208-13

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

GI For diagram(s), see printed CA Issue.

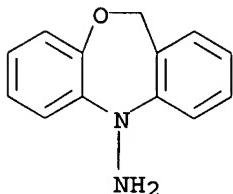
AB Of 14 title compds. prep'd. and screened for antidepressant activity in mice 1-[2-(benzylmethylamino)ethyl]-6,7-dihydroindolo[1,7-ab][1]benzazepine-HCl (I) [57529-83-6] and 1-[2-(methylamino)ethyl]-6,7-dihydroindolo[1,7-ab][1]benzazepine-HCl (II) [57529-85-8] had the best activity profiles. I was as active as imipramine [50-49-7] in antagonizing serotonin-induced contraction of the isolated guinea-pig ileum. With few exceptions, the compds. not substituted at position 2 antagonized reserpine-induced ptosis and hypothermia, showing negligible anticholinergic and antihistaminic properties.

IT 57529-61-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and Fischer cyclization reaction with keto compds.)

RN 57529-61-0 CAPLUS

CN Dibenz[b,e][1,4]oxazepin-5(11H)-amine, monohydrochloride (9CI) (CA INDEX NAME)



⊕ HCl

L8 ANSWER 40 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1975:455770 CAPLUS
DOCUMENT NUMBER: 83:55770
TITLE: Reduction of artificial electron acceptors at subzero temperatures by chloroplasts suspended in fluid media
AUTHOR(S): Cox, Raymond P.
CORPORATE SOURCE: Inst. Biol. Phys.-Chim., Paris, Fr.
SOURCE: Biochimica et Biophysica Acta (1975), 387(3), 588-98
CODEN: BBACAO; ISSN: 0006-3002
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Chloroplasts can be suspended in aq./org. mixts. which are liq. at sub-zero temps. with a good retention of the ability to reduce artificial electron acceptors. The redn. of ferricyanide and 2,6-dichlorophenolindophenol at temps. >0° is approx. 50% inhibited by 50% (vol./vol.) ethylene glycol. Higher concns. cause more extensive inhibition. Different solvents were compared on the basis of their ability to cause a given depression of the freezing point of an aq. soln. Ethylene glycol caused less inhibition of electron transport than glycerol, which in its turn was

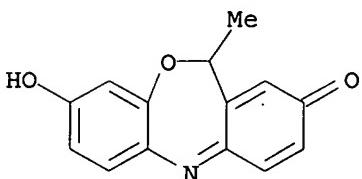
found to be superior to MeOH. The redn. of oxidized 2,3,5,6-tetramethyl-p-phenylenediamine could be measured at -25.degree. in 40% (vol./vol.) ethylene glycol. Using an acceptor with a high extinction coeff., methyl purple (a deriv. of 2,6-dichlorophenolindophenol) it was possible to obs. electron flow at temps. as low as -40.degree. in 50% (vol./vol.) ethylene glycol. From studies of the effects of the inhibitors 3(3,4-dichlorophenyl)-1,1-dimethylurea and 2,5-dibromo-3-methyl-6-isopropyl-p-benzoquinone it is suggested that electron flow from the donor side of photosystem II to the acceptor side of photosystem I can occur at temps. at least as low as -25.degree.. The ultimate electron donor is presumably water but it was not possible to demonstrate this directly.

IT 50354-32-0

RL: RCT (Reactant); RACT (Reactant or reagent)
(photoredn. of, by chloroplast, org. solvent and temp. effects on)

RN 50354-32-0 CAPLUS

CN Dibenz[b,e][1,4]oxazepin-2(11H)-one, 8-hydroxy-11-methyl- (9CI) (CA INDEX NAME)



L8 ANSWER 41 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1975:81187 CAPLUS

DOCUMENT NUMBER: 82:81187

TITLE: Effect of substituted dibenzoxazepines on levels of reduced glutathione and potassium ions in lenses of rabbits in vitro and of rats in vivo

AUTHOR(S): Wong, Keith K.; Wang, Geng Mei; Dreyfuss, Jacques; Schreiber, Eric C.

CORPORATE SOURCE: Dep. Drug Metab., Squibb Inst. Med. Res., New Brunswick, NJ, USA

SOURCE: Journal of Pharmaceutical Sciences (1974), 63(6), 854-7

CODEN: JPMSAE; ISSN: 0022-3549

DOCUMENT TYPE: Journal

LANGUAGE: English

GI For diagram(s), see printed CA Issue.

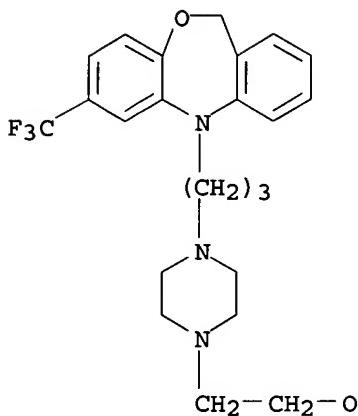
AB Substituted dibenzoxazepines decreased the levels of K+ [7440-09-7] and reduced glutathione (GSH) [70-18-8] in isolated rabbit lenses, the effects of some of the compds. correlating with their tendency to bind to erythrocyte ghosts. The dietary administration of substituted dibenzoxazepines to rats also lowered GSH levels in lenses, the response being greatest in those animals that showed the most severe morphol. changes. Measurement of GSH and K+ levels in lenses may aid in preliminary detn. of the cataractogenicity of the dibenzoxazepines. 4-[3-(7-Chloro-5,11-dihydrodibenz[b,e][1,4]oxazepin-5-yl)propyl]-1-piperazineethanol-HCl (I) [41296-98-4] caused the greatest decrease in GSH and K+ of isolated lenses.

IT 27139-87-3

RL: PRP (Properties)
(potassium and reduced glutathione of eye in response to)

RN 27139-87-3 CAPLUS

CN 1-Piperazineethanol, 4-[3-[7-(trifluoromethyl)dibenz[b,e][1,4]oxazepin-5(11)-yl]propyl]- (9CI) (CA INDEX NAME)



L8 ANSWER 42 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1974:477985 CAPLUS
 DOCUMENT NUMBER: 81:77985
 TITLE: N-Oxides of 5-(aminoalkyl)-5,11-dihydrodibenzoxazepines and 5,11-dihydrodibenzthiazepines
 INVENTOR(S): Yale, Harry L.; Bernstein, Jack
 PATENT ASSIGNEE(S): Squibb, E. R., and Sons, Inc.
 SOURCE: U.S., 6 pp.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|----------|
| US 3796725 | A | 19740312 | US 1971-110327 | 19710127 |
| PRIORITY APPLN. INFO.: | | | US 1969-655352 | 19690724 |
| | | | US 1970-17966 | 19700309 |

AB The title compds., e.g. I (R = R1 = Me, HOCH2CH2; RR1 = (CH2)4, CH2CH2OCH2CH2, CH2CHMeCH2CH2; R2 = H, Me; n = 1,2,3; X = O, S) and II (R = H, F3C; X = O, S) were prep'd. by oxidn. of the corresponding amines. Thus, 5,11-dihydrobenz[b,e] [1,4] oxazepine was treated with Br(CH2)3Cl followed by (HOCH2CH2)2NH to give 5,11-dihydro-5-[3-[bis(2-hydroxyethyl)amino]propyl]dibenz[b,e] [1,4]oxazepine which was oxidized with 30% HIO2 to give I [R = R1 = HOCH2CH2, R2 = H, X = O, n = 3]. At 5-50 mg/kg I and II were antiarrhythmic. At 0.001-0.1% I and II eliminated S. aureus and T. mentagrophytes.

IT 27488-77-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prep'n. of)

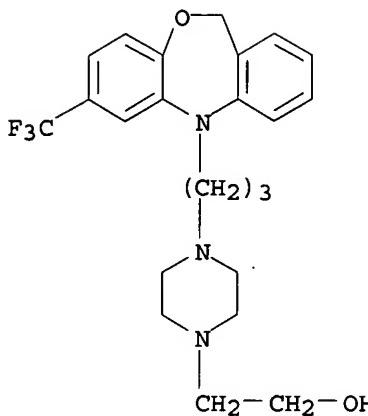
RN 27488-77-3 CAPLUS

CN 1-Piperazineethanol, 4-[3-[7-(trifluoromethyl)dibenz[b,e][1,4]oxazepin-5(11H)-yl]propyl]-, (2Z)-2-butenedioate (1:2) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 27139-87-3

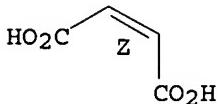
CMF C23 H28 F3 N3 O2



CM 2

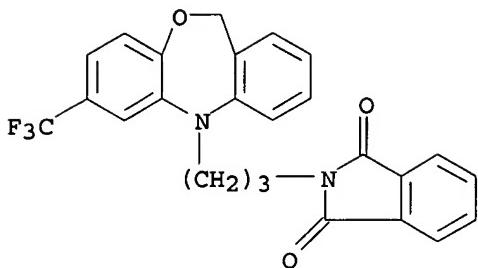
CRN 110-16-7
CMF C₄ H₄ O₄

Double bond geometry as shown.



L8 ANSWER 43 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1974:121023 CAPLUS
 DOCUMENT NUMBER: 80:121023
 TITLE: N-[3-(5,11-Dihydrodibenzo[b,e][1,4]thia- and -oxazepin-5-yl)phthalamides
 INVENTOR(S): Yale, Harry L.; Bernstein, Jack
 PATENT ASSIGNEE(S): Squibb, E. R., and Sons, Inc.
 SOURCE: Brit., 2 pp.
 CODEN: BRXXAA
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|---|----------|-----------------|----------|
| GB 1343923 | A | 19740116 | GB 1973-33769 | 19710223 |
| PRIORITY APPLN. INFO.: | | | GB 1973-33769 | 19710223 |
| GI | For diagram(s), see printed CA Issue. | | | |
| AB | Title compds. (I; X = S, R = H; X = O, R = CF ₃) were prep'd. by refluxing in DMF K phthalimide and the corresponding 3-(chloropropyl)dibenzothiazepine or -oxazepine obtained by treating DMF solns. of the appropriate dibenzothiazepine or -oxaze-pine with NaOH and Cl(CH ₂) ₃ Br. | | | |
| IT | 28737-95-3P | | | |
| | RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of) | | | |
| RN | 28737-95-3 CAPLUS | | | |
| CN | 1H-Isoindole-1,3(2H)-dione, 2-[3-[7-(trifluoromethyl)dibenz[b,e][1,4]oxazepin-5(11H)-yl]propyl]- (9CI) (CA INDEX NAME) | | | |



L8 ANSWER 44 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1974:83090 CAPLUS

DOCUMENT NUMBER: 80:83090

TITLE: 1-[3-(5,11-Dihydrodibenz[b,e][1,4]oxazepin-5-yl)propyl]phenylpiperidinols

INVENTOR(S): Yale, Harry L.

PATENT ASSIGNEE(S): Squibb, E. R., and Sons, Inc.

SOURCE: U.S., 4 pp.

CODEN: USXXAM

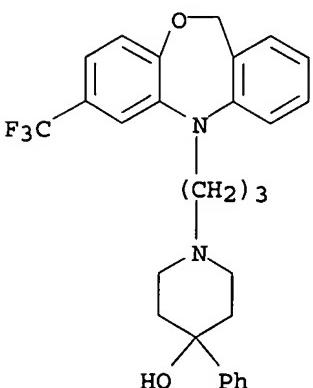
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|--|----------|-----------------|----------|
| US 3780044 | A | 19731218 | US 1972-291422 | 19720922 |
| PRIORITY APPLN. INFO.: | | | US 1972-291422 | 19720922 |
| GI For diagram(s), see printed CA Issue. | | | | |
| AB Antibacterial tuberculostatic dibenzoxazepines I (R = CF ₃ , R ₁ = H; R = H, R ₁ = Cl) were prep'd. Thus, 11.2 g (5,11-dihydro-7-trifluoromethylbibenz[b,e][1,4]oxazepin-5-yl)propyl chloride was treated with 7 g 4-phenyl-4-piperidinol to give .apprx.4 g I (R = CF ₃ , R ₁ = H). | | | | |
| IT 51856-01-0P | RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of) | | | |
| RN 51856-01-0 CAPLUS | | | | |
| CN 4-Piperidinol, 4-phenyl-1-[3-[7-(trifluoromethyl)dibenz[b,e][1,4]oxazepin-5(1H)-yl]propyl]- (9CI) (CA INDEX NAME) | | | | |

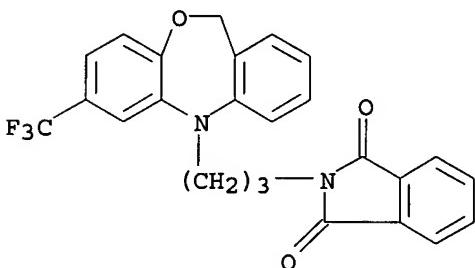


09/ 076,575

ACCESSION NUMBER: 1974:83089 CAPLUS
DOCUMENT NUMBER: 80:83089
TITLE: Dibenzoxazepines and dibenzothiazepines
INVENTOR(S): Yale, Harry L.; Bernstein, Jack
PATENT ASSIGNEE(S): Squibb, E. R., and Sons, Inc.
SOURCE: U.S., 10 pp. Continuation-in-part of U. S. 3,657,275
(CA 77;34606g).
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|----------|
| US 3780059 | A | 19731218 | US 1971-172569 | 19710817 |
| US 3657275 | A | 19720418 | US 1970-17972 | 19700309 |
| PRIORITY APPLN. INFO.: | | | US 1966-551560 | 19660520 |
| | | | US 1970-17972 | 19700309 |

GI For diagram(s), see printed CA Issue.
AB The title compds. and analogs I ($n = 0, 1, m = 2, 3$, $R_2 = \text{guanidino}$, methylguanidino, phthalimido) and some [1,5]oxazocine and [1,5]-thiazocine analogs, useful as tranquilizers and sedatives were prep'd. Thus, 5,11-dihydrodibenzo[b,e][1,4]thiazepine in DMF contg. NaH is treated with $\text{Br}(\text{CH}_2)_3\text{Cl}$ to give I ($n = 0, m = 3$, $R = R_1 = \text{H}$, $Z = \text{S}$, $R_2 = \text{Cl}$). Reaction of this with K phthalimide in DMF yields I ($R_2 = \text{phthalimido}$). An addnl. 49 examples are described.
IT 28737-95-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prep'n. of)
RN 28737-95-3 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[3-[7-(trifluoromethyl)dibenz[b,e][1,4]oxazepin-5(11H)-yl]propyl] - (9CI) (CA INDEX NAME)



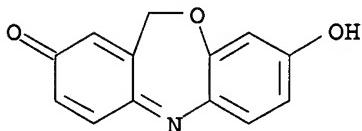
L8 ANSWER 46 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1973:488982 CAPLUS
DOCUMENT NUMBER: 79:88982
TITLE: Old and some possible new redox indicators
AUTHOR(S): Hill, Robert
CORPORATE SOURCE: Dep. Biochem., Univ. Cambridge, Cambridge, UK
SOURCE: Journal of Bioenergetics (1973), 4(1-2), 229-37
CODEN: JBEGAA; ISSN: 0449-5705
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Some properties of redox indicators as developed from a study of the Liebermann nitroso reaction for phenols are described. Consideration of the effects of completing a hetero 6-membered ring, as in the azine, thiazine, and oxazine classes, is suggested for the development of redox indicators that would perhaps be more desirable than the indophenols.

09 / 076,575

IT 50354-31-9
RL: PRP (Properties)
(NMR of)
RN 50354-31-9 CAPLUS
CN Dibenz[b,e][1,4]oxazepin-2(11H)-one, 8-hydroxy- (9CI) (CA INDEX NAME)



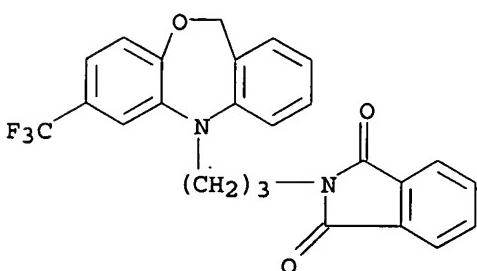
L8 ANSWER 47 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1973:442582 CAPLUS
DOCUMENT NUMBER: 79:42582
TITLE: Dibenzoazepines and dibenzothiazepines
INVENTOR(S): Yale, Harry L.; Bernstein, Jack
PATENT ASSIGNEE(S): Squibb, E. R., and Sons, Inc.
SOURCE: U.S., 12 pp. Division of U.S. 3,657,275 (CA 77;34606g).
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|----------|
| US 3723463 | A | 19730327 | US 1971-172570 | 19710817 |
| US 3657275 | A | 19720418 | US 1970-17972 | 19700309 |
| PRIORITY APPLN. INFO.: | | | US 1966-551560 | 19660520 |
| | | | US 1970-17972 | 19700309 |

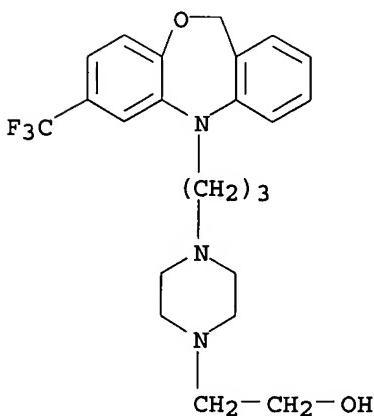
GI For diagram(s), see printed CA Issue.
AB The title compds. and higher ring analogs (I, R = H, Me, Pr; R1 = H, Me, Et; R2 = Br, Cl, CF₃; Q = O, S; k = 2, 3; l, m, n = 0, 1, 2; X = HCl, 0.5H₂SO₄) were prepd. Thus, 5,11-dihydridobenz[b,e][1,4]oxazepine-5-propionitrile was hydrolyzed with H₂SO₄ and the resulting amide reduced with LiAlH₄ to give 5,11-dihydridobenz[b,e][1,4]oxazepine-5-propylamine, which was treated with 2-methyl-2-thiopseudourea sulfate to give I (R = R₁ = R₂ = H, k = 3, l = m = 0, n = 1, Q = O, X = 0.5H₂SO₄). At 20-200 mg/day I were sedatives and hypotensive agents.

IT 28737-95-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 28737-95-3 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[3-[7-(trifluoromethyl)dibenz[b,e][1,4]oxazepin-5(11H)-yl]propyl]- (9CI) (CA INDEX NAME)



L8 ANSWER 48 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1973:413382 CAPLUS
 DOCUMENT NUMBER: 79:13382
 TITLE: Distribution of dibenzoxazepines bearing the carboxamide or other side chains in ocular and other tissues of dogs
 AUTHOR(S): Dreyfuss, Jacques; Shaw, James M.; Ross, John J., Jr.; Wang, Geng Mei; Wong, Keith K.; Schreiber, Eric C.
 CORPORATE SOURCE: Dep. Drug. Metab., Squibb Inst. Med. Res., New Brunswick, NJ, USA
 SOURCE: Journal of Pharmaceutical Sciences (1973), 62(4), 606-9
 CODEN: JPMSAE; ISSN: 0022-3549
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB After oral or i.v. administration of labeled [4-[3-(7-chloro-5,11-dihydrodibenz[b,e][1,4]oxazepin-5-yl)propyl]-1-piperazinyl]ethanol-HCl [40671-55-4], its trifluoromethyl analog, or 5-[2-(dimethylamino)ethyl]-5,11-dihydrodibenz[b,e][1,4]oxazepine maleate [19625-12-8] to dogs, greater concns. of radioactivity were found in the organs, esp. the brain, liver, lungs, and melanin-contg. portions of the eye, than in the blood. The same compds. were bound to various extents to melanin granules of beef eyeball in vitro. However, 7-chloro-5,11-dihydrodibenz[b,e][1,4]oxazepine-5-carboxamide (I) [16802-77-0] was neither localized in any tissues of the dog, relative to concns. in the blood, nor bound to melanin granules in vitro. Thus, the presence of the carboxamide side chain alters I affinity for tissues, esp. those contg. melanin.
 IT 41241-23-0
 RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
 (metab. of, by eye and other tissues)
 RN 41241-23-0 CAPLUS
 CN 1-Piperazineethanol, 4-[3-[7-(trifluoromethyl)dibenz[b,e][1,4]oxazepin-5(11H)-yl]propyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

09/ 076,575

DOCUMENT NUMBER: 78:111389
TITLE: 5,11-Dihydrodibenzoxazepines derivatives
INVENTOR(S): Yale, Harry L.; Sowinski, Frances A.
PATENT ASSIGNEE(S): Squibb, E. R., and Sons, Inc.
SOURCE: U.S., 8 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|----------|
| US 3714192 | A | 19730130 | US 1970-76285 | 19700928 |
| PRIORITY APPLN. INFO.: | | | US 1965-438406 | 19650309 |
| | | | US 1967-668632 | 19670918 |

GI For diagram(s), see printed CA Issue.

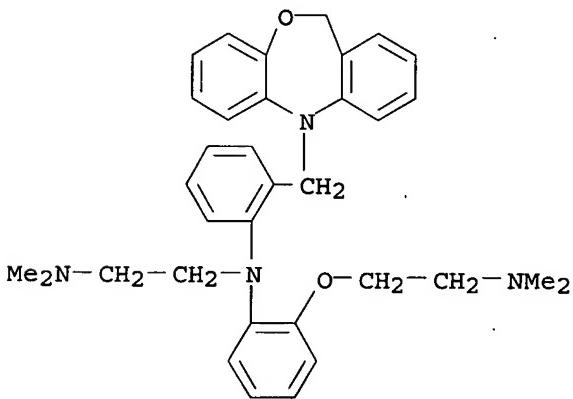
AB (Anilinobenzyl)dihydrodibenzoxazepine I ($R = Me_2NCH_2CH_2$) and its salts, which possess hypotensive, antibacterial, antifungal, and tumor inhibition activity, was prep'd. by reaction of dihydrodibenzoxazepine II ($R = Me_2NCH_2CH_2$) with excess NaH and 2 equivs. $Me_2NCH_2CH_2Cl$ in refluxing THF.

IT 16882-84-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 16882-84-1 CAPLUS

CN 1,2-Ethanediamine, N-[2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)phenyl]-N-[2-[2-(dimethylamino)ethoxy]phenyl]-N',N'-dimethyl- (9CI) (CA INDEX NAME)



L8 ANSWER 50 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1973:97735 CAPLUS
DOCUMENT NUMBER: 78:97735
TITLE: Dibenzoazepines and dibenzothiazepines
INVENTOR(S): Yale, Harry L.; Bernstein, Jack
PATENT ASSIGNEE(S): Squibb, E. R., and Sons, Inc.
SOURCE: Fr. Demande, 26 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|----------|-----------------|----------|
| FR 2128097 | A5 | 19721020 | FR 1971-7494 | 19710304 |

FR 2128097 B1 19740802

FR 1971-7494

19710304

PRIORITY APPLN. INFO.: GI For diagram(s), see printed CA Issue.

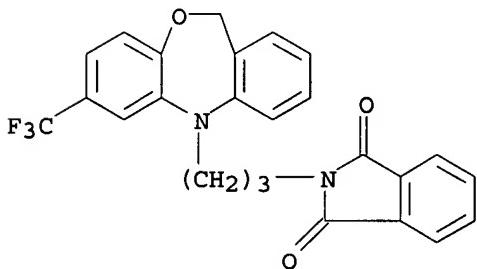
AB Approx. 25 guanidines [I, R = (CH₂)_nR₁C(:NH)NHR₂ n = 0-4, R₁ = H, Me, Et, etc.; R₂ = H, Me; X = O, S; x, y, z = 0-2; R₃ = Cl, Br, H, CF₃] were prepd. from I[R = (CH₂)_nNH₁] and RNHC(:NH)SR₅H₂SO₄ (R₅ = H, Me). Some of the guanidines prepd. were 1-[3-(2-chloro-11,-12-dihydro-6H-dibenzo[b,f][1,4]thiazocin-12-yl)-propyl]-3-methylguanidine [I, R = (CH₂)₃NHC(:NH)NHMe, X = S; x = z = 1, y = 0, R₃ = Cl], 1-[3-(5,11-dihydro-7-(trifluoromethyl)dibenz[b,e][1,4]-oxazepin-5-yl)propyl]guanidine [I, R = (CH₂)₃NH(:NH)NH₂, X = O, x = y = 0, z = 1, R₃ = CF₃], 1-[2-(10,12-dihydro-5H-dibenzo[c,f][1,5]oxazocin-5-yl)ethyl]-1-methylguanidine [R = CH₂CH₂NMeC(:NH)NH₂, X = O, x = 0, y = z = 1, R₃ = H], 1-benzyl-3-[3-(5,10,12,13-tetrahydrodibenzo[c,f][1,5]thiaazonin-5-yl)-propyl]guanidine [I, R = (CH₂)₃N(CH₂Ph)C(:NH)NH₂, X = S, x = 0, y = 1, z = 2, R = H].

IT 28737-95-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 28737-95-3 CAPLUS

CN 1H-Isoindole-1,3(2H)-dione, 2-[3-[7-(trifluoromethyl)dibenz[b,e][1,4]oxazepin-5(11H)-yl]propyl]- (9CI) (CA INDEX NAME)



L8 ANSWER 51 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1972:564782 CAPLUS

DOCUMENT NUMBER: 77:164782

TITLE: Guanidine derivatives of condensed heterocycles

INVENTOR(S): Yale, Harry Louis; Bernstein, Jack

PATENT ASSIGNEE(S): Squibb, E. R., and Sons, Inc.

SOURCE: Ger. Offen., 31 pp.

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|----------|
| DE 2107669 | A | 19720831 | DE 1971-2107669 | 19710217 |
| PRIORITY APPLN. INFO.: | | | DE 1971-2107669 | 19710217 |

GI For diagram(s), see printed CA Issue.

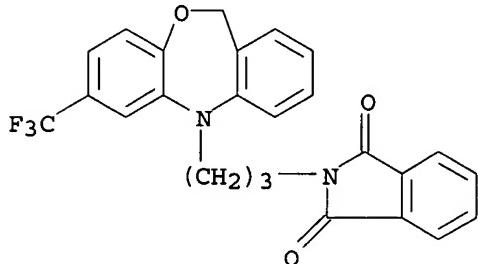
AB Guanidine derivs. I (n = 2,3; x and y = 0,1; X = O, S; R = H, Me, Pr, CH₂Ph; and which may be substituted in one of the benzene rings by Cl, Br, or CF₃) were prepd. Thus, 5,11-dihydrodibenzo[b,e][1,4]-oxazepin-5-propionitrile was reduced to the propylamine with LiAlH₄ and treated with MeSC(:NH)NH₂ to give I (n = 3, x = 0, y = 1, X = O, R = H).

IT 28737-95-3

RL: RCT (Reactant); RACT (Reactant or reagent)
(guanidine from)

09/ 076,575

RN 28737-95-3 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[3-[7-(trifluoromethyl)dibenz[b,e][1,4]oxaze
pin-5(11H)-yl]propyl]- (9CI) (CA INDEX NAME)



L8 ANSWER 52 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1972:539590 CAPLUS
DOCUMENT NUMBER: 77:139590
TITLE: Formylation of amines
INVENTOR(S): Yale, Harry Louis
PATENT ASSIGNEE(S): Squibb, E. R., and Sons, Inc.
SOURCE: Ger. Offen., 17 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|----------|-----------------|----------|
| DE 2209853 | A | 19720907 | DE 1972-2209853 | 19720301 |
| CA 948195 | A1 | 19740528 | CA 1972-135459 | 19720224 |
| GB 1388917 | A | 19750326 | GB 1972-9109 | 19720228 |
| CH 540228 | A | 19730928 | CH 1972-2904 | 19720229 |
| FR 2127896 | A5 | 19721013 | FR 1972-7062 | 19720301 |

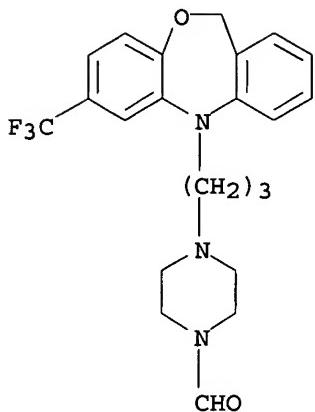
PRIORITY APPLN. INFO.: US 1971-119910 19710301

AB Primary and secondary amines, e.g. anilines, piperidines, or piperazines, were formylated in quant. yield by reaction with HCO₂Ph (I) or HCO₂C₆H₄Me-o. Thus, reaction of I with o-BrC₆H₄NH₂ in PhOH at <20-5.degree. gave quant. o-BrC₆H₄NHCHO.

IT 38272-89-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prep. of)

RN 38272-89-8 CAPLUS

CN 1-Piperazinecarboxaldehyde, 4-[3-[7-(trifluoromethyl)dibenz[b,e][1,4]oxaze
pin-5(11H)-yl]propyl]- (9CI) (CA INDEX NAME)



L8 ANSWER 53 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1972:501692 CAPLUS

DOCUMENT NUMBER: 77:101692

TITLE: 5-(Aminoalkyl)-5,11-dihydrodibenzoxazepine and
5,11-dihydrodibenzothiazepine N-oxides with
antibacterial and antiarrhythmic activity

PATENT ASSIGNEE(S): Squibb, E. R., and Sons, Inc.

SOURCE: Fr. Demande, 12 pp.

CODEN: FRXXBL

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|----------|
| FR 2085631 | B1 | 19730608 | FR 1970-12720 | 19700408 |
| PRIORITY APPLN. INFO.: | | | FR 1970-12720 | 19700408 |

GI For diagram(s), see printed CA Issue.

AB The dibenzoxazepines (I, R = H, CF₃; R₁ = N(O)Me₂, 1-methyl-3-piperidyl, Cl, 4-(2-hydroxyethyl)-1-piperazinyl; n = 1-3) were prepd. Thus I (R = H, R₁ = 1-methyl-3-piperidyl, n = 1) was obtained by treating 5,11-dihydrodibenzo[b,e] [1,4]oxazepine with (1-methyl-3-piperidyl)-methyl chloride in the presence of NaH. I (R = H, R₁ = N(O)Me₂, n = 2) was obtained by H₂O₂ oxidn. of I (R = H, R₁ = NMe₂, n = 2).

IT 27488-77-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prep. of)

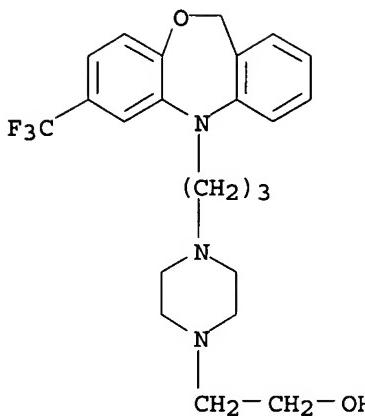
RN 27488-77-3 CAPLUS

CN 1-Piperazineethanol, 4-[3-[7-(trifluoromethyl)dibenz[b,e][1,4]oxazepin-5(11H)-yl]propyl]-, (2Z)-2-butenedioate (1:2) (salt) (9CI) (CA INDEX
NAME)

CM 1

CRN 27139-87-3

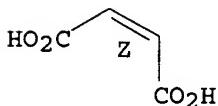
CMF C23 H28 F3 N3 O2



CM 2

CRN 110-16-7
CMF C₄ H₄ O₄

Double bond geometry as shown.



L8 ANSWER 54 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1972:488558 CAPLUS
 DOCUMENT NUMBER: 77:88558
 TITLE: 5,11-Dihydrodibenz[b,e][1,4]oxazepine- and -thiazepine-5-alkanoic acid derivatives
 INVENTOR(S): Yale, Harry Louis; Petigara, Ramesh Balubhai
 PATENT ASSIGNEE(S): Squibb, E. R., and Sons, Inc.
 SOURCE: Ger. Offen., 71 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------|
| DE 2158327 | A | 19720531 | DE 1971-2158327 | 19711124 |
| US 3714201 | A | 19730130 | US 1970-92498 | 19701124 |
| US 3766210 | A | 19731016 | US 1970-92329 | 19701124 |
| CA 981666 | A1 | 19760113 | CA 1971-127969 | 19711118 |
| CH 546786 | A | 19740315 | CH 1971-16997 | 19711123 |
| CH 551442 | A | 19740715 | CH 1973-807 | 19711123 |
| GB 1382586 | A | 19750205 | GB 1971-54465 | 19711123 |
| FR 2115385 | A5 | 19720707 | FR 1971-42131 | 19711124 |
| FR 2115385 | B1 | 19751010 | | |
| HU 163353 | P | 19730728 | HU 1971-SU690 | 19711124 |
| PRIORITY APPLN. INFO.: | | | US 1970-92329 | 19701124 |
| | | | US 1970-92498 | 19701124 |
| AB Nine title compds. (I, X = O, S, SO, SO ₂ , n = 1-3, m = 0, 1, R = R1 = Et,
R = Et ₂ N(CH ₂) ₂ , R1 = H, NRR1 = 4-methyl-1-piperazinyl, | | | | |

4-(2-hydroxyethyl)-1-piperazinyl, morpholino; R₂ = H, CF₃, R₃ = F₃C, Cl), hypotensives, were prep'd. by esterification of the acid or the acid chloride (II) and (in the case of X = S) intermediate S-oxidn. Thus, II (n = 2, R₂ = H, R₃ = F₃C) (obtained by reaction of the N-unsubstituted compd. with H₂C:CHCN, conversion into the Me ester, and chlorination with PCl₅) was added to Et₂N(CH₂)₂OH in CHCl₃ and refluxed 3 hr to give, after addn. of oxalic acid, I oxalate (n = 2, m = 1, R = R₁ = Et, R₂ = H, R₃ = F₃C).

IT 37945-20-3P

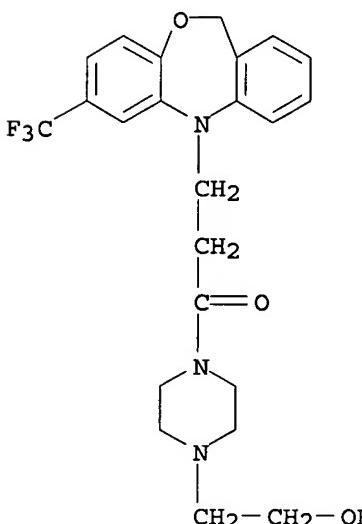
RL: SPN (Synthetic preparation); PREP (Preparation)
(prep'n. of)

RN 37945-20-3 CAPLUS

CN 1-Piperazineethanol, 4-[1-oxo-3-[7-(trifluoromethyl)dibenz[b,e][1,4]oxazepin-5(11H)-yll]propyl]-, (2Z)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 47703-45-7

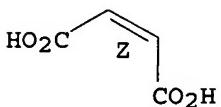
CMF C₂₃ H₂₆ F₃ N₃ O₃

CM 2

CRN 110-16-7

CMF C₄ H₄ O₄

Double bond geometry as shown.



L8 ANSWER 55 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1972:434606 CAPLUS

DOCUMENT NUMBER: 77:34606

TITLE: Dibenzoazepines and dibenzothiazepines

INVENTOR(S): Yale, Harry L.; Bernstein, Jack

09/ 076,575

PATENT ASSIGNEE(S) : Squibb, E. R., and Sons, Inc.

SOURCE: U.S., 10 pp.
CODEN: USXXAM

DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|----------|
| US 3657275 | A | 19720418 | US 1970-17972 | 19700309 |
| US 3723463 | A | 19730327 | US 1971-172570 | 19710817 |
| US 3780059 | A | 19731218 | US 1971-172569 | 19710817 |
| PRIORITY APPLN. INFO.: | | | US 1966-551560 | 19660520 |
| | | | US 1970-17972 | 19700309 |

GI For diagram(s), see printed CA Issue.

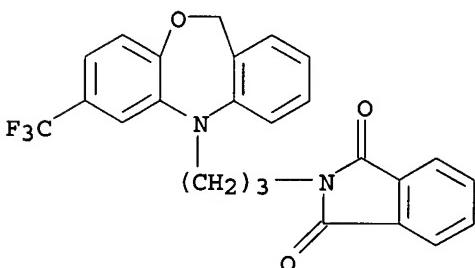
AB The title compds. and higher ring analogs (I, = H, Pr; R1 = H, Me, Et; R2 = Br, Cl, CF₃; Q = O, S; X = HCl, 1/2H₂SO₄; k = 2,3; l, m, n = 0.1) were prep'd. Thus, 5,11-dihydrodibenz[b,e][1,4]oxazepine-5-propionitrile was hydrolyzed by H₂SO₄ and the resulting amide was reduced by LiAlH₄ to 5,11-dihydrodibenz[b,e][1,4]oxazepine-5-propylamine, which, on treatment with 2-methyl-2-thiopseudourea sulfate, gave I (R = R1 = R2 = H, k = 3, l = m = 0, n = 1, Q = O, X = 1/2H₂SO₄). Nine other I were prep'd. by known reactions.

IT 28737-95-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 28737-95-3 CAPLUS

CN 1H-Isoindole-1,3(2H)-dione, 2-[3-[7-(trifluoromethyl)dibenz[b,e][1,4]oxazepin-5(11H)-yl]propyl]- (9CI) (CA INDEX NAME)



L8 ANSWER 56 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1972:428740 CAPLUS

DOCUMENT NUMBER: 77:28740

TITLE: Species differences in the metabolism of a tricyclic psychotropic agent, SQ 11,290-14C

AUTHOR(S): Dreyfuss, Jacques; Shekosky, James M.; Ross, John J., Jr.; Schreiber, Eric C.

CORPORATE SOURCE: Dep. Drug Metab., Squibb Inst. Med. Res., New Brunswick, NJ, USA

SOURCE: Toxicology and Applied Pharmacology (1972), 22(1), 105-14

DOCUMENT TYPE: CODEN: TXAPPA9; ISSN: 0041-008X

LANGUAGE: Journal

English

AB Following oral administration of 14C-labeled SQ 11,290 (4-[3-(7-chloro-5,11-dihydrodibenz[b,e]-[1,4]-oxazepin-5-yl)propyl]-1-piperazineethanol dihydrochloride) (I) [28318-18-5] to mice, rats, guinea pigs, hamsters, rabbits, monkeys, and man less than 1% of the

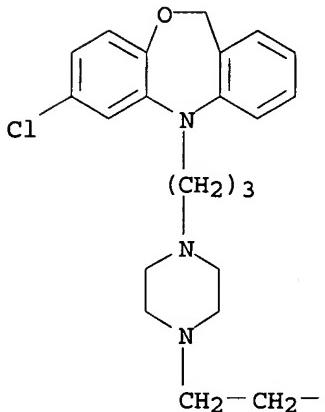
radioactivity excreted by any species was unchanged I. Radioactivity was excreted primarily in the feces of all species except hamsters and man in which urinary excretion was predominate.

IT 28318-18-5

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(metabolism of, species in relation to)

RN 28318-18-5 CAPLUS

CN 1-Piperazineethanol, 4-[3-(7-chlorodibenz[b,e][1,4]oxazepin-5(11H)-yl)propyl]- (8CI, 9CI) (CA INDEX NAME)



L8 ANSWER 57 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1972:127032 CAPLUS

DOCUMENT NUMBER: 76:127032

TITLE: 5,11-Dihydrodibenz[b,e][1,4]oxazepine derivatives

INVENTOR(S): Yale, Harry L.

PATENT ASSIGNEE(S): Squibb, E. R., and Sons, Inc.

SOURCE: U.S., 4 pp.

DOCUMENT TYPE: CODEN: USXXAM

LANGUAGE: Patent

FAMILY ACC. NUM. COUNT: 1 English

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|----------|
| US 3631052 | A | 19711228 | US 1970-10982 | 19700212 |
| PRIORITY APPLN. INFO.: | | | US 1970-10982 | 19700212 |

GI For diagram(s), see printed CA Issue.

AB Antianxiety title compds. (I) were prep'd. NaOMe-EtOH was added dropwise to a mixt. of 5-trifluoromethyl-2-hydroxyformanilide and 4-chloro-2-bromobenzyl bro mide in EtOH to give 2-(4-chloro-2-bromobenzyl)oxy-5-tri-fluoromethylformanilide (II). A mixt. of II, DMF, K₂CO₃, and copper bronze was heated 3.5 hr. to give 3-chloro-5,11-dihydro-7-(trifluoromethyl)dibenz[b,e][1,4]oxazepine - 5 - carboxaldehyde, from which the formyl group was removed by reflux with 25% aq. NaOH to give 3-chloro-5,11-dihydro-7-(trifluoromethyl)dibenz-[b,e][1,4]oxazepine (III). A mixt. of III, 2-[2-(2-(dimethylamino)ethyl)piperidino]ethyl chloride-HBr, AcEt, and NaOH was refluxed 3 hr to give I (R = Cl, R₁ = 2-[2-(dimethylamino)-ethyl]piperidino, n = 2). Similarly prep'd. was I [R = H, R₁ = 4-(2-tetrahydropyranloxy), n = 4] which, treated with conc. HCl gave I (R = H, R₁ = OH, n = 4), which, treated with SOCl₂ gave I (R = H, R₁ = Cl, n = 4), which, refluxed 18 hr with 3-(2-aminobutyl)piperidine, NaI, and AcEt gave I [R = H, R₁ = 3-(2-aminobutyl)piperidine, n = 4]. I

09/ 076,575

(R = H, R1 = 4-(aminomethyl)piperidino, n = 3) was similarly prep'd.

IT 28713-84-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prep'n. of)

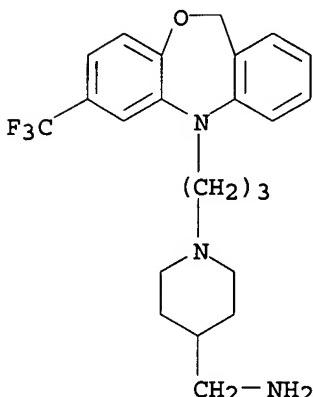
RN 28713-84-0 CAPLUS

CN 4-Piperidinemethanamine, 1-[3-[5,11-dihydro-7-(trifluoromethyl)dibenz[b,e][1,4]oxazepin-5-yl]propyl]-,
(2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 28770-42-5

CMF C23 H28 F3 N3 O

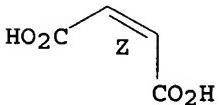


CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.



L8 ANSWER 58 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1972:14605 CAPLUS

DOCUMENT NUMBER: 76:14605

TITLE: 5-(Aminoalkyl)-5,11-dihydrodibenz[b,e][1,4]oxazepine
and -thiazepine N-oxides and their acid addition salts

INVENTOR(S): Yale, Harry L.; Bernstein, Jack

PATENT ASSIGNEE(S): Squibb, E. R., and Sons, Inc.

SOURCE: Ger. Offen., 14 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.

KIND DATE

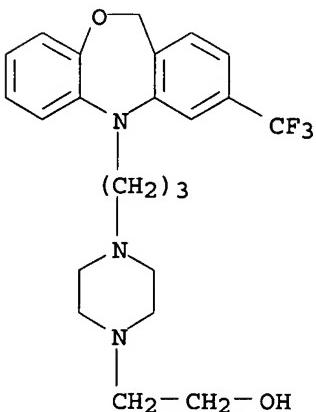
APPLICATION NO. DATE

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09/ 076,575

DE 2016356 A 19711028 DE 1970-2016356 19700406
PRIORITY APPLN. INFO.: DE 1970-2016356 19700406
GI For diagram(s), see printed CA Issue.
AB I and their salts were prep'd. Thus, 5-[2-dimethylamino)ethyl]-5,11-dihydrodibenz[b,e][1,4]oxazepine was refluxed 3.5 hr with 30% H₂O₂ in 95% EtOH to give I [R = (CH₂)₂N(O)Me₂, R₁ = H], which was treated with maleic acid in Me₂CO to give the corresponding maleate. Similarly prep'd. were several other I, including I [R = 3-[4-(2-hydroxyethyl)-1-piperazinyl]propyl, R₁ = CF₃], its N-oxide, and N-oxide dimaleate.
IT 35019-32-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(oxidn. and esterification of)
RN 35019-32-0 CAPLUS
CN 1-Piperazineethanol, 4-[3-[3-(trifluoromethyl)dibenz[b,e][1,4]-oxazepin-5(11H)-yl]propyl] (9CI) (CA INDEX NAME)



L8 ANSWER 59 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1971:517073 CAPLUS
DOCUMENT NUMBER: 75:117073
TITLE: Metabolism in dogs of the chloro- and trifluoromethyl analogs of a piperazine-substituted dihydrobenzoxazepine
AUTHOR(S): Dreyfuss, J.; Ross, J. J., Jr.; Shekosky, J. M.; Schreiber, E. C.
CORPORATE SOURCE: Dep. Drug Metab., Squibb Inst. Med. Res., New Brunswick, NJ, USA
SOURCE: Xenobiotica (1971), 1(1), 29-41
CODEN: XENOBH; ISSN: 0049-8254
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB After administration of [4-[3-[7-(chloro or trifluoromethyl)-5,11-dihydrobenz[b,e][1,4]oxazepin-5-yl]-1-piperazine-[14C2]-ethanol-2HCl) (SQ 11290-14C, or SQ 11005-14C, resp.) (I and II), the compds. were similarly excreted in urine and feces or bile. Highest concns. of radioactivity were found in the lungs, liver, and the ocular layers consisting of the combined retina, choroid, and sclera. Similar blood levels were found in dogs that had received equiv. doses. Unchanged SQ 11005 (5%) or SQ 11290 (8%) was present in the feces, the main excretory route. The major metabolite, a monooxygenated deriv. of the tricyclic ring system, was present in the feces and as glucuronide conjugate in the bile. The glucuronide conjugates of both parent compds. were excreted in the bile. Thus, chloro or trifluoromethyl substitution in the 7-position of the dihydrobenzoxazepine ring system did not alter the biol. disposition of

09/ 076,575

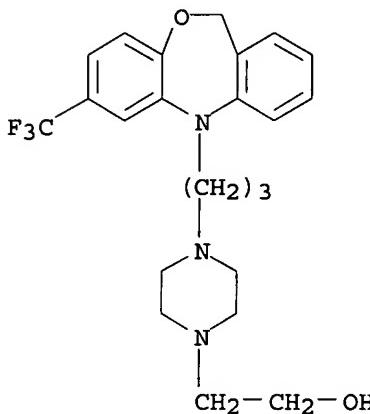
these mols. in the dog.

IT 27139-88-4

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(metabolism of)

RN 27139-88-4 CAPLUS

CN 1-Piperazineethanol, 4-[3-[7-(trifluoromethyl)dibenz[b,e][1,4]oxazepin-5(11H)-yl]propyl]-, dihydrochloride (8CI) (CA INDEX NAME)



• 2 HCl

L8 ANSWER 60 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1970:445482 CAPLUS

DOCUMENT NUMBER: 73:45482

TITLE: Novel polycyclic heterocycles. Derivatives of 5,11-dihydridobenz[b,e][1,4]oxazepine and 5,11-dihydridobenz[b,e][1,4]thiazepine

AUTHOR(S): Yale, Harry L.; Beer, Bernard; Pluscec, Jelka; Spitzmiller, Erwin R.

CORPORATE SOURCE: Squibb Inst. for Med. Res., New Brunswick, NJ, USA

SOURCE: Journal of Medicinal Chemistry (1970), 13(4), 713-22
CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

GI For diagram(s), see printed CA Issue.

AB 5-Substituted 5,11-dihydridobenz[b,c][1,4]oxazepines (e.g. I) and 5,11-dihydridobenz[b,e][1,4]thiazepines were prep'd. When the 5-substituent is 3-[1-(2-hydroxyethyl)-4-piperazinyl]propyl and a substituent like Cl or CF₃ is in the 3 or 7 position, the compounds show antianxiety effects at lower doses and central nervous system depressant activity at higher doses. When the 5 substituent is a simple dialkylaminoalkyl group, the compounds are not depressants at either dose level, but instead are stimulants, but only at the higher dose range.

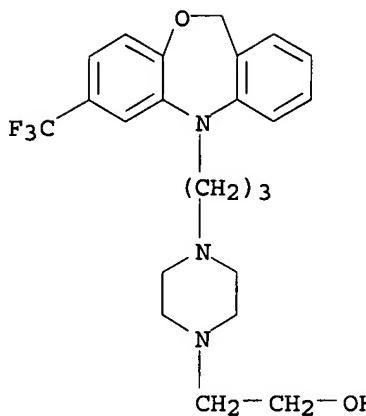
IT 27139-88-4

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pharmacol. activity of)

RN 27139-88-4 CAPLUS

CN 1-Piperazineethanol, 4-[3-[7-(trifluoromethyl)dibenz[b,e][1,4]oxazepin-5(11H)-yl]propyl]-, dihydrochloride (8CI) (CA INDEX NAME)



● 2 HCl

L8 ANSWER 61 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1970:111528 CAPLUS
 DOCUMENT NUMBER: 72:111528
 TITLE: 5-Piperazinopropyl-5,11-dihydrodibenz[b,e][1,4]oxazepines as ataractics and tranquilizers
 INVENTOR(S): Yale, Harry L.
 PATENT ASSIGNEE(S): Squibb, E. R., and Sons, Inc.
 SOURCE: Ger. Offen., 25 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|----------|-----------------|----------|
| DE 1944335 | A | 19700319 | DE 1970-1944335 | 19700318 |
| NL 6913679 | A | 19700313 | NL 1969-13679 | 19690909 |
| BE 738737 | A | 19700311 | BE 1969-738737 | 19690911 |
| FR 2017843 | A1 | 19700522 | FR 1969-30984 | 19690911 |

PRIORITY APPLN. INFO.: US 1968-759244 19680911

GI For diagram(s), see printed CA Issue.

AB The title compds. (I) were prep'd. via II [R2 = (CH2)3Cl] by reaction with 2-(1-piperazinyl)ethanol (III). Thus, 400 g 3,4-O2NC1C6H3CF3 was added to 300 g KOH in 2 l. Me OH and stirred 1 hr at room temp. to give 371 g 3,4-O2N(MeO)C6H3CF3, m. 46.5-48.0.degree., which (513 g) was hydrolyzed in 693 g pyridine-HCl at 155-60.degree. to give 3,4-O2N(HO)C6H3CF3 (IV), b13 96-100.degree.. IV (66 g) was hydrogenated over Pd-C and 94 ml 98-100% HCO2H added to give 55.3 g 4,3-HO(CHONH)C6H3CF3 (V), m. 172-3.degree.. NaOMe (69.8 g) in 750 ml EtOH was added to 265 g V, 324 g o-BrC6H4CH2Br, and 2600 ml EtOH to give 347 g o-BrC6H4CH2OC6H3(NhCHO)CF3-2,4 (VI), m. 152-5.degree.. Similarly prep'd. was 383 g 2,4-BrClC6H3CH2OC6H3(NHCHO)CF3-2,4. VI 5.6, K2CO3 9.5 and Cu powder 0.4 g and 100 ml Dow-therm was heated at 160-5.degree. to give 3.24 g II (R = Me, R1 = H, R2 = CHO), m. 130-2.degree., which was hydrolyzed by refluxing with 1560 ml 95% EtOH and 312 ml 25% NaOH to give 2.85 g II (R = CF3, R1 = R2 = H) (IIa), m. 1 18 20.degree.. Similarly prep'd. was II (R = CF3, R1 = Cl, R2 = H), m. 135-7.degree.. IIa 62.5, Cl(CH2)3Br 150, and NaOH 75 g with 625 ml EtCOMe was re-fluxed 18 hr to give II [R = CF3, R1 = H, R2 = (CH2)3Cl] (IIb), m. 73-6.degree.. Similarly prep'd. were the following II

[R2 = (CH₂)₃-Cl] (R, R₁, and m.p. given): Cl, H, -; H, Cl, 70-3.degree.; CF₃, Cl, -. IIb 50, III 34, and NaI 19 g, with 300 ml EtCOMe was refluxed 18 hr to give I (R = CF₃, R₁ = R₂ = H) (Ia) b0.5 240.degree.; dihydrochloride m. 197-200.degree.; dimaleate m. 158-61.degree. (decompn.); dicitrato m. 110-14.degree. (decompn.); dipamoinate m. 162-4.degree.. Similarly prep'd. were I (R = H) (R, R₁, m.p., and m.p. salts given): Cl, H, (Ib) 91-3.degree., dihydrochloride m. 223-4.degree., dimaleate m. 171-3.degree.; H, Cl, -, dihydrochloride m. 229-32.degree., dimaleate m. 168-71.degree.; CF₃, Cl, b0.cndot.1 260.degree., -. n-C₆H₁₃COCl (4.5 g) in 50 ml C₆H₆ and 8.0 g Ib in 120 ml C₆H₆ was heated 3 hr at 75.degree. to give I (R = Cl, R₁ = H, R₂ = COC₆H₁₃-n); dimaleate m. 171-2. Similarly prep'd. were I (R = Cl, R₁ = H) (R₂ and m.p. dimaleate given): COC₉H₁₉-n, 171-2.degree.; COC₁₁H₂₃, 170-1.degree.. I (R = CF₃, R₁ = H, R₂ = COC₉H₁₉-n) was prep'd. from Ia, SOCl₂, and NaO₂CC₉H₁₉-n. IIb 14.0, piperazine 7.75, and NaI 6.76 g, with 120 ml EtCOMe was heated 19 hr to give II [R = CF₃, R₁ = H, R₂ = 3-(1-piperazinyl)propyl] (IIc); dimaleate m. 152-5.degree.. IIc (3.91 g) in 20 ml C₆H₆, 1.71 g Ba(OH)₂, 25 mg Cu powder, 50 mg KI, and 1.25 g ClCH₂CH₂OCH₂CH₂OH was refluxed 19 hr to give I (R = CF₃, R₁ = H, R₂ = CH₂CH₂OH). I were used as ataractics and tranquilizers.

IT

27139-87-3P

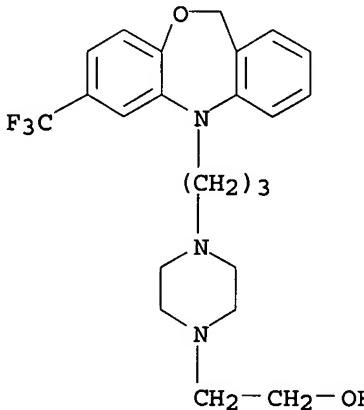
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN

27139-87-3 CAPLUS

CN

1-Piperazineethanol, 4-[3-[7-(trifluoromethyl)dibenz[b,e][1,4]oxazepin-5(11)-yl]propyl] - (9CI) (CA INDEX NAME)



L8 ANSWER 62 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1969:68105 CAPLUS

DOCUMENT NUMBER: 70:68105

TITLE: 5,6,7,12-Tetrahydronaphthalene[1,4]azocines and
aminoalkylamine derivatives

AUTHOR(S): Fouche, Jean C. L.

CORPORATE SOURCE: Lab. Rech. Pharm., Soc. Usines Chim. RHONE-POULENC,
Vitry-sur-Seine, Fr.SOURCE: Industrie Chimique Belge (1967), 32(Spec. No.), 226-33
CODEN: ICBEAJ; ISSN: 0019-9052

DOCUMENT TYPE: Journal

LANGUAGE: French

GI For diagram(s), see printed CA Issue.

AB Redn. of 2-O₂-NC₆H₄COCl with KBH₄ and LiCl in tetrahydrofuran gave 88.5-95% 2-nitrobenzyl alc., m. 70-2.degree., which was oxidized with HNO₃ initially at 10.degree. with cooling to give 81-9% 2-O₂NC₆H₄CHO (I), m. 39-42.degree.. NaOEt condensation of I with 2-nitroacetophenone yielded

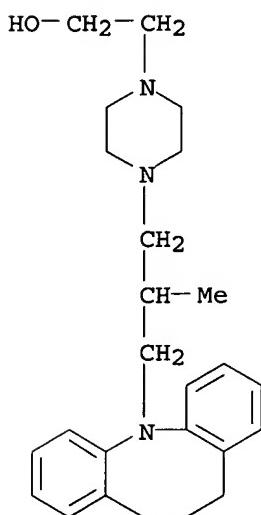
84-8% 2,2'-dinitrochalcone, m. 135-6.degree., which was reduced with KBH4 to give 73-88.5% 1,3-bis(2-nitrophenyl)-3-propen-1-ol (II), m. 80-90.degree.. Hydrogenation of II over Pt gave 87-91% 1,3-bis-(2-aminophenyl)-1-propanol (III), m. 105-6.degree.; di-N-acetyl deriv. m. 228.degree.. 1,3-Bis(2-acetamidophenyl)-1-chloropropane (IV), m. 160-5.degree., was prep'd. with SOC12. Hydrogenolysis of 169 g. IV over Pd gave 116.5 g. 1,3-bis(acetamidophenyl)propane (V), m. 262.degree.. V was also prep'd. in 84% yield by carefully treating III with HClO4 in AcOH followed by hydrogenation and acetylation and in 82-5.5% yield from III and HBr followed by hydrogenolysis and acetylation. Hydrolysis of V with HCl in (CH2OH)2 gave 100% 1,3-bis(2-aminophenyl)propane, m. 71-2.degree.; phosphate (VI) m. 226-30.degree.. Heating VI 90 min. at 290-300.degree. gave 42.5% VII m. 58-60.degree.; Ac deriv. m. 137-8.degree.. Various VIII were prep'd. by treating VII with NaH and then chloroamines (method A), with phosgene and a hydroxyamine followed by pyrolysis of the product (method B), with BuLi and a chloroalkyl p-toluenesulfonate followed by treatment of the resulting chloride with an amine (method C), or with BuLi and an ethylene oxide followed by conversion of the resulting alc. through the methanesulfonate to an amine (method D). In one instance using method D, the chain was extended by conversion of the methanesulfonate to the nitrile, redn., and methylation. VIII prep'd. were (X, NR'2, method of synthesis, % yield, salt isolated, and m.p. salt listed): (CH2)2, NH2, D, 54, HCl, 193-5.degree.; CH2CHMe, NH2, D, 43, HCl, 215.degree.; (CH2)3, NH2, C, 45, neutral tartrate, 179-81.degree.; CH2CHMe, NHMe, D, 75, HCl, 188-90.degree.; CH2CHMeCH2, NHMe, C, 31, HCl, 201-3.degree.; (CH2)2, NMe2, A, 44 (54), HCl (fumarate), 242-4.degree. (176-8.degree.); CH2CHMe, NMe2, B (D), 25 (41), fumarate, 176-8.degree.; (CH2)3, NMe2, A, 49, oxalate, 148-50.degree.; CH2CHMeCH2, NMe2, A (C), 76.5 (41), HCl, 230-2.degree.; (CH2)2, NET2, A, 12.5, HCl, 176-8.degree.; (CH2)3, NET2, C, 66, oxalate, 130-3.degree.; CH2CHMeCH2, NET2, C, 38.5, HCl, 180-3.degree.; CH2CHMe, 1-pyrrolidinyl (Q), D, 31.5, HCl, 200.degree.; (CH2)3, Q, C, 43, neutral tartrate, 128-30.degree.; CH2CHMeCH2, Q, C, 52, HCl, 140.degree. then 210.degree.; (CH2)2, piperidino (T), A, 32.5, HCl, 208-12.degree.; CH2CHMe, T, D, 36, HCl, 182-4.degree.; (CH2)3, T, C, 29, neutral tartrate, 140-2.degree.; CH2CHMeCH2, T, C, 33, HCl, 196-200.degree.; (CH2)2, 4-hydroxypiperidino (U), D, 76.5, neutral tartrate, 194-6.degree.; CH2CHMe, U, D, 67, HCl, 170-5.degree.; (CH2)3, U, C, 61, oxalate, 120-30.degree.; (CH2)3, 4-methylpiperazinyl (V), A, 64, 2 HCl, 198-200.degree.; CH2CHMeCH2, V, C, 46.5, 2 HCl, 198-201.degree.; CH2CHMe, 4-hydroxyethylpiperazino (W), D, 63.5, 2 HCl, 193-7.degree.; (CH2)3, W, C, 68, 2 HCl, 200-2.degree.; CH2CHMeCH2, W, C, 43.5, base, 78.5-81.5.degree.; (CH2)3, 4-hydroxyethoxyethyl-piperazino (Y), C, 71, 2 HCl, 164-6.degree.; CH2CHMeCH2, Y, C, 47.5, base, 78.5-80.5.degree.. Optically active starting materials gave the following VIII (XNR'2 given): Me2NCH2CHMe, [alpha]2D2 44.7.degree. (EtOH); and Me2NCH2CHMeCH2, [alpha]2D0 27.2 and -26.9.degree. (CHCl3); and the following 12-substituted VII (12 substituent given): ClCO, (m. 154-6.degree.); Me2NCH2CHMeO2C (m. 122-4.degree.); MeSO3CHMeCH (b0.35 160.degree.); MeCH(CN)CH2 (m. 96.degree.).

IT 1252-05-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prep'n. of)

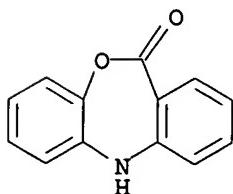
RN 1252-05-7 CAPLUS

CN 1-Piperazineethanol, 4-[3-(6,7-dihydrodibenz[b,g]azocin-12(5H)-yl)-2-methylpropyl]- (7CI, 8CI) (CA INDEX NAME)



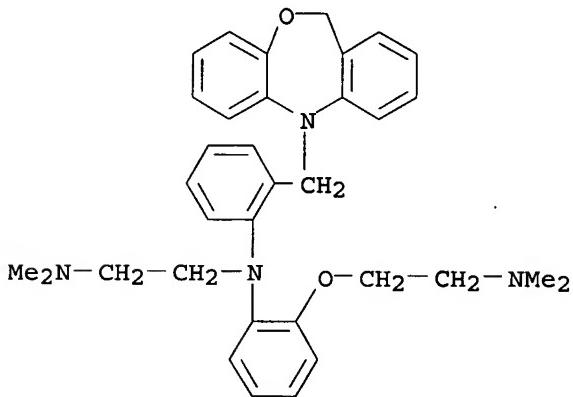
L8 ANSWER 63 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1968:436093 CAPLUS
 DOCUMENT NUMBER: 69:36093
 TITLE: The synthesis and pharmacological properties of dibenz[b,e][1,4]oxazepin-11(5H)-ones
 AUTHOR(S): Raines, Stephen; Kovacs, Csaba A.; Goldstein, Sidney; Palopoli, Frank P.
 CORPORATE SOURCE: Div. of Nat. Drug Co., Richardson-Merrell Inc., Philadelphia, PA, USA
 SOURCE: Journal of Medicinal Chemistry (1968), 11(4), 895-6
 CODEN: JMCMAR; ISSN: 0022-2623
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI For diagram(s), see printed CA Issue.
 AB N-(2-Hydroxyphenyl)anthranilic acids and dibenz[b,e][1,4]oxazepin-11(5H)-ones were synthesized and screened for antiinflammatory activity against carrageenin-induced abscesses in rats. When injected locally with carrageenin, N-(2-hydroxyphenyl)anthranilic acid, dibenz[b,e][1,4]oxazepin(5H)-one, 7-methyldibenz[b,e][1,4]oxazepin-11(5H)-one, and 6,7-dimethyldibenz[b,e][1,4]oxazepin-11(5H)-one (I) showed resp. minimal effective concns. (wt./vol.) in carrageenin of 2.7, 0.03, 0.1, and 0.01%. Thus, all 4 compds. have significant local antiinflammatory activity.
 IT 15676-55-8P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (prep. and inflammation response to)
 RN 15676-55-8 CAPLUS
 CN Dibenz[b,e][1,4]oxazepin-11(5H)-one (8CI, 9CI) (CA INDEX NAME)



09/ 076,575

ACCESSION NUMBER: 1968:29690 CAPLUS
DOCUMENT NUMBER: 68:29690
TITLE: Novel polycyclic heterocycles. IV. Structure of the dimer of 5,11-dihydrodibenz[b,e][1,4]oxazepine. Infrared, proton magnetic resonance, and mass spectral studies
AUTHOR(S): Yale, Harry L.; Sowinski, Francis A.
CORPORATE SOURCE: Squibb Inst. for Med. Res., New Brunswick, NJ, USA
SOURCE: Journal of Medicinal Chemistry (1967), 10(6), 1022-5
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB In the synthesis of 5-[2-(dimethylamino)ethyl]-5,11-dihydrodibenz [b,e] [1,4] oxazepine (I), by the reaction of the anion of the heterocycle with 2-dimethylaminoethyl chloride, one of the by-products isolated from the residue from the distn. of I was identified as 5-[o-[o-[2-(dimethylamino)-ethoxy] - N - [2 - (dimethylamino)ethyl]anilino]benzyl]5,11 - dihydrodibenz [b,e] [1,4]oxazepine (II). In the absence of 2-dimethylaminoethyl chloride, the anion of the heterocycle forms the parent dimer, 5-[o-(o-hydroxyanilino)benzyl]-5,11-dihydrodibenz [b,e] [1,4]oxazepine. The ir, P.M.R., and mass spectra of these and related compds. are discussed.
IT 16882-84-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 16882-84-1 CAPLUS
CN 1,2-Ethanediamine, N-[2-(dibenz[b,e][1,4]oxazepin-5(11H)-ylmethyl)phenyl]-N-[2-(dimethylamino)ethoxy]phenyl]-N',N'-dimethyl- (9CI) (CA INDEX NAME)



L8 ANSWER 65 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1967:46414 CAPLUS
DOCUMENT NUMBER: 66:46414
TITLE: Synthesis and rearrangement of dibenz[b,e][1,4]oxazepin-6(11H)-one, depsazidone
AUTHOR(S): Gurien, Harvey; Malarek, David H.; Rachlin, Albert I.
CORPORATE SOURCE: Univ. of Pennsylvania, Philadelphia, PA, USA
SOURCE: Journal of Heterocyclic Chemistry (1966), 3(4), 527-8
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB A mixt. of o-BrC6H4CO2H, HCONMe9, and anhyd. K2CO3 was refluxed (while HCONMe2, was distd. through a sidearm), cooled, CuO, CuCl, HCONMe2, and

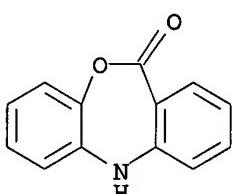
192 g. o-H₂NC₆H₄OH were added, the mixt. was refluxed with slow distn. of HCONMe₂, and worked up with acidification to yield N-(2-hydroxyphenyl)anthranilic acid (I). SOCl₂ in dry Et₂O was added to I and pyridine in 6.5 l. dry Et₂O, the mixt. stirred 3 days, and extd. with N HCl to give a solid, which, dissolved in EtOAc, passed through a silica gel column to give dibenz[b,e][1,4]oxazepin-6(11H)-one (depsazidone) (II). Dry HCONMe₂ was added to a warmed and stirred mixt. of II and a 53.5% mineral oil suspension of NaH and 90 ml. C₆H₆, the mixt. was refluxed 18 hrs., cooled, and treated successively with N HCl and N NaHCO₃, and filtered to yield 5,11-bis(2-hydroxyphenyl)-5,11-dihydrodibenzo[b,f][1,5]diazocine-6,12-dione (III), m. 267-70.degree. (BuOAc). The rearrangement of II into III was studied by N.M.R. Alk. sapon. of III yielded I. N-(2-methoxy)phenylanthranilic acid (IV) was obtained in a 79.1% yield from o-BrC₆H₄CO₂H and o-H₂NC₆H₄OMe, similarly to I. All attempts to demethylate IV failed.

IT 15676-55-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 15676-55-8 CAPLUS

CN Dibenz[b,e][1,4]oxazepin-11(5H)-one (8CI, 9CI) (CA INDEX NAME)



L8 ANSWER 66 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1965:410096 CAPLUS
 DOCUMENT NUMBER: 63:10096
 ORIGINAL REFERENCE NO.: 63:1775g-h,1776a-e
 TITLE: 5-(Aminoalkyl)-5,10,11,12-tetrahydrodibenzo [b,g]azocine derivatives
 PATENT ASSIGNEE(S): Rhone-Poulenc S.A.
 SOURCE: 14 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: Unavailable
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|----------|-----------------|------|
| GB 983859 | | 19650217 | GB | |
| FR 1403603 | | | FR | |
| FR AD85301 | | | FR | |

PRIORITY APPLN. INFO.: FR 19600705

GI For diagram(s), see printed CA Issue.

AB I were prep'd. by two general methods. A mixt. of 6 g.

5,10,11,12-tetrahydrodibenzo[b,g]azocine (II), prep'd. by the method of Brit. 926,335 (CA 61, 1843g), and 1.03 g. sodamide in 50 cc. anhyd. xylene and 19.8 cc. of a xylene soln. of Me₂N(CH₂)₃Cl (176 g./l.) was stirred under reflux under a current of N for 7 hrs. when the evoution of NH₃ ceased to give 5.8 g. I [A = (CH₂)₃, Q = NMe₂] as the acid oxalate, m. 146-7.degree.. The following I were similarly prep'd. (A, Q, acid salt, and m.p. given): (CH₂)₃, 4-methyl-1-piperazinyl, dihydrochloride, 198-200.degree.; CH₂CHMe, NMe₂, fumarate, 176-8.degree.; CHMeCH₂, NMe₂, fumarate, 209-11.degree.; CH₂CHMeCH₂, NMe₂, hydrochloride (EtOH of crystn.); 204-7.degree.; (CH₂)₂, NET₂, hydrochloride, 176-8.degree.;

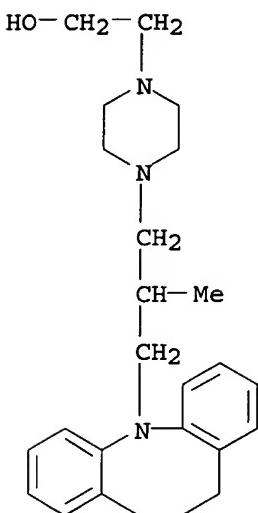
(CH₂)₂, NMe₂, hydrochloride, 242-4.degree.; (CH₂)₂, 1-piperidinyl, hydrochloride, 208-12.degree.; CH₂CH(NMe₂)CH₂, NMe₂, dihydrochloride, 195-8.degree.; (CH₂)₂, 1-methyl-2-piperidinyl, dihydrochloride, 140-5.degree.. A soln. of 20.9 g. II in 60 cc. Et₂O was added during 15 min. below 10.degree. to an ethereal soln. of BuLi, prep'd. from 2.2 g. Li, 17.2 g. BuBr, and 100 cc. Et₂O. The temp. was allowed to rise to 17.degree., a soln. of 26.3 g. p-MeC₆H₄SO₃CH₂CHMeCH₂Cl in 55 cc. Et₂O added during 15 min. <25.degree., and the mixt. stirred 3 hrs. at 25.degree. and kept 15 hrs. to give 30 g. 5-(3-chloro-2-methylpropyl)-5, 10, 11, 12-tetrahydrobenz[b,g]azocine (III) as an oily residue. Et₂NH (73 g.) was added to 30 g. crude III in 100 cc. anhyd. EtOH and heated at 100.degree. for 21 hrs. in a pressure vessel to give I [A = CH₂CHMeCH₂, Q = NET₂] as the hydrochloride, m. 180-3.degree.. The following I were similarly prep'd. (A, Q, acid salt, and m.p. given): CH₂CHMeCH₂, 4-hydroxy-1-piperidinyl, -,- (base m. 78-80.5.degree.); CH₂CHMeCH₂, 4-(2-hydroxyethyl)1-piperazinyl, -,- (base m. 78.5-81.5.degree.); CH₂CHMeCH₂, 4-methyl-1-piperazinyl, dihydrochloride (2H₂O of crystn.), 198-201.degree.; CH₂CHMeCH₂, NHMe, hydrochloride, 210-13.degree.; (CH₂)₃, 4-(2-hydroxyethyl)-1-piperazinyl, dihydrochloride, 200-2.degree.; (CH₂)₃, 4-[2-(2-hydroxyethoxy)ethyl]-1-piperazinyl, dihydrochloride, 164-6.degree.; CH₂CHMeCH₂, 4-[2-(2-hydroxyethoxy)ethyl]-1-piperazinyl, -,- (base m. 78.5-80.5.degree.); CH₂CHMeCH₂, 1-morpholinyl, hydrochloride, 200-5.degree.; CH₂CHMeCH₂, 1-piperidinyl, fumarate, 147-51.degree.; CH₂CHMeCH₂, 1-pyrrolidinyl, hydrochloride (EtOH of crystn.), 140.degree. and 210.degree.; (CH₂)₃, 4-hydroxy-1-piperidinyl, oxalate, 115.degree.; (CH₂)₃, 1-morpholinyl, oxalate, 173-5.degree.; (CH₂)₃, 1-piperidinyl, dihydrochloride, 106-10.degree.; (CH₂)₃, 1-piperidinyl, tartrate, 140-2.degree.; (CH₂)₃, 1-pyrrolidinyl, neutral tartrate, 128-30.degree.; (CH₂)₃, 1-pyrrolidinyl, oxalate, 130-3.degree.. 5-(2-Dimethylaminoethoxycarbonyl) deriv. of II (3.6 g.) was decarboxylated by heating at 230-50.degree. for 45 min. under a current of N. The residue was distd. in vacuo to give 2.2 g. product, b₀4 135-45.degree., which gave I [A = (CH₂)₂, Q = NMe₂] as the hydrochloride, m. 236-9.degree.. II (4.18 g.) in 15 cc. anhyd. Et₂O was added to 1.92 g. BuLi in 25 cc. anhyd. Et₂O at 8-10.degree.. After stirring for 30 min., the soln. was cooled to 0.degree. 7.5 cc. 4.1M anhyd. ethereal ethylene oxide added at below 10.degree., and the mixt. stirred at room temp. for 15 hrs. to give 5 g. 5-(2-hydroxyethyl) deriv. of II, which was treated in 40 cc. anhyd. pyridine at -10.degree. with 4.53 g. MeSO₂Cl. The oil which sep'd. on pouring into 250 cc. H₂O was extd. with C₆H₆. The C₆H₆ soln. was washed with cold N HCl soln. and H₂O, dried over Na₂SO₄, and concd. to 80 cc. before treating with 40 cc. 5.7M Me₂NH in C₆H₆ at 100.degree. for 17 hrs. to give 3.25 g. I [A = (CH₂)₂, Q = NMe₂] as the hydrochloride. I possess a very high antiemetic and intense antidepressant activity, making them useful for treating melancholia.

IT 1252-05-7, 1-Piperazineethanol, 4-[3-(6,7-dihydrodibenz[b,g]azocin-12(5H)-yl)-2-methylpropyl]-

(prepn. of)

RN 1252-05-7 CAPLUS

CN 1-Piperazineethanol, 4-[3-(6,7-dihydrodibenz[b,g]azocin-12(5H)-yl)-2-methylpropyl]- (7CI, 8CI) (CA INDEX NAME)



L8 ANSWER 67 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1965:74163 CAPLUS

DOCUMENT NUMBER: 62:74163

ORIGINAL REFERENCE NO.: 62:13131g-h,13132a-d

TITLE: 5,10,11,12-Tetrahydrodibenz[b,g]azocine derivatives

INVENTOR(S): Jacob, Robert M.; Fouche, Jean C. L.

PATENT ASSIGNEE(S): Rhone-Poulenc S.A.

SOURCE: 9 pp.

DOCUMENT TYPE: Patent

LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|----------|-----------------|----------|
| DE 1180751 | FR | 19641105 | DE | 19600705 |

PRIORITY APPLN. INFO.: FR

GI For diagram(s), see printed CA Issue.

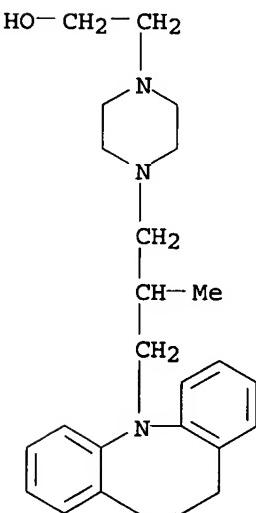
AB The title compds. (I) were prep'd. 5,10,11,12-Tetrahydrodibenz[b,g]azocine (II) (6.0 g.), 50 ml. dry xylene, 1.03 g. NaNH₂, and 19.8 ml. xylene soln. contg. 176 g. 1-dimethylamino-3-chloropropane per 1. soln. was stirred and heated under N at reflux until NH₃ evolution had ceased (7 hrs.), cooled, 100 ml. distd. H₂O added, the xylene layer decanted, washed twice with 50 ml. distd. H₂O, and extd. 3 times with a total of 200 ml. 2N HCl, the acidic soln. made alk. with 100 ml. 10N NaOH, the oil formed extd. with 50 ml. then with 30 ml. Et₂O, the ext. dried (K₂CO₃) and evapd., and the residue in 35 ml. Me₂CO treated with a soln. of 1.75 g. dry oxalic acid in 35 ml. Me₂CO to ppt. 5.8 g. of acid oxalate of 5-(3-dimethylaminopropyl)-5,10,11,12-tetrahydrodibenz[b,g]azocine, m. 146-7.degree.. II, m. 55-7.degree., was prep'd. by heating a salt of 1,3-bis(o-aminophenyl)propane at 220-300.degree.. The following I were similarly prep'd. (R, salt, and m.p. salt given): 3-(4-methyl-piperazino)propyl, di-HCl, 198-200.degree.; 2-dimethylaminopropyl, fumarate, 176-8.degree.; 3-dimethylamino-2-methylpropyl, HCl (solvate with EtOH), 204-7.degree.; 2-diethylaminoethyl, HCl, 176-8.degree.; 2-piperidinoethyl, HCl, 208-12.degree.; 2',3'-bis(dimethylamino)-propyl, di-HCl, 195-8.degree.; 2-(1-methyl-2-piperidyl)ethyl, di-HCl, 140-5.degree.. Crude 5-(3-chloro-2-methylpropyl)-5,10,11,12-tetrahydrodibenz[b,g]azocine (V) (prep'd. by reaction of 3-p-tolyl-sulfonyloxy-2-methyl-1-chloropropane with the Li deriv. of II) (30 g.) was dissolved in 100 ml. dry EtOH, 73 g. Et₂NH added, the mixt. heated 21 hrs. at 100.degree. in a high pressure

flask, and the solvent removed under a slight vacuum to yield an oily residue, which was worked up to give 10.5 g. 5-(3-diethylamino-2-methylpropyl)-5,10,11,12-tetrahydrodibenz[b,g]azocine-HCl, m. 180-3.degree.. The following I were similarly prep'd. (R, salt, and m.p. salt given): 3-(4-hydroxypiperidino)-2-methylpropyl, --, 78-80.5.degree. (free base); 3-(4-hydroxyethylpiperazino)-2-methylpropyl, --, 78.5-81.5.degree. (free base); 3-(4-methylpiperazino)-2-methylpropyl, di-HCl dihydrate, 198-201.degree.; 3-methylamino-2-methylpropyl, HCl, 201-3.degree.; 3-(4-hydroxyethoxyethylpiperazino)-2-methylpropyl, --, 78.5-80.5.degree. (free base); 3-morpholino-2-methylpropyl, HCl, 200-5.degree.; 3-piperidino-2-methylpropyl, fumarate, 147-51.degree.; and 3-pyrrolidino-2'-methylpropyl, HCl (solvate with EtOH), 140.degree. and 210.degree.. The following I were prep'd. by reaction of 5-(3-chloropropyl)-5,10,11,12-tetrahydrodibenz[b,g]azocine with various amines (R, salt, and m.p. salt given): 3-(4-hydroxyethylpiperazino)propyl, di-HCl, 200-2.degree.; 3-(4-hydroxyethoxyethylpiperazino)propyl, di-HCl, 164-6.degree.; 3-(4-hydroxypiperidino)propyl, oxalate, 115.degree.; 3-morpholinopropyl, oxalate, 173-5.degree.; 3-piperidinopropyl, di-HCl, 106-10.degree.; 3-pyrrolidinopropyl, --, 128-30.degree. (free base); and 3-diethylaminopropyl, oxalate, 130-3.degree.. Similarly prep'd. from 5-methyl-sulfonylethyl-5,10,11,12-tetrahydrodibenz[b,g]azocine was I (R = Me₂NCH₂CH₂) (III) HCl salt, m. 242-4.degree.. 5-(2-Dimethyl-aminoethoxy carbonyl)-5,10,11,12-tetrahydrodibenz [b,g] azocine was decarboxylated at 230-50.degree. and the product treated with HCl to yield III. I were antidepressives.

IT 1252-05-7, 1-Piperazineethanol, 4-[3-(6,7-dihydrodibenz[b,g]azocin-12(5H)-yl)-2-methylpropyl]-
(prepn. of)

RN 1252-05-7 CAPLUS

CN 1-Piperazineethanol, 4-[3-(6,7-dihydrodibenz[b,g]azocin-12(5H)-yl)-2-methylpropyl]- (7CI, 8CI) (CA INDEX NAME)



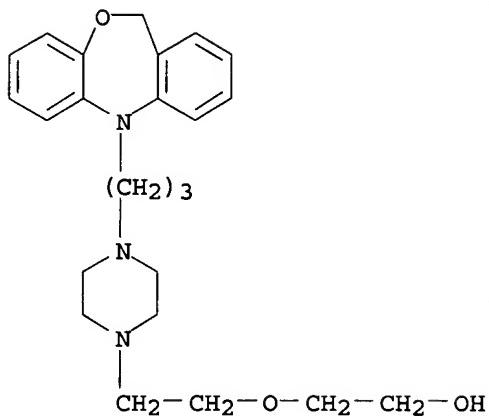
L8 ANSWER 68 OF 68 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1963:66545 CAPLUS
 DOCUMENT NUMBER: 58:66545
 ORIGINAL REFERENCE NO.: 58:11386b-g
 TITLE: 5-(Aminoalkyl)-5,11-dihydrodibenzoxazepines
 INVENTOR(S): Yale, Harry L.; Sowinski, Francis A.; Bernstein, Jack
 PATENT ASSIGNEE(S): Olin Mathieson Chemical Corp.
 SOURCE: 4 pp.
 DOCUMENT TYPE: Patent

LANGUAGE: Unavailable
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|----------|-----------------|----------|
| US 3069432 | | 19621218 | US | 19610220 |
| FR 1317469 | | | FR | |
| FR M1845 | | | FR | |
| GB 951840 | | | GB | |

- GI For diagram(s), see printed CA Issue.
 AB I, where A is a lower alkylene radical of at least 2 C atoms, B is a satd. N-contg. radical of less than 12 C atoms and R and R' are the same or different and are H, halogen, lower alkyl, lower alkoxy, trifluoromethyl, trifluoromethylthio, trifluoromethoxy, or N,N-dimethylsulfonamido, and their salts are useful as ataractic agents and as antihistamines. I are prep'd. by a series of 6 reactions. Thus, a mixt. of 188 g. .omicron.-bromotoluene, 178 g. N-bromosuccinimide, 1.5 g. Bz2O2, and 350 ml. CCl4 is stirred and refluxed for 34 hrs. The mixt. is cooled, filtered, concd., and cooled again, and the residue washed with 15% aq. NaHSO3, H2O, 15% aq. FeSO4, and H2O, and dried (anhyd. MgSO4) to yield 161.3 g. .omicron.-bromobenzyl bromide (II), b10 122-6.degree.. To a stirred soln. of 119.5 g. II, and 83.6 g. .omicron.-nitrophenol in 400 ml. 95% EtOH, a soln. of 39.6 g. 85% KOH in 200 ml. H2O is added dropwise and the mixt. refluxed for 2 hrs. Cooling, filtering, washing (H2O), and drying yields 149.6 g. .omicron.-bromobenzyl .omicron.-nitrophenyl ether (III), m. 82.5-3.0.degree. (95% EtOH). To a stirred mixt. of 149.0 g. III, 270 g. Fe powder, and 3.5 l. 95% EtOH is added 25 ml. concd. HCl. After refluxing 1 hr., the mixt. is filtered hot, concd. until 2 phases appear, cooled, and extd. with Et2O. Concn. of the dried Et2O ext. yields 101.1 g. 2-(.omicron.-bromobenzylxy)aniline (IV), m. 48-9.degree.. To a mixt. of 169.0 g. 98-100% HCO2H and 73.5 g. HOAc is added in small portions with cooling and stirring 101.1 g. IV. The mixt. is refluxed for 1/2 hr. and concd. in vacuo to yield about 104 g. 2-(.omicron.-bromobenzylxy) formanilide (V), m. 113.5-14.degree. [Skellysolve V (VI.)]. A stirred mixt. of 5.0 g. V, 2.8 g. anhyd. K2CO3, 0.5 g. Cu powder, and 50 ml. HCONMe2 is heated under N at 155-60.degree. for 2 hrs. The mixt. is filtered hot, concd. to dryness, washed (H2O), and extd. with VI to yield, on cooling, 2.6 g. I (R = R' = H, AB = CHO) (VII). Addnl. recrystn. (hexane and VI resp.) yields 0.9 g. pure VII, m. 111.5-12.5. VII (100 mg.) is dissolved in a mixt. of 10 ml. EtOH and 2 ml. 10% aq. NaOH. The soln. is refluxed for 1 hr., cooled, neutralized, and concd. to dryness to yield I (R = R' = AB = H), m. 118-18.5 (hexane). Similarly, using 2-bromo-4-chlorobenzyl bromide instead of II gave I (R = AB = H, R'=3-Cl). Also prep'd. were I (R, R', and AB given): H, 3-F3C, H; 7-Me, H, H; 7-Cl, 3-Cl, H; H, 3-SO2NH2, H; H, 3-CF3, H; H, 3-F3CS, H; H, H, (CH2)3NMe2 (VIII) (b0.15 138-43.degree.); H, 3-Cl, (CH2)3NMe2; H, 3-CF3, (CH2)3NMe2; 7-Me, H, (CH2)3NMe2; 7-Cl, 3-Cl, (CH2)3NMe2; H, H; CH2-CH2NMe2; H, H, 3-[N4-(2-hydroxyethyl)piperazino]propyl; H, H, 3-[N4-(2-hydroxyethoxyethyl)piperazino]propyl; H, H, 3-[N4-(2-acetoxyethyl)piperazino] propyl.
 IT 105476-69-5, Ethanol, 2-[2-[4-(3-dibenz[b,e][1,4]oxazepin-5-(11H)-ylpropyl]-1-piperazinyl]ethoxy] -
 (prep'n. of)
 RN 105476-69-5 CAPLUS
 CN Ethanol, 2-[2-[4-(3-dibenz[b,e][1,4]oxazepin-5(11H)-ylpropyl]-1-piperazinyl]ethoxy] - (7CI) (CA INDEX NAME)

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(FILE 'HOME' ENTERED AT 14:34:21 ON 03 SEP 2003)

FILE 'REGISTRY' ENTERED AT 14:34:52 ON 03 SEP 2003
L1 STRUCTURE uploaded
L2 STRUCTURE uploaded
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L4 0 S L2 FUL
L5 45 S 'DIBENZ [B,G]AZOCIN'
L6 203 S 'DIBENZ [B,E] [1,4]OXAZEPIN'
L7 0 S 'DIBENZ [D,G]DIOXAZOCIN'

FILE 'CAPLUS' ENTERED AT 14:41:00 ON 03 SEP 2003
L8 68 S L5 OR L6

STN INTERNATIONAL LOGOFF AT 14:44:59 ON 03 SEP 2003